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                 IPC 8 searching in IFIPAT, IFIUDB, and IFICDB
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         JAN 13
NEWS 10
                 New IPC 8 SEARCH, DISPLAY, and SELECT enhancements added to
         JAN 13
                 INPADOC
                 Pre-1988 INPI data added to MARPAT
NEWS 11
         JAN 17
NEWS 12
                 IPC 8 in the WPI family of databases including WPIFV
         JAN 17
NEWS 13
         JAN 30
                 Saved answer limit increased
                 Monthly current-awareness alert (SDI) frequency
NEWS 14
         JAN 31
                 added to TULSA
NEWS 15
         FEB 21
                 STN AnaVist, Version 1.1, lets you share your STN AnaVist
                 visualization results
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         FEB 22
                 Status of current WO (PCT) information on STN
NEWS 17
         FEB 22
                 The IPC thesaurus added to additional patent databases on STN
NEWS 18
        FEB 22
                 Updates in EPFULL; IPC 8 enhancements added
         FEB 27
NEWS 19
                 New STN AnaVist pricing effective March 1, 2006
NEWS 20
                 MEDLINE/LMEDLINE reload improves functionality
         FEB 28
NEWS 21
         FEB 28
                 TOXCENTER reloaded with enhancements
NEWS 22 FEB 28
                 REGISTRY/ZREGISTRY enhanced with more experimental spectral
                 property data
NEWS 23
        MAR 01
                 INSPEC reloaded and enhanced
NEWS 24
                 Updates in PATDPA; addition of IPC 8 data without attributes
        MAR 03
NEWS 25 MAR 08 X.25 communication option no longer available after June 2006
NEWS EXPRESS FEBRUARY 15 CURRENT VERSION FOR WINDOWS IS V8.01a,
              CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0jc(jp),
              AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005.
              V8.0 AND V8.01 USERS CAN OBTAIN THE UPGRADE TO V8.01a AT
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=> file reg
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FULL ESTIMATED COST

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http://www.cas.org/ONLINE/UG/regprops.html

Uploading C:\Program Files\Stnexp\Queries\10615809\Struc 1.str

chain nodes :

7 8 9 10 12 14 16 24

ring nodes :

1 2 3 4 5 6 11 18 19 21 22 23

chain bonds :

5-7 6-9 7-8 7-12 8-14 8-16 9-10 9-24 10-11

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 11-18 11-23 18-19 19-21 21-22 22-23

exact/norm bonds :

5-7 6-9 7-8 7-12 8-14 8-16 9-10 9-24 10-11 11-18 11-23 18-19 19-21

21-22 22-23

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

G1:Cb,Cy,Hy

G2:H,CH3,Et

G3:C,N

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:Atom 12:CLASS 14:CLASS 16:CLASS 18:Atom 19:CLASS 21:CLASS 22:CLASS 23:CLASS

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR

G1 Cb,Cy,Hy G2 H,Me,Et G3 C,N

Structure attributes must be viewed using STN Express query preparation.

23 ANSWERS

=> 11 SAMPLE SEARCH INITIATED 08:39:13 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 3533 TO ITERATE

56.6% PROCESSED 2000 ITERATIONS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 67096 TO 7422

PROJECTED ITERATIONS: 67096 TO 74224 PROJECTED ANSWERS: 430 TO 1194

L2 23 SEA SSS SAM L1

=> 11 full

FULL SEARCH INITIATED 08:39:23 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 71221 TO ITERATE

100.0% PROCESSED 71221 ITERATIONS 1079 ANSWERS SEARCH TIME: 00.00.02

L3 1079 SEA SSS FUL L1

=> file medline caplus

10615809.trn

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 166.94 167.15

FULL ESTIMATED COST

FILE 'MEDLINE' ENTERED AT 08:39:29 ON 16 MAR 2006

FILE 'CAPLUS' ENTERED AT 08:39:29 ON 16 MAR 2006 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

=> 13

L4 66 L3

=> d ibib abs hitstr 1-66

L4 ANSWER 1 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: DOCUMENT NUMBER: 2006:13570 CAPLUS 144:108346

TITLE:

Preparation of 2-aminoarenecarboxamides useful as

cancer chemotherapeutic agents

INVENTOR(S):

Brennan, Catherine; Dixon, Julie A.; Scott, William J.; Redman, Aniko; Jones, Benjamin D.; Phillips,

Barton; Wickens, Philip; Enyedy, Istvan; Kumarasinghe, Ellalahewage; Kreiman, Charles; Dumas, Jacques; Khire, Uday; Chuang, Chih-Yuan; Kluender, Harold C. E.; Hong,

Zhenqiu; Wang, Lei; Bierer, Donald

PATENT ASSIGNEE(S):

Bayer Pharmaceuticals Corporation, USA

SOURCE:

PCT Int. Appl., 187 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE ·

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 2006002383	A2 20060105	WO 2005-US22518	20050623
W: AE, AG, AL,	AM, AT, AU, AZ,	BA, BB, BG, BR, BW, BY,	BZ, CA, CH,
CN, CO, CR,	CU, CZ, DE, DK,	DM, DZ, EC, EE, EG, ES,	FI, GB, GD,
GE, GH, GM,	HR, HU, ID, IL,	IN, IS, JP, KE, KG, KM,	KP, KR, KZ,
LC, LK, LR,	LS, LT, LU, LV,	MA, MD, MG, MK, MN, MW,	MX, MZ, NA,
NG, NI, NO,	NZ, OM, PG, PH,	PL, PT, RO, RU, SC, SD,	SE, SG, SK,
SL, SM, SY,	TJ, TM, TN, TR,	TT, TZ, UA, UG, US, UZ,	VC, VN, YU,
ZA, ZM, ZW			
RW: AT, BE, BG,	CH, CY, CZ, DE,	DK, EE, ES, FI, FR, GB,	GR, HU, IE,
IS, IT, LT,	LU, MC, NL, PL,	PT, RO, SE, SI, SK, TR,	BF, BJ, CF,
CG, CI, CM,	GA, GN, GQ, GW,	ML, MR, NE, SN, TD, TG,	BW, GH, GM,
KE, LS, MW,	MZ, NA, SD, SL,	SZ, TZ, UG, ZM, ZW, AM,	AZ, BY, KG,
KZ, MD, RU,	TJ, TM		
PRIORITY APPLN. INFO.:		US 2004-582326P	P 20040623

GI

AB 2-Aminoarenecarboxamides (shown as I; variables defined below; e.g. 5-methoxy-2-[[(pyridin-4-yl)methyl]amino]-N-(2,2,3,3-tetrafluoro-2,3-dihydro-1,4-benzodioxin-6-yl)benzamide (shown as II)) are claimed. In I: the ring containing E is a Ph, a pyridine, or a pyrimidine; A = OC(R4)2C(R4)2O, OC(R4)2OC(R4)2 or OC(R4)2O wherein R4 = halogen, CF3, or H, provided that the maximum number of CF3 groups on any A is 2, and the maximum

number of hydrogens on A is 2 for the A groups which together with the C atoms to which they are attached form 6-membered rings, and the maximum number of hydrogens on A is 1 for the A group which together with the C atoms to which it is attached forms a 5-membered ring; Z = N or CH when E forms a Ph ring, and = CH when E forms a pyridine or pyrimidine; addnl. details are given in the claims. Pharmaceutical compns. containing I and methods of treating cancer using them are also disclosed and claimed. Although the methods of preparation are not claimed, prepns. and/or characterization data for >150 examples of I are included. For example, II was prepared in 3 steps (100, 52, and 16 %, resp.) starting with coupling of 5-methoxy-2-nitrobenzoic acid with 2,2,3,3-tetrafluoro-2,3-dihydro-1,4benzodioxin-6-amine to give 5-methoxy-2-nitro-N-(2,2,3,3-tetrafluoro-2,3dihydro-1,4-benzodioxin-6-yl)benzamide, which was reduced to the amine, which was condensed with 4-pyridinecarboxaldehyde and the product hydrogenated to II. Many examples of I were tested in a PAKT/PKB Cytoblot assay with H209 small cell lung carcinoma cells; some I had IC50 <500 nM. 872707-46-5P, 2-[[(2-Cyanopyridin-4-yl)methyl]amino]-N-(2,2,4,4tetrafluoro-4H-1,3-benzodioxin-6-yl)benzamide 872707-48-7P, 2-[[(2-Cyanopyridin-4-yl)methyl]amino]-N-(2,2-difluoro-1,3-benzodioxol-5yl)benzamide 872707-88-5P, 4-[[[2-[[(2,2-Difluoro-1,3benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]pyridine-2-carboxamide 872707-89-6P, Methyl 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5yl)amino]carbonyl]phenyl]amino]methyl]pyridine-2-carboxylate 872707-91-0P, 4-[[[2-[[(2,2-Difluoro-1,3-benzodioxol-5yl)amino]carbonyl]phenyl]amino]methyl]-N-[(2,2-dimethyl-1,3-dioxolan-4yl)methyl]pyridine-2-carboxamide 872707-96-5P, Ethyl 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]me

TТ

thyl]pyridine-2-carboxylate 872708-84-4P, 2-[[(2-Aminopyridin-4-yl)methyl]amino]-N-(2,2-difluoro-1,3-benzodioxol-5-yl)benzamide RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of 2-aminoarenecarboxamides useful as cancer chemotherapeutic agents)

RN 872707-46-5 CAPLUS

CN Benzamide, 2-[[(2-cyano-4-pyridinyl)methyl]amino]-N-(2,2,4,4-tetrafluoro-4H-1,3-benzodioxin-6-yl)- (9CI) (CA INDEX NAME)

RN 872707-48-7 CAPLUS

CN Benzamide, 2-[[(2-cyano-4-pyridinyl)methyl]amino]-N-(2,2-difluoro-1,3-benzodioxol-5-yl)- (9CI) (CA INDEX NAME)

RN 872707-88-5 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 872707-89-6 CAPLUS

CN 2-Pyridinecarboxylic acid, 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 872707-91-0 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]-N-[(2,2-dimethyl-1,3-dioxolan-4-yl)methyl]- (9CI) (CA INDEX NAME)

RN 872707-96-5 CAPLUS

CN 2-Pyridinecarboxylic acid, 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 872708-84-4 CAPLUS

CN Benzamide, 2-[[(2-amino-4-pyridinyl)methyl]amino]-N-(2,2-difluoro-1,3-benzodioxol-5-yl)- (9CI) (CA INDEX NAME)

IT 872707-17-0P, 5-Methoxy-2-[[(pyridin-4-yl)methyl]amino]-N-(2,2,3,3tetrafluoro-2,3-dihydro-1,4-benzodioxin-6-yl)benzamide 872707-20-5P, 2-[[(Pyridin-4-yl)methyl]amino]-N-(2,2,4,4tetrafluoro-4H-1,3-benzodioxin-6-yl)benzamide 872707-21-6P, N-Methyl-4-[[[2-[[(2,2,3,3-tetrafluoro-2,3-dihydro-1,4-benzodioxin-6yl)amino]carbonyl]phenyl]amino]methyl]pyridine-2-carboxamide 872707-24-9P, N-Methyl-4-[[[2-[[(2,2,4,4-tetrafluoro-4H-1,3benzodioxin-6-yl)amino]carbonyl]phenyl]amino]methyl]pyridine-2-carboxamide 872707-25-0P, N-(2,2-Difluoro-1,3-benzodioxol-5-yl)-2-[[(pyridin-4yl)methyl]amino]benzamide 872707-26-1P, 2-[[(Pyridin-4y1) methyl]amino]-N-(2,2,3,3-tetrafluoro-2,3-dihydro-1,4-benzodioxin-6yl)benzamide 872707-27-2P, 3-Methoxy-2-[[(pyridin-4yl) methyl] amino] -N-(2,2,3,3-tetrafluoro-2,3-dihydro-1,4-benzodioxin-6yl)benzamide 872707-28-3P, 3-Methoxy-2-[[(pyridin-4yl) methyl] amino] -N-(2,2,4,4-tetrafluoro-4H-1,3-benzodioxin-6-yl) benzamide 872707-29-4P, 2-Methoxy-6-[[(pyridin-4-yl)methyl]amino]-N-(2,2,3,3tetrafluoro-2,3-dihydro-1,4-benzodioxin-6-yl)benzamide 872707-30-7P, 2-Methoxy-6-[[(pyridin-4-yl)methyl]amino]-N-(2,2,4,4tetrafluoro-4H-1,3-benzodioxin-6-yl)benzamide 872707-31-8P, N-(2,2-Difluoro-1,3-benzodioxol-5-yl)-2-methoxy-6-[[(pyridin-4yl)methyl]amino]benzamide 872707-41-0P, 4-Fluoro-2-[[(pyridin-4yl)methyl]amino]-N-(2,2,3,3-tetrafluoro-2,3-dihydro-1,4-benzodioxin-6yl)benzamide 872707-42-1P, 5-Fluoro-2-[[(pyridin-4yl)methyl]amino]-N-(2,2,3,3-tetrafluoro-2,3-dihydro-1,4-benzodioxin-6yl)benzamide 872707-43-2P, N-(2,2-Difluoro-1,3-benzodioxol-5-yl)-5-fluoro-2-[[(pyridin-4-yl)methyl]amino]benzamide 872707-44-3P, N-(2,2-Difluoro-1,3-benzodioxol-5-yl)-4-fluoro-2-[[(pyridin-4yl)methyl]amino]benzamide 872707-45-4P, 2-[[(2-Cyanopyridin-4yl) methyl] amino] -N-(2,2,3,3-tetrafluoro-2,3-dihydro-1,4-benzodioxin-6yl)benzamide 872707-47-6P, 4-[[[2-[[(2,2-Difluoro-1,3benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]-N-methylpyridine-2carboxamide 872707-49-8P, 2-[[(2-Chloro-6-methylpyrimidin-4yl)methyl]amino]-N-(2,2,3,3-tetrafluoro-2,3-dihydro-1,4-benzodioxin-6yl)benzamide 872707-50-1P, 2-[[(2-Cyanopyridin-4yl)methyl]amino]-5-fluoro-N-(2,2,3,3-tetrafluoro-2,3-dihydro-1,4benzodioxin-6-yl)benzamide 872707-53-4P, 2-[[(2-Cyanopyridin-4yl)methyl]amino]-4-fluoro-N-(2,2,3,3-tetrafluoro-2,3-dihydro-1,4benzodioxin-6-yl)benzamide 872707-54-5P, 2-[[(2-Cyanopyridin-4yl)methyl]amino]-N-(2,2-difluoro-1,3-benzodioxol-5-yl)-4-fluorobenzamide 872707-55-6P, 2-[[(2-Cyanopyridin-4-yl)methyl]amino]-N-(2,2difluoro-1,3-benzodioxol-5-yl)-5-fluorobenzamide 872707-56-7P, 4-[[[2-[[(2,2-Difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]-4fluorophenyl]amino]methyl]-N-methylpyridine-2-carboxamide 872707-57-8P, 4-[[[5-Fluoro-2-[[(2,2,3,3-tetrafluoro-2,3-dihydro-1,4-benzodioxin-6-yl)amino]carbonyl]phenyl]amino]methyl]-N-methylpyridine-2-carboxamide 872707-58-9P, 4-[[[2-[[(2,2-Difluoro-1,3benzodioxol-5-yl)amino]carbonyl]-5-fluorophenyl]amino]methyl]-Nmethylpyridine-2-carboxamide 872707-59-0P, 4-[[[4-Fluoro-2-

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[[(2,2,3,3-tetrafluoro-2,3-dihydro-1,4-benzodioxin-6-
yl)amino]carbonyl]phenyl]amino]methyl]-N-methylpyridine-2-carboxamide
872707-60-3P, 4-[[[2-[[(2,2-Difluoro-1,3-benzodioxol-5-
yl) amino] carbonyl] -4,5-difluorophenyl] amino] methyl] -N-methylpyridine-2-
carboxamide 872707-61-4P, 2-[[(2-Cyanopyridin-4-yl)methyl]amino]-
N-(2,2-difluoro-1,3-benzodioxol-5-yl)-4,5-difluorobenzamide
872707-62-5P, 4-[[[4,5-Difluoro-2-[[(2,2,3,3-tetrafluoro-2,3-
dihydro-1,4-benzodioxin-6-yl)amino]carbonyl]phenyl]amino]methyl]-N-
methylpyridine-2-carboxamide 872707-63-6P, 2-[[(2-Cyanopyridin-4-
yl) methyl]amino]-4,5-difluoro-N-(2,2,3,3-tetrafluoro-2,3-dihydro-1,4-
benzodioxin-6-yl)benzamide 872707-67-0P, 2-[[[2-(4,5-Dihydro-1H-
imidazol-2-yl)pyridin-4-yl]methyl]amino]-N-(2,2,4,4-tetrafluoro-4H-1,3-
benzodioxin-6-yl)benzamide 872707-68-1P, N-(2,2-Difluoro-1,3-
benzodioxol-5-yl)-2-[[[2-(4,5-dihydro-1H-imidazol-2-yl)pyridin-4-
yl]methyl]amino]-5-fluorobenzamide 872707-69-2P,
4-[[[2-[[(2,2-Difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]-4-
fluorophenyl]amino]methyl]-N, N-dimethylpyridine-2-carboxamide
872707-70-5P, 4-[[[4,5-Difluoro-2-[[(2,2,3,3-tetrafluoro-2,3-
dihydro-1,4-benzodioxin-6-yl)amino]carbonyl]phenyl]amino]methyl]-N-
ethylpyridine-2-carboxamide 872707-72-7P, N-Ethyl-4-[[[2-
[[(2,2,3,3-tetrafluoro-2,3-dihydro-1,4-benzodioxin-6-
yl)amino]carbonyl]phenyl]amino]methyl]pyridine-2-carboxamide
872707-73-8P, 4-[[[2-[[(2,2-Difluoro-1,3-benzodioxol-5-
yl)amino]carbonyl]phenyl]amino]methyl]-N-ethylpyridine-2-carboxamide
872707-74-9P, 4-[[[2-[[(2,2-Difluoro-1,3-benzodioxol-5-
yl)amino]carbonyl]-4-fluorophenyl]amino]methyl]-N-ethylpyridine-2-
carboxamide 872707-75-0P, 4-[[[2-[[(2,2-Difluoro-1,3-benzodioxol-
5-yl)amino]carbonyl]-5-fluorophenyl]amino]methyl]-N-ethylpyridine-2-
carboxamide 872707-77-2P, N-(2,2-Difluoro-1,3-benzodioxol-5-yl)-
2-[[[2-(dimethylamino)pyrimidin-4-yl]methyl]amino]benzamide
872707-79-4P, N-(2,2-Difluoro-1,3-benzodioxol-5-yl)-2-[[1-[2-
(methylamino)pyrimidin-4-yl]methyl]amino]benzamide 872707-80-7P,
2-[[[2-(Dimethylamino)pyrimidin-4-yl]methyl]amino]-N-(2,2,3,3-tetrafluoro-
2,3-dihydro-1,4-benzodioxin-6-yl)benzamide 872707-81-8P,
2-[[[2-(Methylamino)pyrimidin-4-yl]methyl]amino]-N-(2,2,3,3-tetrafluoro-
2,3-dihydro-1,4-benzodioxin-6-yl)benzamide 872707-82-9P,
4-[[[2-[[(2,2-Difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]me
thyl]-N-(2-methoxyethyl)pyridine-2-carboxamide 872707-84-1P,
4-[[[2-[[(2,2-Difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]-4-
fluorophenyl]amino]methyl]-N-(2-methoxyethyl)pyridine-2-carboxamide
872707-85-2P, N-Cyclopropyl-4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-
5-yl)amino]carbonyl]phenyl]amino]methyl]pyridine-2-carboxamide
872707-90-9P, 4-[[[2-[[(2,2-Difluoro-1,3-benzodioxol-5-
yl)amino]carbonyl]phenyl]amino]methyl]-N-[(2-furyl)methyl]pyridine-2-
carboxamide 872707-92-1P, 4-[[[2-[[(2,2-Difluoro-1,3-benzodioxol-
5-yl)amino]carbonyl]phenyl]amino]methyl]-N-(2,3-dihydroxypropyl)pyridine-2-
carboxamide 872707-93-2P, 4-[[[2-[[(2,2-Difluoro-1,3-benzodioxol-
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carboxamide 872707-94-3P, N-(tert-Butyl)-4-[[[2-[[(2,2-difluoro-
1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]pyridine-2-
carboxamide 872707-95-4P, 4-[[[2-[[(2,2-Difluoro-1,3-benzodioxol-
5-yl)amino]carbonyl]phenyl]amino]methyl]-N-[2-
(methylsulfonyl) ethyl]pyridine-2-carboxamide 872707-97-6P,
4-[[[2-[[(2,2-Difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]me
thyl]-N-[(1-ethylpyrrolidin-2-yl)methyl]pyridine-2-carboxamide
872707-98-7P, 4-[[[2-[[(2,2-Difluoro-1,3-benzodioxol-5-
yl)amino]carbonyl]phenyl]amino]methyl]-N-[2-(dimethylamino)ethyl]pyridine-
2-carboxamide 872707-99-8P, 4-[[[2-[[(2,2-Difluoro-1,3-
benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]-N-[3-
(dimethylamino)propyl]pyridine-2-carboxamide 872708-00-4P,
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N-[3-(Diethylamino)propyl]-4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-
yl)amino]carbonyl]phenyl]amino]methyl]pyridine-2-carboxamide
872708-01-5P, N-[2-(Diethylamino)ethyl]-4-[[[2-[[(2,2-difluoro-1,3-
benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]pyridine-2-carboxamide
872708-02-6P, 4-[[[2-[[(2,2-Difluoro-1,3-benzodioxol-5-
yl)amino]carbonyl]phenyl]amino]methyl]-N-(3-methoxypropyl)pyridine-2-
carboxamide 872708-03-7P, 4-[[[2-[[(2,2-Difluoro-1,3-benzodioxol-
5-yl)amino]carbonyl]phenyl]amino]methyl]-N-[4-
(dimethylamino) butyl]pyridine-2-carboxamide 872708-04-8P,
4-[[[2-[[(2,2-Difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]me
thyl]-N-(2-hydroxyethyl)pyridine-2-carboxamide 872708-05-9P,
4-[[[2-[[(2,2-Difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]me
thyl]-N-[3-(pyrrolidin-1-yl)propyl]pyridine-2-carboxamide
872708-06-0P, 4-[[[2-[[(2,2-Difluoro-1,3-benzodioxol-5-
yl)amino]carbonyl]phenyl]amino]methyl]-N-(3-hydroxypropyl)pyridine-2-
carboxamide 872708-07-1P, 4-[[[2-[[(2,2-Difluoro-1,3-benzodioxol-
5-yl)amino]carbonyl]phenyl]amino]methyl]-N-[2-(1H-imidazol-5-
yl)ethyl]pyridine-2-carboxamide 872708-08-2P,
N-(3-Amino-3-oxopropyl)-4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-
yl)amino]carbonyl]phenyl]amino]methyl]pyridine-2-carboxamide
872708-09-3P, 4-[[[2-[[(2,2-Difluoro-1,3-benzodioxol-5-
yl)amino]carbonyl]phenyl]amino]methyl]-N-[3-(1H-imidazol-1-
yl)propyl]pyridine-2-carboxamide 872708-10-6P,
4-[[[2-[[(2,2-Difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]me
thyl]-N-[4-(pyrrolidin-1-yl)butyl]pyridine-2-carboxamide
872708-11-7P, 4-[[[2-[[(2,2-Difluoro-1,3-benzodioxol-5-
yl)amino]carbonyl]phenyl]amino]methyl]-N-[3-(piperidin-1-
yl)propyl]pyridine-2-carboxamide 872708-12-8P,
N-[[4-[[[2-[[(2,2-Difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amin
o]methyl]pyridin-2-yl]carbonyl]-β-alanine 872708-13-9P,
4-[[[2-[[(2,2-Difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]me
thyl]-N-[5-(dimethylamino)pentyl]pyridine-2-carboxamide
872708-14-0P, 4-[[[2-[[(2,2-Difluoro-1,3-benzodioxol-5-
yl)amino]carbonyl]phenyl]amino]methyl]-N-[3-(4-methylpiperazin-1-
yl)propyl]pyridine-2-carboxamide 872708-15-1P,
4-[[[2-[[(2,2-Difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]me
thyl]-N-[2-(1H-indol-3-yl)ethyl]pyridine-2-carboxamide
872708-16-2P, 4-[[[2-[[(2,2-Difluoro-1,3-benzodioxol-5-
yl)amino]carbonyl]phenyl]amino]methyl]-N-[2-(2-
hydroxyethoxy)ethyl]pyridine-2-carboxamide 872708-17-3P,
4-[[[2-[[(2,2-Difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]me
thyl]-N-[(pyridin-4-yl)methyl]pyridine-2-carboxamide 872708-18-4P
, N-[3-(Dibutylamino)propyl]-4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-
yl)amino]carbonyl]phenyl]amino]methyl]pyridine-2-carboxamide
872708-19-5P, 4-[[[2-[[(2,2-Difluoro-1,3-benzodioxol-5-
yl)amino]carbonyl]phenyl]amino]methyl]-N-[2-[ethyl(3-
methylphenyl)amino]ethyl]pyridine-2-carboxamide 872708-20-8P,
4-[[[2-[[(2,2-Difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]me
thyl]-N-[3-[methyl(phenyl)amino]propyl]pyridine-2-carboxamide
872708-21-9P, N-[4-(Diethylamino)-1-methylbutyl]-4-[[[2-[[(2,2-
difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]pyridine-
2-carboxamide 872708-22-0P, 4-[[[2-[[(2,2-Difluoro-1,3-
benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]-N-[1-(hydroxymethyl)-
3-methylbutyl]pyridine-2-carboxamide 872708-23-1P,
4-[[[2-[[(2,2-Difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]me
thyl]-N-(3-ethoxypropyl)pyridine-2-carboxamide 872708-24-2P,
4-[[[2-[[(2,2-Difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]me
thyl]-N-(3-isopropoxypropyl)pyridine-2-carboxamide 872708-25-3P,
N-(3-Butoxypropyl)-4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-
yl)amino]carbonyl]phenyl]amino]methyl]pyridine-2-carboxamide
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872708-26-4P, N-[3-(Azepan-1-yl)propyl]-4-[[[2-[[(2,2-difluoro-1,3-
benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]pyridine-2-carboxamide
872708-27-5P, 4-[[[2-[[(2,2-Difluoro-1,3-benzodioxol-5-
yl)amino]carbonyl]phenyl]amino]methyl]-N-(2-propoxyethyl)pyridine-2-
carboxamide 872708-28-6P, 4-[[[2-[[(2,2-Difluoro-1,3-benzodioxol-
5-yl)amino]carbonyl]phenyl]amino]methyl]-N-[2-(3-
ethoxyphenyl)ethyl]pyridine-2-carboxamide 872708-29-7P,
4-[[[2-[[(2,2-Difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]me
thyl]-N-[2-(dimethylamino)-1-methylethyl]pyridine-2-carboxamide
872708-30-0P, 4-[[[2-[[(2,2-Difluoro-1,3-benzodioxol-5-
yl)amino]carbonyl]phenyl]amino]methyl]-N-[2-(1-methylpyrrolidin-2-
yl)ethyl]pyridine-2-carboxamide 872708-31-1P,
N-(1-Benzylpyrrolidin-3-yl)-4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-
yl)amino]carbonyl]phenyl]amino]methyl]pyridine-2-carboxamide
872708-32-2P, 4-[[[2-[[(2,2-Difluoro-1,3-benzodioxol-5-
yl)amino]carbonyl]phenyl]amino]methyl]-N-[3-(2-methylpiperidin-1-
yl)propyl]pyridine-2-carboxamide 872708-33-3P,
4-[[{2-[[(2,2-Difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]me
thyl]-N-[2-(pyridin-2-yl)ethyl]pyridine-2-carboxamide 872708-34-4P
, 4-[[[2-[[(2,2-Difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]
methyl]-N-[3-(dimethylamino)-2,2-dimethylpropyl]pyridine-2-carboxamide
872708-35-5P, 4-[[[2-[[(2,2-Difluoro-1,3-benzodioxol-5-
yl) amino] carbonyl] phenyl] amino] methyl] -N-[2-(4-
methoxyphenyl)ethyl]pyridine-2-carboxamide 872708-36-6P,
4-[[[2-[[(2,2-Difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]me
thyl]-N-[3-(2-oxopyrrolidin-1-yl)propyl]pyridine-2-carboxamide
872708-37-7P, 4-[[[2-[[(2,2-Difluoro-1,3-benzodioxol-5-
yl)amino]carbonyl]phenyl]amino]methyl]-N-(3-propoxypropyl)pyridine-2-
carboxamide 872708-38-8P, 4-[[[2-[[(2,2-Difluoro-1,3-benzodioxol-
5-yl)amino]carbonyl]phenyl]amino]methyl]-N-[2-(4-ethoxy-3-
methoxyphenyl)ethyl]pyridine-2-carboxamide 872708-39-9P,
4-[[[2-[[(2,2-Difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]me
thyl]-N-[2-(3-ethoxy-4-methoxyphenyl)ethyl]pyridine-2-carboxamide
872708-40-2P, 4-[[[2-[[(2,2-Difluoro-1,3-benzodioxol-5-
yl)amino]carbonyl]phenyl]amino]methyl]-N-[(1S)-1-(hydroxymethyl)-3-
(methylthio)propyl]pyridine-2-carboxamide 872708-41-3P,
4-[[[2-[[(2,2-Difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]me
thyl]-N-[2-(2-thienyl)ethyl]pyridine-2-carboxamide 872708-42-4P,
4-[[[2-[[(2,2-Difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]me
thyl]-N-[2-(4-hydroxyphenyl)ethyl]pyridine-2-carboxamide
872708-43-5P, 4-[[[2-[[(2,2-Difluoro-1,3-benzodioxol-5-
yl) amino] carbonyl] phenyl] amino] methyl] -N-[2-(4-
methoxyphenoxy)propyl]pyridine-2-carboxamide 872708-44-6P,
4-[[[2-[[(2,2-Difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]me
thyl]-N-[2-(4-methoxyphenyl)ethyl]-N-methylpyridine-2-carboxamide
872708-45-7P, 4-[[[2-[[(2,2-Difluoro-1,3-benzodioxol-5-
yl)amino]carbonyl]phenyl]amino]methyl]-N-[2-hydroxy-3-(4-
methoxyphenoxy)propyl]pyridine-2-carboxamide 872708-46-8P,
4-[[[2-[[(2,2-Difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]me
thyl]-N-[4-(morpholin-4-yl)benzyl]pyridine-2-carboxamide
872708-48-0P, N-(2,2-Difluoro-1,3-benzodioxol-5-yl)-2-[[[2-[(2-
hydroxyethyl) amino] pyridin-4-yl] methyl] amino] benzamide
mono(trifluoroacetate) 872708-51-5P, N-(2,2-Difluoro-1,3-
benzodioxol-5-yl)-2-[[[2-[(2-methoxyethyl)amino]pyridin-4-
yl]methyl]amino]benzamide mono(trifluoroacetate) 872708-53-7P,
N-(2,2-Difluoro-1,3-benzodioxol-5-yl)-2-[[[2-[(3-
methoxypropyl)amino]pyridin-4-yl]methyl]amino]benzamide
mono(trifluoroacetate) 872708-55-9P, N-(2,2-Difluoro-1,3-
benzodioxol-5-yl)-2-[[[2-[(3-hydroxypropyl)amino]pyridin-4-
yl]methyl]amino]benzamide mono(trifluoroacetate) 872708-57-1P,
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N-(2,2-Difluoro-1,3-benzodioxol-5-yl)-2-[[[2-[[2-(2-
hydroxyethoxy)ethyl]amino]pyridin-4-yl]methyl]amino]benzamide
mono(trifluoroacetate) 872708-59-3P, N-(2,2-Difluoro-1,3-
benzodioxol-5-yl)-2-[[[2-(methylamino)pyridin-4-yl]methyl]amino]benzamide
mono(trifluoroacetate) 872708-61-7P, N-(2,2-Difluoro-1,3-
benzodioxol-5-yl)-2-[[[2-[(3-isopropoxypropyl)amino]pyridin-4-
yl]methyl]amino]benzamide mono(trifluoroacetate) 872708-63-9P,
N-(2,2-Difluoro-1,3-benzodioxol-5-yl)-2-[[[2-[(3-hydroxy-2,2-
dimethylpropyl)amino]pyridin-4-yl]methyl]amino]benzamide
mono(trifluoroacetate) 872708-65-1P, 2-[[[2-[(3-Amino-2-
hydroxypropyl)amino]pyridin-4-yl]methyl]amino]-N-(2,2-difluoro-1,3-
benzodioxol-5-yl)benzamide bis(trifluoroacetate) 872708-67-3P,
N-(2,2-Difluoro-1,3-benzodioxol-5-yl)-2-[[[2-[[3-
(dimethylamino)propyl]amino]pyridin-4-yl]methyl]amino]benzamide
bis(trifluoroacetate) 872708-69-5P, N-(2,2-Difluoro-1,3-
benzodioxol-5-yl)-2-[[[2-[[3-(morpholin-4-yl)propyl]amino]pyridin-4-
yl]methyl]amino]benzamide bis(trifluoroacetate) 872708-71-9P,
N-(2,2-Difluoro-1,3-benzodioxol-5-yl)-2-[[[2-[[2-(1-methylpyrrolidin-2-
yl)ethyl]amino]pyridin-4-yl]methyl]amino]benzamide bis(trifluoroacetate)
872708-73-1P, N-(2,2-Difluoro-1,3-benzodioxol-5-yl)-2-[[[2-[[3-(1H-
imidazol-1-yl)propyl]amino]pyridin-4-yl]methyl]amino]benzamide
bis(trifluoroacetate) 872708-75-3P, N-(2,2-Difluoro-1,3-
benzodioxol-5-yl)-2-[[[2-[[2-(1H-imidazol-4-yl)ethyl]amino]pyridin-4-
yl]methyl]amino]benzamide bis(trifluoroacetate) 872708-77-5P,
N-(2,2-Difluoro-1,3-benzodioxol-5-yl)-2-[[[2-[[(tetrahydrofuran-2-
yl) methyl]amino]pyridin-4-yl]methyl]amino]benzamide mono(trifluoroacetate)
872708-79-7P, N-(2,2-Difluoro-1,3-benzodioxol-5-yl)-2-[[[2-[(2,3-
dihydroxypropyl)amino]pyridin-4-yl]methyl]amino]benzamide
mono(trifluoroacetate) 872708-81-1P, N-(2,2-Difluoro-1,3-
benzodioxol-5-yl)-2-[[[2-[(2-phenylethyl)amino]pyridin-4-
yl]methyl]amino]benzamide mono(trifluoroacetate) 872708-82-2P,
N-(2,2-Difluoro-1,3-benzodioxol-5-yl)-2-[[[2-[(2-
methoxypropanoyl)amino]pyridin-4-yl]methyl]amino]benzamide
872708-83-3P, 2-[[[2-(Acetylamino)pyridin-4-yl]methyl]amino]-N-
(2,2-difluoro-1,3-benzodioxol-5-yl)benzamide 872708-85-5P,
N-(2,2-Difluoro-1,3-benzodioxol-5-yl)-2-[[[2-[[(2-
methoxyethoxy) acetyl] amino] pyridin-4-yl] methyl] amino] benzamide
872708-86-6P, N-(2,2-Difluoro-1,3-benzodioxol-5-yl)-2-[[[2-
[(methoxyacetyl)amino]pyridin-4-yl]methyl]amino]benzamide
872708-87-7P, 2-[[4-[[[2-[[(2,2-Difluoro-1,3-benzodioxol-5-
yl)amino]carbonyl]phenyl]amino]methyl]pyridin-2-yl]amino]-2-oxoethyl
acetate 872708-88-8P, Methyl [2-[[4-[[[2-[[(2,2-difluoro-1,3-
benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]pyridin-2-yl]amino]-2-
oxoethoxy]acetate 872708-89-9P 872708-90-2P,
N-(2,2-Difluoro-1,3-benzodioxol-5-yl)-2-[[[2-[(2-methoxy-2-
methylpropanoyl)amino]pyridin-4-yl]methyl]amino]benzamide
872708-91-3P, N-(2,2-Difluoro-1,3-benzodioxol-5-yl)-2-[[[2-
[[(ethylamino)carbonyl]amino]pyridin-4-yl]methyl]amino]benzamide
872708-92-4P, N-(2,2-Difluoro-1,3-benzodioxol-5-yl)-2-[[[2-[[[(4-
methoxyphenyl)amino]carbonyl]amino]pyridin-4-yl]methyl]amino]benzamide
872708-93-5P, 2-[[[2-[(Anilinocarbonyl)amino]pyridin-4-
yl]methyl]amino]-N-(2,2-difluoro-1,3-benzodioxol-5-yl)benzamide
872708-94-6P, N-(2,2-Difluoro-1,3-benzodioxol-5-yl)-2-[[[2-
[[(methylamino)carbonyl]amino]pyridin-4-yl]methyl]amino]benzamide
872708-95-7P, 2-[[[2-[[[(3-Cyanophenyl)amino]carbonyl]amino]pyridi
n-4-yl]methyl]amino]-N-(2,2-difluoro-1,3-benzodioxol-5-yl)benzamide
872708-96-8P, N-(2,2-Difluoro-1,3-benzodioxol-5-yl)-2-[[[2-[[[(3-
methoxyphenyl)amino]carbonyl]amino]pyridin-4-yl]methyl]amino]benzamide
872708-97-9P, N-(2,2-Difluoro-1,3-benzodioxol-5-yl)-2-[[[2-[[[(2,3-
dihydro-1H-inden-5-yl)amino]carbonyl]amino]pyridin-4-
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yl]methyl]amino]benzamide 872708-98-0P, N-(2,2-Difluoro-1,3benzodioxol-5-yl)-2-[[[2-[[(propylamino)carbonyl]amino]pyridin-4yl]methyl]amino]benzamide 872708-99-1P, 2-[[[2-[[(Butylamino)carbonyl]amino]pyridin-4-yl]methyl]amino]-N-(2,2-difluoro-1,3-benzodioxol-5-yl)benzamide 872709-00-7P , N-(2,2-Difluoro-1,3-benzodioxol-5-yl)-2-[[[2-[[[(3methylbenzyl)amino]carbonyl]amino]pyridin-4-yl]methyl]amino]benzamide 872709-01-8P, 2-[[[2-[[(Benzylamino)carbonyl]amino]pyridin-4yl]methyl]amino]-N-(2,2-difluoro-1,3-benzodioxol-5-yl)benzamide 872709-02-9P, N-(2,2-Difluoro-1,3-benzodioxol-5-yl)-2-[[[2-[[[(2furyl)methyl]amino]carbonyl]amino]pyridin-4-yl]methyl]amino]benzamide 872709-03-0P, N-(2,2-Difluoro-1,3-benzodioxol-5-yl)-2-[[[2-[[(dimethylamino)carbonyl]amino]pyridin-4-yl]methyl]amino]benzamide 872709-04-1P, N-(2,2-Difluoro-1,3-benzodioxol-5-yl)-2-[[[2-[(methylsulfonyl)amino]pyridin-4-yl]methyl]amino]benzamide 872709-06-3P, N-(2,2-Difluoro-1,3-benzodioxol-5-yl)-2-[[[2-[(4methyl-1,3-thiazol-2-yl)amino]pyridin-4-yl]methyl]amino]benzamide 872709-07-4P, N-(2,2-Difluoro-1,3-benzodioxol-5-yl)-2-[[[2-(hydroxymethyl)pyridin-4-yl]methyl]amino]benzamide RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (drug candidate; preparation of 2-aminoarenecarboxamides useful as cancer chemotherapeutic agents) RN872707-17-0 CAPLUS Benzamide, 5-methoxy-2-[(4-pyridinylmethyl)amino]-N-(2,2,3,3-tetrafluoro-CN 2,3-dihydro-1,4-benzodioxin-6-yl)- (9CI) (CA INDEX NAME)

RN 872707-20-5 CAPLUS
CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-(2,2,4,4-tetrafluoro-4H-1,3-benzodioxin-6-yl)- (9CI) (CA INDEX NAME)

RN 872707-21-6 CAPLUS
CN 2-Pyridinecarboxamide, N-methyl-4-[[[2-[[(2,2,3,3-tetrafluoro-2,3-dihydro-1,4-benzodioxin-6-yl)amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX

NAME)

RN 872707-24-9 CAPLUS

CN 2-Pyridinecarboxamide, N-methyl-4-[[[2-[[(2,2,4,4-tetrafluoro-4H-1,3-benzodioxin-6-yl)amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 872707-25-0 CAPLUS

CN Benzamide, N-(2,2-difluoro-1,3-benzodioxol-5-yl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 872707-26-1 CAPLUS

CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-(2,2,3,3-tetrafluoro-2,3-dihydro-1,4-benzodioxin-6-yl)- (9CI) (CA INDEX NAME)

RN 872707-27-2 CAPLUS

CN Benzamide, 3-methoxy-2-[(4-pyridinylmethyl)amino]-N-(2,2,3,3-tetrafluoro-2,3-dihydro-1,4-benzodioxin-6-yl)- (9CI) (CA INDEX NAME)

RN 872707-28-3 CAPLUS

CN Benzamide, 3-methoxy-2-[(4-pyridinylmethyl)amino]-N-(2,2,4,4-tetrafluoro-4H-1,3-benzodioxin-6-yl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & \\ & & \\ NH-CH_2 & & \\$$

RN 872707-29-4 CAPLUS

CN Benzamide, 2-methoxy-6-[(4-pyridinylmethyl)amino]-N-(2,2,3,3-tetrafluoro-2,3-dihydro-1,4-benzodioxin-6-yl)- (9CI) (CA INDEX NAME)

RN 872707-30-7 CAPLUS

CN Benzamide, 2-methoxy-6-[(4-pyridinylmethyl)amino]-N-(2,2,4,4-tetrafluoro-4H-1,3-benzodioxin-6-yl)- (9CI) (CA INDEX NAME)

RN 872707-31-8 CAPLUS

CN Benzamide, N-(2,2-difluoro-1,3-benzodioxol-5-yl)-2-methoxy-6-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} N \\ \hline NH-CH_2 \\ \hline C-NH \\ O \\ O \\ \end{array}$$

RN 872707-41-0 CAPLUS

CN Benzamide, 4-fluoro-2-[(4-pyridinylmethyl)amino]-N-(2,2,3,3-tetrafluoro-2,3-dihydro-1,4-benzodioxin-6-yl)- (9CI) (CA INDEX NAME)

RN 872707-42-1 CAPLUS

CN Benzamide, 5-fluoro-2-[(4-pyridinylmethyl)amino]-N-(2,2,3,3-tetrafluoro-2,3-dihydro-1,4-benzodioxin-6-yl)- (9CI) (CA INDEX NAME)

RN 872707-43-2 CAPLUS

CN Benzamide, N-(2,2-difluoro-1,3-benzodioxol-5-yl)-5-fluoro-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 872707-44-3 CAPLUS

CN Benzamide, N-(2,2-difluoro-1,3-benzodioxol-5-yl)-4-fluoro-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 872707-45-4 CAPLUS

CN Benzamide, 2-[[(2-cyano-4-pyridinyl)methyl]amino]-N-(2,2,3,3-tetrafluoro-2,3-dihydro-1,4-benzodioxin-6-yl)- (9CI) (CA INDEX NAME)

RN 872707-47-6 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 872707-49-8 CAPLUS

CN Benzamide, 2-[[(2-chloro-6-methyl-4-pyrimidinyl)methyl]amino]-N-(2,2,3,3-tetrafluoro-2,3-dihydro-1,4-benzodioxin-6-yl)- (9CI) (CA INDEX NAME)

RN 872707-50-1 CAPLUS

CN Benzamide, 2-[[(2-cyano-4-pyridinyl)methyl]amino]-5-fluoro-N-(2,2,3,3-tetrafluoro-2,3-dihydro-1,4-benzodioxin-6-yl)- (9CI) (CA INDEX NAME)

RN 872707-53-4 CAPLUS

CN Benzamide, 2-[[(2-cyano-4-pyridinyl)methyl]amino]-4-fluoro-N-(2,2,3,3-tetrafluoro-2,3-dihydro-1,4-benzodioxin-6-yl)- (9CI) (CA INDEX NAME)

RN 872707-54-5 CAPLUS

CN Benzamide, 2-[[(2-cyano-4-pyridinyl)methyl]amino]-N-(2,2-difluoro-1,3-benzodioxol-5-yl)-4-fluoro- (9CI) (CA INDEX NAME)

RN 872707-55-6 CAPLUS

CN Benzamide, 2-[[(2-cyano-4-pyridinyl)methyl]amino]-N-(2,2-difluoro-1,3-benzodioxol-5-yl)-5-fluoro- (9CI) (CA INDEX NAME)

RN 872707-56-7 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]-4-fluorophenyl]amino]methyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 872707-57-8 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[5-fluoro-2-[[(2,2,3,3-tetrafluoro-2,3-dihydro-1,4-benzodioxin-6-yl)amino]carbonyl]phenyl]amino]methyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 872707-58-9 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]-5-fluorophenyl]amino]methyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 872707-59-0 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[4-fluoro-2-[[(2,2,3,3-tetrafluoro-2,3-dihydro-1,4-benzodioxin-6-yl)amino]carbonyl]phenyl]amino]methyl]-N-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & O \\
 & \parallel \\
 & C-NHMe
\end{array}$$

$$\begin{array}{c|c}
 & N & F \\
 & \downarrow \\
 & C-NHMe
\end{array}$$

RN 872707-60-3 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]-4,5-difluorophenyl]amino]methyl]-N-methyl- (9CI) (CA INDEX NAME)

RN

872707-61-4 CAPLUS
Benzamide, 2-[[(2-cyano-4-pyridinyl)methyl]amino]-N-(2,2-difluoro-1,3-CN benzodioxol-5-yl)-4,5-difluoro- (9CI) (CA INDEX NAME)

872707-62-5 CAPLUS RN

2-Pyridinecarboxamide, 4-[[[4,5-difluoro-2-[[(2,2,3,3-tetrafluoro-2,3-CNdihydro-1,4-benzodioxin-6-yl)amino]carbonyl]phenyl]amino]methyl]-N-methyl-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & &$$

RN872707-63-6 CAPLUS

Benzamide, 2-[[(2-cyano-4-pyridinyl)methyl]amino]-4,5-difluoro-N-(2,2,3,3-tetrafluoro-2,3-dihydro-1,4-benzodioxin-6-yl)- (9CI) (CA INDEX NAME) CN

RN 872707-67-0 CAPLUS

CN Benzamide, 2-[[[2-(4,5-dihydro-1H-imidazol-2-yl)-4-pyridinyl]methyl]amino]-N-(2,2,4,4-tetrafluoro-4H-1,3-benzodioxin-6-yl)- (9CI) (CA INDEX NAME)

RN 872707-68-1 CAPLUS

CN Benzamide, N-(2,2-difluoro-1,3-benzodioxol-5-yl)-2-[[[2-(4,5-dihydro-1H-imidazol-2-yl)-4-pyridinyl]methyl]amino]-5-fluoro-(9CI) (CA INDEX NAME)

RN 872707-69-2 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]-4-fluorophenyl]amino]methyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 872707-70-5 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[4,5-difluoro-2-[[(2,2,3,3-tetrafluoro-2,3-dihydro-1,4-benzodioxin-6-yl)amino]carbonyl]phenyl]amino]methyl]-N-ethyl-(9CI) (CA INDEX NAME)

RN 872707-72-7 CAPLUS

CN 2-Pyridinecarboxamide, N-ethyl-4-[[[2-[[(2,2,3,3-tetrafluoro-2,3-dihydro-1,4-benzodioxin-6-yl)amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 872707-73-8 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]-N-ethyl- (9CI) (CA INDEX NAME)

RN 872707-74-9 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]-4-fluorophenyl]amino]methyl]-N-ethyl- (9CI) (CA INDEX NAME)

RN 872707-75-0 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]-5-fluorophenyl]amino]methyl]-N-ethyl- (9CI) (CA INDEX NAME)

RN 872707-77-2 CAPLUS

CN Benzamide, N-(2,2-difluoro-1,3-benzodioxol-5-yl)-2-[[[2-(dimethylamino)-4-pyrimidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 872707-79-4 CAPLUS

CN Benzamide, N-(2,2-difluoro-1,3-benzodioxol-5-yl)-2-[[[2-(methylamino)-4-pyrimidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 872707-80-7 CAPLUS

CN Benzamide, 2-[[[2-(dimethylamino)-4-pyrimidinyl]methyl]amino]-N-(2,2,3,3-tetrafluoro-2,3-dihydro-1,4-benzodioxin-6-yl)- (9CI) (CA INDEX NAME)

RN 872707-81-8 CAPLUS

CN Benzamide, 2-[[[2-(methylamino)-4-pyrimidinyl]methyl]amino]-N-(2,2,3,3-tetrafluoro-2,3-dihydro-1,4-benzodioxin-6-yl)- (9CI) (CA INDEX NAME)

RN 872707-82-9 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)

RN 872707-84-1 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]-4-fluorophenyl]amino]methyl]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)

RN 872707-85-2 CAPLUS

CN 2-Pyridinecarboxamide, N-cyclopropyl-4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 872707-90-9 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]-N-(2-furanylmethyl)- (9CI) (CA INDEX NAME)

RN 872707-92-1 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]-N-(2,3-dihydroxypropyl)- (9CI) (CA INDEX NAME)

RN 872707-93-2 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 872707-94-3 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]-N-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 872707-95-4 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]-N-[2-(methylsulfonyl)ethyl]- (9CI) (CA INDEX NAME)

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RN 872707-97-6 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]-N-[(1-ethyl-2-pyrrolidinyl)methyl]-(9CI) (CA INDEX NAME)

RN 872707-98-7 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]-N-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)

RN 872707-99-8 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]-N-[3-(dimethylamino)propyl]- (9CI) (CA INDEX NAME)

RN 872708-00-4 CAPLUS

CN 2-Pyridinecarboxamide, N-[3-(diethylamino)propyl]-4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 872708-01-5 CAPLUS

CN 2-Pyridinecarboxamide, N-[2-(diethylamino)ethyl]-4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & O \\
 & | \\
 & C - NH - CH_2 - CH_2 - NEt_2
\end{array}$$

$$\begin{array}{c|c}
 & O \\
 & C - NH - CH_2 - CH_2 - NEt_2
\end{array}$$

RN 872708-02-6 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]-N-(3-methoxypropyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & O \\
 & | \\
 & C - NH - (CH_2)_3 - OMe
\end{array}$$

$$\begin{array}{c|c}
 & O \\
 & C - NH - (CH_2)_3 - OMe
\end{array}$$

RN 872708-03-7 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]-N-[4-(dimethylamino)butyl]- (9CI) (CA INDEX NAME)

RN 872708-04-8 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]-N-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)

RN 872708-05-9 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]-N-[3-(1-pyrrolidinyl)propyl]- (9CI) (CA INDEX NAME)

RN 872708-06-0 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]-N-(3-hydroxypropyl)- (9CI) (CA INDEX NAME)

RN 872708-07-1 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]-N-[2-(1H-imidazol-4-yl)ethyl]-(9CI) (CA INDEX NAME)

RN 872708-08-2 CAPLUS

CN 2-Pyridinecarboxamide, N-(3-amino-3-oxopropyl)-4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & O & O \\
 & | & C \\
 &$$

RN 872708-09-3 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]-N-[3-(1H-imidazol-1-yl)propyl]-(9CI) (CA INDEX NAME)

RN 872708-10-6 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]-N-[4-(1-pyrrolidinyl)butyl]- (9CI) (CA INDEX NAME)

RN 872708-11-7 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]-N-[3-(1-piperidinyl)propyl]- (9CI) (CA INDEX NAME)

RN 872708-12-8 CAPLUS

CN β-Alanine, N-[[4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5 yl)amino]carbonyl]phenyl]amino]methyl]-2-pyridinyl]carbonyl]- (9CI) (CA
 INDEX NAME)

$$\begin{array}{c|c}
 & O \\
 & \parallel \\
 & C-NH-CH_2-CH_2-CO_2H \\
\hline
 & O \\
 & O \\
 & C-NH-CH_2-CH_2-CO_2H \\
\hline
 & O \\
 & O \\$$

RN 872708-13-9 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]-N-[5-(dimethylamino)pentyl]- (9CI) (CA INDEX NAME)

RN 872708-14-0 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]-N-[3-(4-methyl-1-piperazinyl)propyl]- (9CI) (CA INDEX NAME)

RN 872708-15-1 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]-N-[2-(1H-indol-3-yl)ethyl]- (9CI) (CA INDEX NAME)

RN 872708-16-2 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]-N-[2-(2-hydroxyethoxy)ethyl]- (9CI) (CA INDEX NAME)

RN 872708-17-3 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]-N-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 872708-18-4 CAPLUS

CN 2-Pyridinecarboxamide, N-[3-(dibutylamino)propyl]-4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 872708-19-5 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]-N-[2-[ethyl(3-methylphenyl)amino]ethyl]- (9CI) (CA INDEX NAME)

RN 872708-20-8 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]-N-[3-(methylphenylamino)propyl]-(9CI) (CA INDEX NAME)

RN 872708-21-9 CAPLUS

CN 2-Pyridinecarboxamide, N-[4-(diethylamino)-1-methylbutyl]-4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 872708-22-0 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]-N-[1-(hydroxymethyl)-3-methylbutyl]-(9CI) (CA INDEX NAME)

RN 872708-23-1 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]-N-(3-ethoxypropyl)- (9CI) (CA INDEX NAME)

RN 872708-24-2 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]-N-[3-(1-methylethoxy)propyl]- (9CI) (CA INDEX NAME)

RN 872708-25-3 CAPLUS

CN 2-Pyridinecarboxamide, N-(3-butoxypropyl)-4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 872708-26-4 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]-N-[3-(hexahydro-1H-azepin-1-yl)propyl]- (9CI) (CA INDEX NAME)

RN 872708-27-5 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]-N-(2-propoxyethyl)- (9CI) (CA INDEX NAME)

RN 872708-28-6 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]-N-[2-(3-ethoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)

RN 872708-29-7 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]-N-[2-(dimethylamino)-1-methylethyl]-(9CI) (CA INDEX NAME)

RN 872708-30-0 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]-N-[2-(1-methyl-2-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 872708-31-1 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]-N-[1-(phenylmethyl)-3-pyrrolidinyl]-(9CI) (CA INDEX NAME)

RN 872708-32-2 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]-N-[3-(2-methyl-1-piperidinyl)propyl]- (9CI) (CA INDEX NAME)

RN 872708-33-3 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]-N-[2-(2-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 872708-34-4 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]-N-[3-(dimethylamino)-2,2-dimethylpropyl]- (9CI) (CA INDEX NAME)

RN 872708-35-5 CAPLUS

CN

2-Pyridinecarboxamide, 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]-N-[2-(4-methoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)

RN 872708-36-6 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-(9CI) (CA INDEX NAME)

RN 872708-37-7 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]-N-(3-propoxypropyl)- (9CI) (CA INDEX NAME)

RN 872708-38-8 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]-N-[2-(4-ethoxy-3-methoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)

RN 872708-39-9 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]-N-[2-(3-ethoxy-4-methoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)

RN 872708-40-2 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]-N-[(1S)-1-(hydroxymethyl)-3-(methylthio)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 872708-41-3 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]-N-[2-(2-thienyl)ethyl]- (9CI) (CA INDEX NAME)

RN 872708-42-4 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]-N-[2-(4-hydroxyphenyl)ethyl]- (9CI)

(CA INDEX NAME)

RN 872708-43-5 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]-N-[2-(4-methoxyphenoxy)propyl]-(9CI) (CA INDEX NAME)

RN 872708-44-6 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]-N-[2-(4-methoxyphenyl)ethyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 872708-45-7 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]-N-[2-hydroxy-3-(4-methoxyphenoxy)propyl]- (9CI) (CA INDEX NAME)

RN 872708-46-8 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]-N-[[4-(4-morpholinyl)phenyl]methyl]-(9CI) (CA INDEX NAME)

RN 872708-48-0 CAPLUS

CN Benzamide, N-(2,2-difluoro-1,3-benzodioxol-5-yl)-2-[[[2-[(2-hydroxyethyl)amino]-4-pyridinyl]methyl]amino]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 872708-47-9 CMF C22 H20 F2 N4 O4

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 872708-51-5 CAPLUS
CN Benzamide, N-(2,2-difluoro-1,3-benzodioxol-5-yl)-2-[[[2-[(2-methoxyethyl)amino]-4-pyridinyl]methyl]amino]-, mono(trifluoroacetate)
 (9CI) (CA INDEX NAME)

CM 1

CRN 872708-50-4 CMF C23 H22 F2 N4 O4

$$\begin{array}{c|c} N & N \\ NH-CH_2 & NH-CH_2-CH_2-OMe \\ \hline \\ O & F \\ \end{array}$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 872708-53-7 CAPLUS
CN Benzamide, N-(2,2-difluoro-1,3-benzo

Benzamide, N-(2,2-difluoro-1,3-benzodioxol-5-yl)-2-[[[2-[(3-methoxypropyl)amino]-4-pyridinyl]methyl]amino]-, mono(trifluoroacetate)
(9CI) (CA INDEX NAME)

CM 1

CRN 872708-52-6 CMF C24 H24 F2 N4 O4

$$\begin{array}{c|c} NH-CH_2 & NH-(CH_2)_3-OMe \\ \hline \\ C-NH & F \\ O & F \\ \hline \\ O & F \\ \end{array}$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 872708-55-9 CAPLUS

CN Benzamide, N-(2,2-difluoro-1,3-benzodioxol-5-yl)-2-[[[2-[(3-hydroxypropyl)amino]-4-pyridinyl]methyl]amino]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 872708-54-8 CMF C23 H22 F2 N4 O4

$$NH-CH_2$$
 $NH-CH_2$
 $NH-C$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 872708-57-1 CAPLUS

CN Benzamide, N-(2,2-difluoro-1,3-benzodioxol-5-yl)-2-[[[2-[[2-(2-hydroxyethoxy)ethyl]amino]-4-pyridinyl]methyl]amino]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 872708-56-0 CMF C24 H24 F2 N4 O5

$$NH - CH_2$$
 $NH - CH_2 - CH_2 - O - CH_2 - CH_2 - OH_2 -$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 872708-59-3 CAPLUS

CN Benzamide, N-(2,2-difluoro-1,3-benzodioxol-5-yl)-2-[[[2-(methylamino)-4-pyridinyl]methyl]amino]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM :

CRN 872708-58-2 CMF C21 H18 F2 N4 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

872708-61-7 CAPLUS

RNBenzamide, N-(2,2-difluoro-1,3-benzodioxol-5-yl)-2-[[[2-[[3-(1-methylethoxy)propyl]amino]-4-pyridinyl]methyl]amino]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME) CN

CM1

CRN 872708-60-6 CMF C26 H28 F2 N4 O4

$$\begin{array}{c|c}
NH-CH_2 & NH-(CH_2)_3-OPr-i \\
C-NH & F \\
O & F
\end{array}$$

CM 2

76-05-1 CRN CMF C2 H F3 O2

RN 872708-63-9 CAPLUS

CN Benzamide, N-(2,2-difluoro-1,3-benzodioxol-5-yl)-2-[[[2-[(3-hydroxy-2,2-dimethylpropyl)amino]-4-pyridinyl]methyl]amino]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 872708-62-8 CMF C25 H26 F2 N4 O4

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 872708-65-1 CAPLUS

CN Benzamide, 2-[[[2-[(3-amino-2-hydroxypropyl)amino]-4-pyridinyl]methyl]amino]-N-(2,2-difluoro-1,3-benzodioxol-5-yl)-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 872708-64-0 CMF C23 H23 F2 N5 O4

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 872708-67-3 CAPLUS

CN Benzamide, N-(2,2-difluoro-1,3-benzodioxol-5-yl)-2-[[[2-[[3-(dimethylamino)propyl]amino]-4-pyridinyl]methyl]amino]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 872708-66-2 CMF C25 H27 F2 N5 O3

$$\begin{array}{c|c}
 & \text{NH} - \text{CH}_2 \\
 & \text{NH} - \text{CH}_2 \\
 & \text{C-NH} \\
 & \text{O} \\
 & \text{O} \\
 & \text{F} \\
\end{array}$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 872708-69-5 CAPLUS

CN Benzamide, N-(2,2-difluoro-1,3-benzodioxol-5-yl)-2-[[[2-[[3-(4-morpholinyl)propyl]amino]-4-pyridinyl]methyl]amino]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 872708-68-4 CMF C27 H29 F2 N5 O4

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 872708-71-9 CAPLUS

CN Benzamide, N-(2,2-difluoro-1,3-benzodioxol-5-yl)-2-[[[2-[[2-(1-methyl-2-pyrrolidinyl)ethyl]amino]-4-pyridinyl]methyl]amino]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 872708-70-8 CMF C27 H29 F2 N5 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 872708-73-1 CAPLUS

CN Benzamide, N-(2,2-difluoro-1,3-benzodioxol-5-yl)-2-[[[2-[[3-(1H-imidazol-1-yl)propyl]amino]-4-pyridinyl]methyl]amino]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 872708-72-0 CMF C26 H24 F2 N6 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 872708-75-3 CAPLUS

CN Benzamide, N-(2,2-difluoro-1,3-benzodioxol-5-yl)-2-[[[2-[[2-(1H-imidazol-4-yl)ethyl]amino]-4-pyridinyl]methyl]amino]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 872708-74-2 CMF C25 H22 F2 N6 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 872708-77-5 CAPLUS

CN Benzamide, N-(2,2-difluoro-1,3-benzodioxol-5-yl)-2-[[[2-[[(tetrahydro-2-furanyl)methyl]amino]-4-pyridinyl]methyl]amino]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 872708-76-4 CMF C25 H24 F2 N4 O4

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 872708-79-7 CAPLUS

CN Benzamide, N-(2,2-difluoro-1,3-benzodioxol-5-yl)-2-[[[2-[(2,3-dihydroxypropyl)amino]-4-pyridinyl]methyl]amino]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 872708-78-6 CMF C23 H22 F2 N4 O5

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 872708-81-1 CAPLUS

CN Benzamide, N-(2,2-difluoro-1,3-benzodioxol-5-yl)-2-[[[2-[(2-phenylethyl)amino]-4-pyridinyl]methyl]amino]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 872708-80-0 CMF C28 H24 F2 N4 O3

$$\begin{array}{c|c}
 & NH - CH_2 \\
\hline
 & NH - CH_2 - CH_2 - Ph \\
\hline
 & O \\
 & O \\
\hline
 & O \\
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 & O \\
 & O \\$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 872708-82-2 CAPLUS

CN Benzamide, N-(2,2-difluoro-1,3-benzodioxol-5-yl)-2-[[[2-[(2-methoxy-1-oxopropyl)amino]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 872708-83-3 CAPLUS

CN Benzamide, 2-[[[2-(acetylamino)-4-pyridinyl]methyl]amino]-N-(2,2-difluoro-1,3-benzodioxol-5-yl)- (9CI) (CA INDEX NAME)

RN 872708-85-5 CAPLUS

CN Benzamide, N-(2,2-difluoro-1,3-benzodioxol-5-yl)-2-[[[2-[[(2-methoxyethoxy)acetyl]amino]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 872708-86-6 CAPLUS

CN Benzamide, N-(2,2-difluoro-1,3-benzodioxol-5-yl)-2-[[[2-[(methoxyacetyl)amino]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} NH-CH_2 & NH-C-CH_2-OMe \\ \hline \\ C-NH & F \\ O & F \\ \end{array}$$

RN 872708-87-7 CAPLUS

CN Benzamide, 2-[[[2-[[(acetyloxy)acetyl]amino]-4-pyridinyl]methyl]amino]-N-(2,2-difluoro-1,3-benzodioxol-5-yl)- (9CI) (CA INDEX NAME)

RN 872708-88-8 CAPLUS

CN Acetic acid, [2-[[4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]-2-pyridinyl]amino]-2-oxoethoxy]-, methyl ester (9CI) (CA INDEX NAME)

RN 872708-89-9 CAPLUS

CN Butanoic acid, 4,4'-[[4-[[[2-[[(2,2-difluoro-1,3-benzodioxol-5-yl)amino]carbonyl]phenyl]amino]methyl]-2-pyridinyl]imino]bis[4-oxo-, diethyl ester (9CI) (CA INDEX NAME)

RN 872708-90-2 CAPLUS

CN Benzamide, N-(2,2-difluoro-1,3-benzodioxol-5-yl)-2-[[[2-[(2-methoxy-2-methyl-1-oxopropyl)amino]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 872708-91-3 CAPLUS

CN Benzamide, N-(2,2-difluoro-1,3-benzodioxol-5-yl)-2-[[[2-[[(ethylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 872708-92-4 CAPLUS

CN Benzamide, N-(2,2-difluoro-1,3-benzodioxol-5-yl)-2-[[[2-[[[(4-methoxyphenyl)amino]carbonyl]amino]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 872708-93-5 CAPLUS

CN Benzamide, N-(2,2-difluoro-1,3-benzodioxol-5-yl)-2-[[[2-[[(phenylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 872708-94-6 CAPLUS

CN Benzamide, N-(2,2-difluoro-1,3-benzodioxol-5-yl)-2-[[[2-[[(methylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 872708-95-7 CAPLUS

CN Benzamide, 2-[[[2-[[[(3-cyanophenyl)amino]carbonyl]amino]-4-pyridinyl]methyl]amino]-N-(2,2-difluoro-1,3-benzodioxol-5-yl)-(9CI) (CA INDEX NAME)

RN 872708-96-8 CAPLUS

CN Benzamide, N-(2,2-difluoro-1,3-benzodioxol-5-yl)-2-[[[2-[[[(3-methoxyphenyl)amino]carbonyl]amino]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 872708-97-9 CAPLUS

CN Benzamide, N-(2,2-difluoro-1,3-benzodioxol-5-yl)-2-[[[2-[[[(2,3-dihydro-1H-inden-5-yl)amino]carbonyl]amino]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 872708-98-0 CAPLUS

CN Benzamide, N-(2,2-difluoro-1,3-benzodioxol-5-yl)-2-[[[2-[[(propylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 872708-99-1 CAPLUS

CN Benzamide, 2-[[[2-[[(butylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]-N-(2,2-difluoro-1,3-benzodioxol-5-yl)- (9CI) (CA INDEX NAME)

RN 872709-00-7 CAPLUS

CN Benzamide, N-(2,2-difluoro-1,3-benzodioxol-5-yl)-2-[[[2-[[[(3-methylphenyl)methyl]amino]carbonyl]amino]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 872709-01-8 CAPLUS

CN Benzamide, N-(2,2-difluoro-1,3-benzodioxol-5-yl)-2-[[[2-[[[(phenylmethyl)amino]carbonyl]amino]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 872709-02-9 CAPLUS

CN Benzamide, N-(2,2-difluoro-1,3-benzodioxol-5-yl)-2-[[[2-[[[(2-furanylmethyl)amino]carbonyl]amino]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 872709-03-0 CAPLUS

CN Benzamide, N-(2,2-difluoro-1,3-benzodioxol-5-yl)-2-[[[2-[[(dimethylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 872709-04-1 CAPLUS

CN Benzamide, N-(2,2-difluoro-1,3-benzodioxol-5-yl)-2-[[[2-[(methylsulfonyl)amino]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 872709-06-3 CAPLUS

CN Benzamide, N-(2,2-difluoro-1,3-benzodioxol-5-yl)-2-[[[2-[(4-methyl-2-thiazolyl)amino]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 872709-07-4 CAPLUS

CN Benzamide, N-(2,2-difluoro-1,3-benzodioxol-5-yl)-2-[[[2-(hydroxymethyl)-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} NH-CH_2 & N\\ C-NH & F\\ O & O \end{array}$$

IT 872708-49-1P, 2-[[(2-Chloropyridin-4-yl)methyl]amino]-N-(2,2-

difluoro-1, 3-benzodioxol-5-yl) benzamide 872709-05-2P,

2-[[[2-[Bis(methylsulfonyl)amino]pyridin-4-yl]methyl]amino]-N-(2,2-

difluoro-1,3-benzodioxol-5-yl)benzamide

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 2-aminoarenecarboxamides useful as cancer chemotherapeutic agents)

RN 872708-49-1 CAPLUS

CN Benzamide, 2-[[(2-chloro-4-pyridinyl)methyl]amino]-N-(2,2-difluoro-1,3-benzodioxol-5-yl)- (9CI) (CA INDEX NAME)

RN 872709-05-2 CAPLUS

CN Benzamide, 2-[[[2-[bis(methylsulfonyl)amino]-4-pyridinyl]methyl]amino]-N- (2,2-difluoro-1,3-benzodioxol-5-yl)- (9CI) (CA INDEX NAME)

ANSWER 2 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:1341970 CAPLUS

DOCUMENT NUMBER: 144:142010

TITLE: N-(Aryl)-4-(azolylethyl)thiazole-5-carboxamides: Novel

potent inhibitors of VEGF receptors I and II

AUTHOR(S): Kiselyov, Alexander S.; Piatnitski, Evgueni; Semenova,

Marina; Semenov, Victor V.

CORPORATE SOURCE: Small Molecule Drug Discovery, Chemical Diversity,

Inc., San Diego, CA, 92121, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2006),

16(3), 602-606

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE:

English

AB Novel potent derivs. of N-(aryl)-4-(azolylethyl)thiazole-5-carboxamides are described as inhibitors of vascular endothelial growth factor receptor II (VEGFR-2). Several compds. display VEGFR-2 inhibitory activity reaching IC50 < 100 nM in both enzymic and cellular assays. The compds. also inhibit the related tyrosine kinase, VEGFR-1. By controlling the substitution pattern on the 5-carboxamido pharmacophore, both dual and specific VEGFR-2 thiazoles were identified.

IT 267891-20-3 269390-77-4

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(thiazole derivs. as novel potent inhibitors of VEGF receptors I and II)

RN 267891-20-3 CAPLUS

CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-3-quinolinyl- (9CI) (CA INDEX NAME)

RN 269390-77-4 CAPLUS

CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-[3-(trifluoromethyl)phenyl](9CI) (CA INDEX NAME)

REFERENCE COUNT:

17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:953989 CAPLUS

DOCUMENT NUMBER: 143:242054

TITLE: Pharmaceutical combination comprising a CDK inhibitor

and a VEGF receptor inhibitor

INVENTOR(S): Siemeister, Gerhard

PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany

SOURCE: Eur. Pat. Appl., 40 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

------ ----- -----

EP 1568368 A1 20050831 EP 2004-90071 20040226 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK PRIORITY APPLN. INFO.: EP 2004-90071 20040226

OTHER SOURCE(S): MARPAT 143:242054

Pharmaceutical combinations comprising a cyclin-dependent kinase (CDK) inhibitor and a vascular endothelial growth factor receptor (VEGF-R) inhibitor and their use for the treatment of different diseases are described. A CDK inhibitor and a VEGF-R inhibitor are used as a combined preparation simultaneously, sep. or sequentially. For example, a combination of a CDK inhibitor, i.e., N-[5-[[[5-(1,1-dimethylethyl)-2oxazolyl]methyl]thio]-2-thiazolyl]-4-piperidinecarboxamide and a VEGF-R inhibitor, i.e., (4-chlorophenyl) [4-(4-pyridylmethyl)phthalazin-1yl]ammonium hydrogen succinate was evaluated in a human estrogen-independent mammary carcinoma model, xenografted in mice. The combination of both compds. at a dosing of 10 mg/kg i.p. once daily for the CDK inhibitor and 50 mg/kg per orally twice daily for the VEGF-R inhibitor showed a clear, synergistic or substantially greater, inhibition of tumor growth in comparison to monotherapy and the control group. The results show that a combination therapy using a CDK inhibitor and VEGF-R inhibitor was substantially superior in the efficacy of tumor growth inhibition as compared to monotherapy with the each of the sep. compds.

IT 267891-43-0 524941-35-3

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(combination comprising CDK inhibitor and VEGF receptor inhibitor for treatment or prophylaxis of various diseases)

RN 267891-43-0 CAPLUS

CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-2-quinolinyl- (9CI) (CA INDEX NAME)

RN 524941-35-3 CAPLUS

CN Benzamide, 2-[[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

9

REFERENCE COUNT:

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 4 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN 1.4

ACCESSION NUMBER: 2005:921402 CAPLUS

DOCUMENT NUMBER: 143:318365

TITLE: Identification of ortho-amino benzamides and

nicotinamides as MCHrl antagonists

Vasudevan, Anil; LaMarche, Matthew J.; Blackburn, AUTHOR (S): Christopher; Che, Jennifer Lee; Luchaco-Cullis,

Courtney A.; Lai, Sujen; Marsilje, Thomas H.; Patane, Michael A.; Souers, Andrew J.; Wodka, Derek; Geddes, Bradley; Chen, Sumiao; Brodjian, Seven; Falls, Doug H.; Dayton, Brian D.; Bush, Eugene; Brune, Michael; Shapiro, Robin D.; Marsh, Kennan C.; Hernandez, Lisa E.; Sham, Hing L.; Collins, Christine A.; Kym, Philip

CORPORATE SOURCE: Metabolic Diseases Research, Global Pharmaceutical

Research and Development, Abbott Laboratories, Abbott

Park, IL, 60064, USA

Bioorganic & Medicinal Chemistry Letters (2005), SOURCE:

15(19), 4174-4179

CODEN: BMCLE8; ISSN: 0960-894X

Elsevier B.V. PUBLISHER:

DOCUMENT TYPE: Journal English LANGUAGE:

GI

AB Several potent and efficacious MCHr1 antagonists containing an ortho-amino benzamide or nicotinamide chemotype have been identified, exemplified by compds. (I) and (II).

II

Ι

IT 865169-45-5P 865169-47-7P 865169-55-7P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(identification of ortho-amino benzamides and nicotinamides as MCHr1 antagonists)

RN 865169-45-5 CAPLUS

CN Benzamide, N-[1-(1,3-benzodioxol-5-ylmethyl)-4-piperidinyl]-2-[(cyclohexylmethyl)amino]-5-methoxy- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\$$

RN 865169-47-7 CAPLUS

CN Benzamide, 5-methoxy-2-[(5-pyrimidinylmethyl)amino]-N-[1-[[(5-pyrimidinylmethyl)amino]methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 865169-55-7 CAPLUS

CN Benzamide, N-[1-(1,3-benzodioxol-5-ylmethyl)-4-piperidinyl]-5-methoxy-2-[(2-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:518952 CAPLUS

DOCUMENT NUMBER: 143:229720

TITLE: Preparation of aminobenzamides and

aminopyridinecarboxamides with blood vessel growth

inhibitory activity

INVENTOR(S):

Sun, Zhuangrong; Tao, Hongguang

PATENT ASSIGNEE(S):

Nanjing Kaiheng Science and Trade Co., Ltd., Peop.

Rep. China

SOURCE:

Faming Zhuanli Shenqing Gongkai Shuomingshu, No pp.

given

CODEN: CNXXEV

DOCUMENT TYPE:

Patent

LANGUAGE:

Chinese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1502608	Α	20040609	CN 2002-138671	20021127
PRIORITY APPLN. INFO.:			CN 2002-138671	20021127
GI				

Title compds. I [wherein X = O or S; Y = NH or alkylamino; Z1 - Z4 = CR5 AB or N; A, B = bond, alkylene; R1, Cy = cycloalk(en)yl; R2 = (halo)alkyl, alkenyl; V = C, N or SO2; W, W', R5 = H, halo, alkyl; n = 0-6; etc.], which have blood vessel growth inhibitory activity and can be used for the treatment of such as cancer, diabetes and autoimmune diseases (not data), were prepared For instance, benzamide II was synthesized from 1-phenylcyclobutanecarbonitrile, via (1) nitration with HNO3/H2SO4 in HOAc, (2) nitro reduction with H2/Pd/C, (3) EDCI-mediated coupling with o-aminobenzoic acid, and (4) reductive amination with 4pyridinecarbaldehyde.

IT 811802-99-0P 811803-00-6P 811803-01-7P 811803-02-8P 811803-13-1P 811803-15-3P 862898-03-1P 862898-04-2P 862898-05-3P 862898-06-4P

Ι

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(drug candidate; preparation of benzamides and pyridinecarboxamides with blood vessel growth inhibitory activity)

RN 811802-99-0 CAPLUS

Benzamide, N-[4-(1-cyanocyclobutyl)phenyl]-2-[(4-pyridinylmethyl)amino]-CN (CA INDEX NAME)

RN 811803-00-6 CAPLUS

CN Benzamide, N-[4-(1-cyanocyclopropyl)phenyl]-2-[(4-pyridinylmethyl)amino]-(9CI) (CA INDEX NAME)

RN 811803-01-7 CAPLUS

CN Benzamide, N-[4-(1-cyanocyclopentyl)phenyl]-2-[(4-pyridinylmethyl)amino]-(9CI) (CA INDEX NAME)

RN 811803-02-8 CAPLUS

CN Benzamide, N-[4-(1-cyanocyclohexyl)phenyl]-2-[(4-pyridinylmethyl)amino]-(9CI) (CA INDEX NAME)

RN 811803-13-1 CAPLUS

CN Benzamide, N-(1',2'-dihydro-2'-oxospiro[cyclopentane-1,3'-[3H]indol]-6'-yl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 811803-15-3 CAPLUS

CN Benzamide, N-(1',2'-dihydro-2'-oxospiro[cyclopropane-1,3'-[3H]indol]-6'-yl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 862898-03-1 CAPLUS

CN Benzamide, N-[4-[1-(methoxymethyl)butyl]phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 862898-04-2 CAPLUS

CN Benzamide, N-[4-[1-(hydroxymethyl)butyl]phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 862898-05-3 CAPLUS

CN Benzamide, N-(4-ethynylphenyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 862898-06-4 CAPLUS

CN Benzamide, N-(3-ethynylphenyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

$$C = CH$$

$$C = CH$$

L4 ANSWER 6 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:29180 CAPLUS

DOCUMENT NUMBER: 142:134597

TITLE: Preparation of pyrazoles as inhibitors of cyclin

dependent kinases, glycogen synthase kinase-3 and

Aurora kinase

INVENTOR(S): Berdini, Valerio; O'Brien, Michael Alistair; Carr,

Maria Grazia; Early, Theresa Rachel; Navarro, Eva

Figueroa; Gill, Adrian Liam; Howard, Steven;

Trewartha, Gary; Woolford, Alison Jo-Anne; Woodhead,

Andrew James; Wyatt, Paul

PATENT ASSIGNEE(S): Astex Technology Limited, UK

SOURCE: PCT Int. Appl., 287 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

			DATE			APPL	ICAT		DATE								
WO	WO 2005002552 WO 2005002552						20050113								2004070		
	W:	AE, CN, GE, LK, NO, TJ,	AG, CO, GH, LR, NZ, TM,	AL, CR, GM, LS, OM, TN,	AM, CU, HR, LT, PG, TR,	AT, CZ, HU, LU, PH, TT,	AU, DE, ID, LV, PL, TZ, MW,	AZ, DK, IL, MA, PT, UA,	DM, IN, MD, RO, UG,	DZ, IS, MG, RU, US,	EC, JP, MK, SC, UZ,	EE, KE, MN, SD, VC,	EG, KG, MW, SE, VN,	ES, KP, MX, SG, YU,	FI, KR, MZ, SK, ZA,	GB, KZ, NA, SL, ZM,	GD, LC, NI, SY, ZW
		EE, SI,	ES,	FI, TR,	FR,	GB,	RU, GR, CF,	HU,	IE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,
CA 2531050 PRIORITY APPLN. INFO.:					AA 20050113					CA 2004-2531050 GB 2003-15657 US 2003-484685P GB 2003-24919 US 2003-514374P					A 20030703 P 20030703 A 20031024		
OTHER SOURCE(S):					MAR	PAT	142:	1345								0031	

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II

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AΒ The title compds. I [X = CR5, N; A = a bond, (CH2)m(B)n; B = C(O), NRgC(O)or OC(O); Rg = H, alkyl (optionally substituted by hydroxy or alkoxy); m = 0-2; n=0-1; R0=H or, together with NRg when present, forms a group (CH2)p; p=2-4; R1=H, carbocyclic or heterocyclic group having from 3 to 12 ring members, or (un) substituted alkyl; R2 = H, halo, OMe, (un) substituted alkyl; R3 and R4 together with the carbon atoms to which they are attached form an optionally substituted fused carbocyclic or heterocyclic ring having from 5 to 7 ring members of which up to 3 can be heteroatoms selected from N, O and S; R5 = H, R2, R10 (wherein R10 = halo, OH, CF3, CN, NO2, CO2H, NH2, mono- or dialkylamino, carbocyclic and heterocyclic groups having from 3 to 12 ring members)] which are inhibitors of cyclin dependent kinases, glycogen synthase kinase-3 and

CN

Aurora kinases for use in the treatment of disease states and conditions such as cancer that are mediated by the kinases, were prepared and formulated. Thus, reacting benzoic acid with 3-(1H-benzimidazol-2-yl)-1H-pyrazol-4-ylamine in the presence of EDC and HOBt in DMF afforded 30% II which has IC50 of < 10 μ M or provides at least 50% inhibition of the CDK2 activity at a concentration of 10 μ M.

IT 825618-49-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazoles as inhibitors of cyclin dependent kinases, glycogen synthase kinase-3 and Aurora kinase)

RN 825618-49-3 CAPLUS

Benzamide, N-[3-[5-(4-morpholinylmethyl)-1H-benzimidazol-2-yl]-1H-pyrazol-4-yl]-2-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:1127340 CAPLUS

DOCUMENT NUMBER: 142:74461

TITLE: Preparation of pyridonylmethyl anthranylamides as

inhibitors of vascular endothelial growth factor

receptors VEGFR-2 and VEGFR-3.

INVENTOR(S): Huth, Andreas; Krueger, Martin; Zorn, Ludwig; Ince,

Stuart; Bohlmann, Rolf; Thierauch, Karl-Heinz; Menrad,

Andreas; Haberey, Martin; Hess-Stumpp, Holger

PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany

SOURCE: PCT Int. Appl., 36 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004111005	A1	20041223	WO 2004-EP6236	20040609
W: AE, AG,	L, AM, AT	', AU, AZ, BA	A, BB, BG, BR, BW, B	Y, BZ, CA, CH,
CN, CO,	R, CU, CZ	, DK, DM, DZ	Z, EC, EE, EG, ES, F	I, GB, GD, GE,
GH, GM,	R, HU, ID	, IL, IN, IS	S, JP, KE, KG, KP, K	R, KZ, LC, LK,
LR, LS,	T, LU, LV	, MA, MD, MG	G, MK, MN, MW, MX, M	Z, NA, NI, NO,
NZ, OM,	G, PH, PL	, PT, RO, RU	U, SC, SD, SE, SG, S	K, SL, SY, TJ,
TM, TN,	R, TT, TZ	, UA, UG, US	S, UZ, VC, VN, YU, Z	A, ZM, ZW
RW: BW, GH,	M, KE, LS	, MW, MZ, NA	A, SD, SL, SZ, TZ, U	G, ZM, ZW, AM,

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AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
             SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
             SN, TD, TG
     DE 10327719
                          A1
                                20050120
                                            DE 2003-10327719
                                                                    20030613
     CA 2526041
                          AA
                                20041223
                                            CA 2004-2526041
                                                                    20040609
                          A1
     EP 1633713
                                20060315
                                            EP 2004-739742
                                                                    20040609
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR
     US 2005049281
                                20050303
                                            US 2004-866078
                          A1
                                                                    20040614
PRIORITY APPLN. INFO.:
                                            DE 2003-10327719
                                                                 A 20030613
                                            US 2003-482009P
                                                                P
                                                                   20030625
                                            WO 2004-EP6236
                                                                W 20040609
OTHER SOURCE(S):
                         MARPAT 142:74461
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GI

AB Title compds. (I; A = aryl, heteroaryl; X = H, F; R1, R2 = H, halo, alkyl, alkoxyalkyl, haloalkyl, cycloalkyl, halocycloalkyl; Y = bond, O, S, SO, SO2), were prepared Thus, 2-[(6-oxo-1,6-dihydropyridin-3ylmethyl)amino]benzoic acid (preparation given), N-methylmorpholine, 4-trifluoromethoxyaniline, and HATU were stirred 2.5 h in CH2Cl2 at room temp and 1.5 h at 100° bath temperature to give 50.1% 2-[(6-oxo-1,6-dihydropyridin-3-ylmethyl)amino]-N-(4trifluoromethoxyphenyl)benzamide. The latter inhibited VEGFR II with IC50 = 180 nM. The invention relates to selected anthranylamide pyridones that inhibit VEGFR-2 and VEGFR-3 and to their use as medicaments for treating diseases that are triggered by persistent angiogenesis.

IT 811805-13-7P 811805-18-2P 811805-22-8P 811805-26-2P 811805-30-8P 811805-34-2P 811805-39-7P 811805-44-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of pyridonylmethyl anthranylamides as inhibitors of vascular endothelial growth factor receptors VEGFR-2 and VEGFR-3)

811805-13-7 CAPLUS RN

CN Benzamide, 2-[[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]amino]-N-[4-(trifluoromethoxy)phenyl] - (9CI) (CA INDEX NAME)

RN 811805-18-2 CAPLUS

CN Benzamide, 2-[[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]amino]-N-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 811805-22-8 CAPLUS

CN Benzamide, 2-[[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]amino]-N-(4-ethoxyphenyl)- (9CI) (CA INDEX NAME)

RN 811805-26-2 CAPLUS

CN Benzamide, N-(4-butoxyphenyl)-2-[[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)

RN 811805-30-8 CAPLUS

CN Benzamide, 2-[[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]amino]-N-[4-(2-methoxyethoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 811805-34-2 CAPLUS

CN Benzamide, 2-[[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]amino]-N-[4-methoxy-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 811805-39-7 CAPLUS

CN Benzamide, N-[3-bromo-4-(trifluoromethoxy)phenyl]-2-[[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)

RN 811805-44-4 CAPLUS

CN Benzamide, N-[4-(difluoromethoxy)phenyl]-2-[[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

6

ACCESSION NUMBER: 2004:1127100 CAPLUS

DOCUMENT NUMBER: 142:74456

TITLE: Preparation of six membered amino-amide derivatives an

angiogenesis inhibitors

INVENTOR(S): Chen, Guoqing P.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 22 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	KIN		DATE				ICAT		DATE								
US WO	2005	16 32		A1 A2		2004 2005	1223 0106	1	US 2	004-	8597	20040602 20040604			602		
WO	2005 W: RW:	AE, CN, GE, LK, NO, TJ, BW, AZ, EE,	AG, CO, GH, LR, NZ, TM, GH, BY,	AL, CR, GM, LS, OM, TN, GM, KG,	AM, CU, HR, LT, PG, TR, KE, KZ,	AT, CZ, HU, LU, PH, TT, LS, MD, GB,	AU, DE, ID, LV, PL, TZ, MW, RU, GR, CF,	AZ, DK, IL, MA, PT, UA, MZ, TJ,	DM, IN, MD, RO, UG, NA, TM, IE,	DZ, IS, MG, RU, US, SD, AT, IT,	EC, JP, MK, SC, UZ, SL, BE, LU,	EE, KE, MN, SD, VC, SZ, BG, MC,	EG, KG, MW, SE, VN, TZ, CH, NL,	ES, KP, MX, SG, YU, UG, CY, PL,	FI, KR, MZ, SK, ZA, ZM, CZ, PT,	GB, KZ, NA, SL, ZM, ZW, DE, RO,	GD, LC, NI, SY, ZW AM, DK, SE,
EP PRIORITY OTHER SO	APP	712 AT, IE, LN.	BE, SI, INFO	CH, FI,	DE, RO,	DK, CY,	20060 ES, TR,	FR, BG,	GB, CZ,	GR, EE, US 2 US 2	IT,	LI, PL, 4789: 8597:	LU, SK 37P 33	NL,	SE, P 20 A 20	MC,	PT, 616 602

AB Title compds. I [X = 0, S; Y = NR4; Z = independently CR5, N; A = bond, alkylenyl, alkenylenyl; B = bond, alkylenyl, etc.; R1 = cycloalk(en)yl, heterocyclyl, etc.; Cy = cycloalk(en)yl, heterocyclyl; R2 = haloalkyl, alkyl, alkenyl, etc.; V = C, N, SO2; W, W' = H, halo, alkyl, etc.; n = 0-6; R3 = heterocyclyl, aryl; R4 = H, alkyl; R5 = H, halo, alkyl] are prepared For instance, N-[4-(1-cyanocyclobutyl)phenyl]-2-[((pyridin-4-yl)methyl)amino]benzenecarboxamide is prepared in 3 steps from 1-phenylcyclobutanecarbonitrile, anthranilic acid and 4-

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pyridylformaldehyde. In a cell proliferation assay, all example compds. show IC50 = 10-100 nM. I are useful in the treatment of the treatment of disease states associated with angiogenesis and/or increased vascular permeability.

IT 811802-99-0P 811803-00-6P 811803-01-7P 811803-02-8P 811803-07-3P 811803-09-5P 811803-13-1P 811803-15-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of six membered amino-amide derivs. an angiogenesis inhibitors) 811802-99-0 CAPLUS

CN Benzamide, N-[4-(1-cyanocyclobutyl)phenyl]-2-[(4-pyridinylmethyl)amino](9CI) (CA INDEX NAME)

RN 811803-00-6 CAPLUS

CN Benzamide, N-[4-(1-cyanocyclopropyl)phenyl]-2-[(4-pyridinylmethyl)amino]-(9CI) (CA INDEX NAME)

RN 811803-01-7 CAPLUS

CN Benzamide, N-[4-(1-cyanocyclopentyl)phenyl]-2-[(4-pyridinylmethyl)amino]-(9CI) (CA INDEX NAME)

RN 811803-02-8 CAPLUS

CN Benzamide, N-[4-(1-cyanocyclohexyl)phenyl]-2-[(4-pyridinylmethyl)amino](9CI) (CA INDEX NAME)

RN 811803-07-3 CAPLUS

CN Benzamide, N-[4-[1-(methoxymethyl)cyclobutyl]phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 811803-09-5 CAPLUS

CN Benzamide, N-[4-[1-(hydroxymethyl)cyclobutyl]phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 811803-13-1 CAPLUS

CN Benzamide, N-(1',2'-dihydro-2'-oxospiro[cyclopentane-1,3'-[3H]indol]-6'-yl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN811803-15-3 CAPLUS

Benzamide, N-(1',2'-dihydro-2'-oxospiro[cyclopropane-1,3'-[3H]indol]-6'-CN yl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

ANSWER 9 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:839017 CAPLUS

DOCUMENT NUMBER: 142:311699

TITLE: Structural insights into the conformational

> selectivity of STI-571 and related kinase inhibitors Mol, Clifford D.; Fabbro, Doriano; Hosfield, David J.

AUTHOR (S): Syrrx Inc, La Jolla, CA, 92121, USA CORPORATE SOURCE:

SOURCE:

Current Opinion in Drug Discovery & Development

(2004), 7(5), 639-648

CODEN: CODDFF; ISSN: 1367-6733

PUBLISHER: Thomson Scientific DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

A review. STI-571 (Gleevec) is a highly successful cancer drug due to its AR activity as an inhibitor of the Abelson cytoplasmic tyrosine kinase (Abl), which is constitutively active in a majority of patients with chronic myelogenous leukemia. STI-571 also inhibits two type III receptor tyrosine kinases, c-Kit and platelet-derived growth factor receptor, and functions by targeting inactive conformations of these kinases. This review focuses on recent developments in x-ray co-crystal structure analyses of STI-571 bound to Abl and the c-Kit receptor tyrosine kinase domain, and also three other relevant kinase inhibitor co-crystal structures. The similar structural features of these inactive kinases suggest they will be useful for the successful drug discovery and development of specific and targeted gene-based cancer drugs.

IT 269390-77-4, AAL-993

RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(structural insights into the conformational selectivity of STI-571 and related kinase inhibitors)

RN 269390-77-4 CAPLUS

REFERENCE COUNT: 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:515506 CAPLUS

DOCUMENT NUMBER: 141:71453

TITLE: Preparation of anthranilic acid amide derivatives as

neoplastic inhibitors

INVENTOR(S): Bold, Guido; Furet, Pascal; Manley, Paul William

PATENT ASSIGNEE(S): Novartis Ag, Switz.; Novartis Pharma GmbH

SOURCE: PCT Int. Appl., 81 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	KIND DATE					ICAT		DATE										
WO	O 2004052884					A1 20040624			,				20031211					
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DΕ,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
		GE,	GH,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	ΚŻ,	LC,	LK,	
		LT,	LU,	LV,	MA,	MD,	MK,	MN,	MX,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	
		RO,	RU,	SC,	SE,	SG,	SK,	SY,	ТJ,	TM,	TN,	TR,	TT,	UA,	US,	UZ,	VC,	
		VN,	ΥU,	ZA,	ZW													
	RW:		-			-	MD,	-	-		-	-				-		
		DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	ΝL,	PT,	RO,	SE,	
		•	SK,															
													20031211					
													20031211					
EP	1572	686			A1 20050914					EP 2	003-	7857	95	20031211				
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
		-		-	-	-	RO,	-	-		-							
BR	BR 2003017292						2005	1108						20031211				
PRIORITY	PRIORITY APPLN. INFO.:															0021		
										WO 2	003-1	EP14	086	1	W 2	0031	211	
OTHER SOURCE(S):					MARPAT 141:71453													

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The title compds. I [wherein R and R0 = independently H, halo, (un)substituted alkyl, alkenyl, alkynyl, aryl, heteroaryl, etc.; R1 = H, halo, (un)substituted alkyl, alkenyl, alkynyl, alkoxy, OCF3, OCH2CF3, OCH2CH2CF3, or OCH2CH2CH2CF3; R2 = perfluoroalkyl; R3 = H or halo; X = OH, alkoxy, alkylthio, imino, alkylimino, halo, etc.; Z = N or CH] or salts, N-oxides, or tautomers thereof are prepared as neoplastic inhibitors for the treatment of human or animal body. For example, the compound II was prepared in a multi-step synthesis. Formulations containing I as an active ingredient were also described.

IT 524728-97-0P 524729-01-9P 657401-06-4P
 709044-84-8P 709044-87-1P 709044-88-2P
 709044-93-9P 709044-99-5P 709045-02-3P
 709045-04-5P 709045-05-6P 709045-08-9P
 709045-10-3P 709045-11-4P 709045-28-3P
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (drug candidate, reactant; preparation of anthranilic acid amide derivs. as neoplastic inhibitors)

RN 524728-97-0 CAPLUS

CN Benzamide, N-[4-bromo-3-(trifluoromethyl)phenyl]-2-[[(6-methoxy-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)

RN 524729-01-9 CAPLUS

CN Benzamide, 2-[[(6-methoxy-3-pyridinyl)methyl]amino]-N-[4-(1-propynyl)-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 657401-06-4 CAPLUS

CN Benzamide, 2-[[(2-bromo-4-pyridinyl)methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 709044-84-8 CAPLUS

CN Benzamide, N-[2-fluoro-3-(trifluoromethyl)phenyl]-2-[[(6-methoxy-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)

RN 709044-87-1 CAPLUS

CN Benzamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[[(6-methoxy-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)

RN 709044-88-2 CAPLUS

CN Benzamide, 2-[[(6-methoxy-3-pyridinyl)methyl]amino]-N-[4-propyl-3-(trifluoromethyl)phenyl]-, hydrochloride (9CI) (CA INDEX NAME)

•x HCl

RN 709044-93-9 CAPLUS

CN Benzamide, 2-[[[2-(1-ethoxyethenyl)-4-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 709044-99-5 CAPLUS

CN Benzamide, 2-[[(5-bromo-6-methoxy-3-pyridinyl)methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 709045-02-3 CAPLUS

CN Benzamide, 2-[[(6-methoxy-5-phenyl-3-pyridinyl)methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 709045-04-5 CAPLUS

CN Benzamide, 2-[[[6-methoxy-5-(2-propenyl)-3-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O \\ C-NH \\ NH-CH_2 \\ N \\ NH-CH_2 \\ OMe \\ \end{array}$$

RN 709045-05-6 CAPLUS

CN Benzamide, 2-[[(6-methoxy-5-propyl-3-pyridinyl)methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 709045-08-9 CAPLUS

CN Benzamide, 2-[[[5-(ethylamino)-6-methoxy-3-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 709045-10-3 CAPLUS

CN Benzamide, 2-[[[6-methoxy-5-[[2-(4-methyl-1-piperazinyl)ethyl]amino]-3-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 709045-11-4 CAPLUS

CN Benzamide, 2-[[[6-methoxy-5-[[2-(4-methyl-1-piperazinyl)-2-oxoethyl]amino]-3-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

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PAGE 2-A

RN 709045-28-3 CAPLUS
CN Benzamide, 2-[[(6-methoxy-3-pyridinyl)methyl]amino]-N-[4-[(4-methyl-1-piperazinyl)methyl]-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

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CH_2
       0
       C-
          NH-
                       CH<sub>2</sub>
IT
     709044-83-7P 709044-89-3P 709044-90-6P
     709044-91-7P 709044-92-8P 709044-94-0P
     709044-95-1P 709044-97-3P 709045-01-2P
     709045-03-4P 709045-06-7P 709045-07-8P
     709045-09-0P 709045-12-5P 709045-13-6P
     709045-17-0P 709045-21-6P 709045-32-9P
     709045-33-0P 709045-34-1P 709045-37-4P
     709045-38-5P 709045-39-6P 709045-40-9P
     709045-42-1P 709045-43-2P 709045-44-3P
     709045-45-4P 709045-46-5P 709045-47-6P
     709045-48-7P 709045-49-8P 709045-50-1P
     709045-51-2P 709045-52-3P 709045-53-4P
     709045-54-5P 709045-55-6P 709045-56-7P
     709045-57-8P 709045-58-9P 709045-59-0P
     709045-60-3P 709045-61-4P 709045-62-5P
     709045-63-6P 709045-64-7P 709045-65-8P
     709045-66-9P 709045-67-0P 709045-68-1P
     709045-69-2P 709045-70-5P 709045-71-6P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (drug candidate; preparation of anthranilic acid amide derivs. as neoplastic
        inhibitors)
RN
     709044-83-7 CAPLUS
```

Benzamide, 2-[[(2-methoxy-4-pyridinyl)methyl]amino]-N-[3-

(trifluoromethyl)phenyl] - (9CI) (CA INDEX NAME)

CN

RN 709044-89-3 CAPLUS

CN Benzamide, 2-[[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]amino]-N-[4-(1-propynyl)-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

$$CF_3$$
 $C = C - Me$
 $C = C - Me$

RN 709044-90-6 CAPLUS

CN Benzamide, 2-[[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]amino]-N-[4-propyl-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 709044-91-7 CAPLUS

CN Benzamide, 2-[[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]amino]-N-[2-fluoro-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 709044-92-8 CAPLUS

CN Benzamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)

RN 709044-94-0 CAPLUS

CN Benzamide, 2-[[(2-acetyl-4-pyridinyl)methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 709044-95-1 CAPLUS

CN Benzamide, N-[4-fluoro-3-(trifluoromethyl)phenyl]-2-[[(6-methoxy-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)

RN 709044-97-3 CAPLUS

CN Benzamide, 2-[[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]amino]-N-[4-fluoro-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 709045-01-2 CAPLUS

CN Benzamide, 2-[[(5-bromo-1,6-dihydro-6-oxo-3-pyridinyl)methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 709045-03-4 CAPLUS

CN Benzamide, 2-[[(1,6-dihydro-6-oxo-5-phenyl-3-pyridinyl)methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 709045-06-7 CAPLUS

CN Benzamide, 2-[[[1,6-dihydro-6-oxo-5-(2-propenyl)-3-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 709045-07-8 CAPLUS

CN Benzamide, 2-[[(1,6-dihydro-6-oxo-5-propyl-3-pyridinyl)methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 709045-09-0 CAPLUS

CN Benzamide, 2-[[[5-(ethylamino)-1,6-dihydro-6-oxo-3-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 709045-12-5 CAPLUS

CN Benzamide, 2-[[[1,6-dihydro-5-[[2-(4-methyl-1-piperazinyl)ethyl]amino]-6-oxo-3-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 709045-13-6 CAPLUS

CN Benzamide, 2-[[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]amino]-N-[4-methyl-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 709045-17-0 CAPLUS

CN Benzamide, 2-[[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]amino]-N-[3-[(4-ethyl-1-piperazinyl)methyl]-5-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 709045-21-6 CAPLUS

CN Benzamide, N-[3-(1-azetidinylmethyl)-5-(trifluoromethyl)phenyl]-2-[[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)

RN 709045-32-9 CAPLUS

CN Benzamide, 2-[[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]amino]-N-[4-[(4-methyl-1-piperazinyl)methyl]-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 709045-33-0 CAPLUS

CN Benzamide, 2-[[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]amino]-N-[4-[[2-(dimethylamino)ethyl]methylamino]-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 709045-34-1 CAPLUS

CN Benzamide, 2-[[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]amino]-N-[3-(2-methyl-1H-imidazol-1-yl)-5-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 709045-37-4 CAPLUS

CN Benzamide, 2-[[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]amino]-N-[4-(2,2,2-trifluoroethoxy)-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 709045-38-5 CAPLUS

CN Benzamide, 2-[[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]amino]-N-[2-fluoro-4-(2,2,2-trifluoroethoxy)-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 709045-39-6 CAPLUS

CN Benzamide, 2-[[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]amino]-N-[3-(trifluoromethyl)-4-(3,3,3-trifluoropropoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 709045-40-9 CAPLUS

CN Benzamide, 2-[[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]amino]-N-[4-(trifluoromethoxy)-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 709045-42-1 CAPLUS

CN Benzamide, 2-[[[6-methoxy-5-(3-thienyl)-3-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

$$F_3C$$

$$CH_2-NH$$

$$NH-C$$

$$0$$

RN 709045-43-2 CAPLUS

CN Benzamide, 2-[[[1,6-dihydro-6-oxo-5-(3-thienyl)-3-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 709045-44-3 CAPLUS

CN Benzamide, 2-[[(5-[1,1'-biphenyl]-3-yl-6-methoxy-3-pyridinyl)methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 709045-45-4 CAPLUS

CN Benzamide, 2-[[(5-[1,1'-biphenyl]-3-yl-1,6-dihydro-6-oxo-3-pyridinyl)methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX

NAME)

RN 709045-46-5 CAPLUS

CN Benzamide, 2-[[[6-methoxy-5-(2-naphthalenyl)-3-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 709045-47-6 CAPLUS

CN Benzamide, 2-[[[1,6-dihydro-5-(2-naphthalenyl)-6-oxo-3-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 709045-48-7 CAPLUS

CN Benzamide, 2-[[[5-[3-(acetylamino)phenyl]-6-methoxy-3-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 709045-49-8 CAPLUS

CN Benzamide, 2-[[[5-[3-(acetylamino)phenyl]-1,6-dihydro-6-oxo-3-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 709045-50-1 CAPLUS

CN Benzamide, 2-[[[5-(4-formylphenyl)-6-methoxy-3-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 709045-51-2 CAPLUS

CN Benzamide, 2-[[[5-(4-formylphenyl)-1,6-dihydro-6-oxo-3-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 709045-52-3 CAPLUS

CN Benzamide, 2-[[[6-methoxy-5-[3-(trifluoromethyl)phenyl]-3-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 709045-53-4 CAPLUS

CN Benzamide, 2-[[[1,6-dihydro-6-oxo-5-[3-(trifluoromethyl)phenyl]-3-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 709045-54-5 CAPLUS

CN Benzamide, 2-[[(2'-methoxy[2,3'-bipyridin]-5'-yl)methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

MeO
$$CH_2-NH$$
 $O=C$ F_3C NH

RN 709045-55-6 CAPLUS

CN Benzamide, 2-[[(1',2'-dihydro-2'-oxo[2,3'-bipyridin]-5'-yl)methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

$$CH_2-NH$$
 $O=C$
 F_3C
 NH

RN 709045-56-7 CAPLUS

CN Benzamide, 2-[[[5-(2-furanyl)-6-methoxy-3-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 709045-57-8 CAPLUS

CN Benzamide, 2-[[[5-(2-furanyl)-1,6-dihydro-6-oxo-3-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 709045-58-9 CAPLUS

CN Benzamide, 2-[[[6-methoxy-5-(2-thiazolyl)-3-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 709045-59-0 CAPLUS

CN Benzamide, 2-[[[1,6-dihydro-6-oxo-5-(2-thiazolyl)-3-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 709045-60-3 CAPLUS

CN Benzamide, 2-[[[6-methoxy-5-(3-methyl-2-butenyl)-3-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 709045-61-4 CAPLUS

CN Benzamide, 2-[[[6-methoxy-5-[(phenylmethyl)amino]-3pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 709045-62-5 CAPLUS

CN Benzamide, 2-[[[1,6-dihydro-6-oxo-5-[(phenylmethyl)amino]-3-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 709045-63-6 CAPLUS

CN Benzamide, 2-[[[6-methoxy-5-[[2-(2-pyridinyl)ethyl]amino]-3-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 709045-64-7 CAPLUS

CN Benzamide, 2-[[[1,6-dihydro-6-oxo-5-[[2-(2-pyridinyl)ethyl]amino]-3-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 709045-65-8 CAPLUS

CN Benzamide, 2-[[[6-methoxy-5-[[2-(4-morpholinyl)ethyl]amino]-3-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 709045-66-9 CAPLUS

CN Benzamide, 2-[[[1,6-dihydro-5-[[2-(4-morpholinyl)ethyl]amino]-6-oxo-3-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 709045-67-0 CAPLUS

CN Benzamide, 2-[[[6-methoxy-5-[[3-(4-methyl-1-piperazinyl)propyl]amino]-3-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 709045-68-1 CAPLUS

CN Benzamide, 2-[[[1,6-dihydro-5-[[3-(4-methyl-1-piperazinyl)propyl]amino]-6-oxo-3-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 709045-69-2 CAPLUS

CN Benzamide, 2-[[[1,6-dihydro-5-[[2-(4-methyl-1-piperazinyl)-2-oxoethyl]amino]-6-oxo-3-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 709045-70-5 CAPLUS
CN Benzamide, 2-[[(6-methoxy-3-pyridinyl)methyl]amino]-N-[3-(1-piperidinylmethyl)-5-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 709045-71-6 CAPLUS
CN Benzamide, 2-[(1,6-dihydro-6-oxo-3-pyridinyl)

Benzamide, 2-[[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]amino]-N-[3-(1-piperidinylmethyl)-5-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

IT 709045-22-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of anthranilic acid amide derivs. as neoplastic inhibitors)

RN 709045-22-7 CAPLUS

CN Benzamide, N-[3-(1-azetidinylmethyl)-5-(trifluoromethyl)phenyl]-2-[[(6-methoxy-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)

L4 ANSWER 11 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:498151 CAPLUS

DOCUMENT NUMBER: 141:206897

TITLE: Solid-Phase Synthesis of an Alkylaminobenzanilide

Library

AUTHOR(S): El-Araby, Moustafa; Guo, Helen; Pottorf, Richard S.;

Player, Mark R.

CORPORATE SOURCE: 3-Dimensional Pharmaceuticals Inc., Cranbury, NJ,

08512, USA

SOURCE: Journal of Combinatorial Chemistry (2004), 6(5),

789-795

CODEN: JCCHFF; ISSN: 1520-4766

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 141:206897

AB The synthesis of a library of 2- and 3-substituted benzanilides has been achieved on solid phase. Attachment of anilines to formyldimethoxyphenyl (FDMP) resin via reductive amination was optimized to allow a wide range of anilines to be used. Acylation of this resin-bound aniline was accomplished with 2- or 3-nitrobenzoyl chloride to yield nitrobenzanilides. Following reduction of the nitro group, the resulting amine was alkylated using aromatic and heteroarom. aldehydes in the presence of NaBH(OAc)3 under controlled conditions. Finally, the products were cleaved from the resin using trifluoroacetic acid to produce a 10 800-member library.

IT 743354-79-2P 743354-81-6P 743354-82-7P 743354-83-8P 743354-85-0P 743354-86-1P

RL: CPN (Combinatorial preparation); CMBI (Combinatorial study); PREP (Preparation)

(solid-phase synthesis of an alkylaminobenzanilide library)

RN 743354-79-2 CAPLUS

CN Benzamide, N-(4-chlorophenyl)-2-[[(4-ethylphenyl)methyl]amino]-,
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 819850-48-1 CMF C22 H21 Cl N2 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 743354-81-6 CAPLUS

CN Benzamide, N-[4-(cyanomethyl)phenyl]-2-[[(4-fluorophenyl)methyl]amino]-5-methoxy-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

· CM 1

CRN 819850-51-6 CMF C23 H20 F N3 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 743354-82-7 CAPLUS

CN 2-Propenoic acid, 3-[4-[[2-[[(2-bromophenyl)methyl]amino]-5-

10615809.trn

fluorobenzoyl]amino]phenyl]-, ethyl ester, mono(trifluoroacetate) (9CI)
(CA INDEX NAME)

CM 1

CRN 819850-79-8

CMF C25 H22 Br F N2 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

CN

RN 743354-83-8 CAPLUS

Benzamide, N-[4-(4-morpholinyl)phenyl]-2-[(phenylmethyl)amino]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 819850-82-3 CMF C24 H25 N3 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 743354-85-0 CAPLUS

CN Benzamide, 2-[[(2-chloro-3-quinolinyl)methyl]amino]-N-(2,3-dihydro-1,4-benzodioxin-6-yl)-5-fluoro-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 819850-85-6

CMF C25 H19 Cl F N3 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 743354-86-1 CAPLUS

CN Benzamide, 2-[[(2,3-dimethoxyphenyl)methyl]amino]-N-(2'-methoxy[1,1'-biphenyl]-4-yl)-5-methyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 3

CRN 819850-86-7 CMF C30 H30 N2 O4

CM 2

CRN 76-05-1 CMF C2 H F3 O2

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:216609 CAPLUS

DOCUMENT NUMBER: 140:417028

TITLE: Advances in the structural biology, design and

clinical development of VEGF-R kinase inhibitors for

the treatment of angiogenesis

AUTHOR(S): Manley, Paul William; Bold, Guido; Brueggen, Josef;

Fendrich, Gabrielle; Furet, Pascal; Mestan, Jurgen; Schnell, Christian; Stolz, Barbara; Meyer, Thomas; Meyhack, Bernd; Stark, Wilhelm; Strauss, Andre; Wood,

Jeanette

CORPORATE SOURCE: Novartis Institutes of Biomedical Research, Basel,

CH-4002, Switz.

SOURCE: Biochimica et Biophysica Acta, Proteins and Proteomics

(2004), 1697(1-2), 17-27

CODEN: BBAPBW; ISSN: 1570-9639

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

AB A review. Initial studies with angiogenesis inhibitors showed little clin. benefit. However, recently reported clin. studies in colorectal cancer have shown that bevacizumab, a vascular endothelial growth factor (VEGF) monoclonal antibody, in combination with cytotoxic therapy has pos. effects on patient survival. Furthermore, the VEGF receptor kinase (VEGF-R) tyrosine kinase inhibitor, vatalanib, has also shown encouraging results in colorectal cancer, with mol. resonance imaging providing evidence that the anti-tumor efficacy was indeed the result of anti-angiogenic activity. Both of these agents are progressing in phase III trials. This proof of concept has stimulated the desire for

second-generation VEGF-R inhibitors having an improved profile. Structural biol. insight regarding the binding mode of protein kinase inhibitors is valuable for the design of mols. possessing superior selectivity, efficacy and tolerability. Towards this goal, the authors have developed a new series of VEGF-R2 kinase inhibitors, based upon an anthranilic acid amide scaffold. An x-ray crystal structure of a representative compound, AAL993 (ZK260253), in complex with the catalytic domain of diphosphorylated VEGF-R2 has revealed that this mol. binds to an inactive conformation of the protein. This binding mode, similar to that observed for the anti-leukemia drug, imatinib in complex with c-Abl kinase, may be responsible for the high selectivity of AAL993 and provides valuable insight for the design of further compds.

IT 269390-77-4, AAL 993

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (advances in structural biol. and design and clin. development of vascular endothelial growth factor receptor (VEGF-R) kinase inhibitors for treatment of angiogenesis)

RN 269390-77-4 CAPLUS

REFERENCE COUNT: 61 THERE ARE 61 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:182368 CAPLUS

DOCUMENT NUMBER: 140:229401

TITLE: Three hybrid assay system for isolating ligand-binding

polypeptides and for isolating small mol. ligands

INVENTOR(S): Come, Jon H.; Becker, Frank; Kley, Nikolai A.;

Reichel, Christoph

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 238 pp., Cont.-in-part of U.S.

Ser. No. 91,177. CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE		
				-			
US 2004043388	A1	20040304	US 2002-234985		20020903		
US 2003165873	A1	20030904	US 2002-91177		20020304		
US 2004266854	A1	20041230	US 2004-820453		20040407		
PRIORITY APPLN. INFO.:			US 2001-272932P	₽	20010302		
			US 2001-278233P	P	20010323		
			US 2001-329437P	P	20011015		
			US 2002-91177	A2	20020304		

US 2001-336962P P 20011203 WO 2002-US6677 A2 20020304 US 2002-234985 A2 20020903 WO 2002-US33052 A2 20021015 US 2003-460921P P 20030407 US 2003-531872P P 20031223

AB The invention provides compns. and methods for isolating ligand-binding polypeptides for a user-specified ligand, and for isolating small mol. ligands for a user-specified target polypeptide using an improved class of hybrid ligand compds. Preparation of compds., e.g a methotrexate moiety linked by a polyethylene gycol moiety to dexamethasone, is described.

IT 269390-69-4D, conjugates 381694-53-7D, conjugates

RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(three hybrid assay system for isolating ligand-binding polypeptides and for isolating small mol. ligands)

RN 269390-69-4 CAPLUS

CN Benzamide, N-(4-chlorophenyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 381694-53-7 CAPLUS

CN Benzamide, N-(2-oxo-2H-1-benzopyran-3-yl)-2-[(4-pyridinylmethyl)amino]-(9CI) (CA INDEX NAME)

L4 ANSWER 14 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:120827 CAPLUS

DOCUMENT NUMBER: 140:181330

TITLE: Preparation of anthranylamidopyridines as inhibitors

of vascular endothelial growth factor receptor-2 and

-3 (VEGFR-2 and -3).

INVENTOR(S): Huth, Andreas; Krueger, Martin; Zorn, Ludwig; Ince,

Stuart; Thierauch, Karl-Heinz; Menrad, Andreas;

Haberey, Martin; Hess-Stump, Holger

PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany

SOURCE: PCT Int. Appl., 88 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PA											LICAT					ATE	
WO							WO 2003-EP7964										
	W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB	, BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
		CO,	CR,	CU,	CZ,	DK,	DM,	DZ,	EC,	EE	, ES,	FI,	GB,	GD,	GE,	GH,	GM,
		HR,	ΗU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG	, KP,	KR,	ΚZ,	LC,	LK,	LR,	LS,
		LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW	, MX,	MZ,	NI,	NO,	NZ,	OM,	PH,
		PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK	, SL,	TJ,	TM,	TN,	TR,	TT,	TZ,
		UA,	ŪĠ,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW							
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ	, TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,
		KG,	ΚZ,	MD,	RU,	ТJ,	TM,	AT,	BE,	BG	, CH,	CY,	CZ,	DE,	DK,	EE,	ES,
		FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC	, NL,	PT,	RO,	SE,	SI,	SK,	TR,
		BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ	, GW,	ML,	MR,	NE,	SN,	TD,	TG
DE	1023	5690			A 1	A1 20040219 DE 2002-10235690							2	20020731			
DE	1032	8036			A1		2005	0105]	DE :	2003-	1032	8036		2	0030	619
	2493										2003-3						
AU	2003	2818	55		A1		2004	0223	Z	AU :	2003-:	2818	55		2	0030	722
BR	2003	0131									2003-						
CN	1671	666			Α		2005	0921	(CN :	2003-	3183	34		2	0030	722
EP	1594	841			A1		2005	1116]	EP :	2003-	7404	70		2	0030	722
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR	, IT,	LI,	LU,	NL,	SE,	MC,	PT,
		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL	, TR,	BG,	CZ,	EE,	ΗU,	SK	
JP	2005	5381	12		T2		2005	1215		JP :	2004-	5252	72		2	0030	722
US	2004	1475	35		A1		2004	0729	Ţ	US :	2003-	5310	18		2	0030	731
											2004 -					0040	618
NO	2005	0010	35		Α		2005	0429	1	NO :	2005-	1035			2	0050	225
PRIORIT									3	DE :	2002-1	1023	5690		A 2	0020	731
									1	DE :	2003-	1032	8036		A 2	0030	619
											2003-4					0030	702
									1	WO :	2003-1	EP79	64		W 2	0030	722
OMITTON O	^TTD	/ (1)			143 D	- n - m	140										

OTHER SOURCE(S): MARPAT 140:181330

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AB Title compds. [I; X = CH, N; W = H, F; A, B, D, E, Q = N, C; ≤2 of A, B, D, E, Q = N; R1 = (substituted) aryl, heteroaryl; Y, Z = bond, CO, CS, SO2; R2, R3 = H, CONR9R10, SO2R6, COR11, NR9R10, (substituted) alkyl, cycloalkyl, cycloalkenyl, aryl, heteroaryl; R2YNZAR3 = atoms to form a 3-8 membered (substituted) (unsatd.) ring; R6 = H, alkyl, haloalkyl, (substituted) aryl, heteroaryl, NR9R10; R9, R10 = H, alkyl, alkenyl, aryl, cycloalkyl, etc.; R11 = alkyl, alkoxy, hydroxyalkyl, hydroxyalkoxy, cycloalkyl, (substituted) Ph, pyridyl, biphenyl, naphthyl], were prepared Thus, 2-[(2-bromopyridin-4-ylmethyl)amino]-N-(3-

trifluoromethylphenyl)benzamide (preparation given) pyridine, and N, N-dimethylaminoethylamine were heated in a pressure vessel for 5 h at 200° to give 2-[[2-(2-dimethylaminoethylamino)pyridin-4ylmethyl]amino]-N-(3-trifluoromethylphenyl)benzamide. I inhibited VEGFR-2 with IC50 = 8-65 nM. I can be used for treatment of tumor or metastasis growth, psoriasis, Kaposi's sarcoma, restenosis, endometriosis, Crohn's disease, Hodgkin's disease, leukemia, arthritis, hemangioma, angiofibroma, eye disease, renal diseases, transplant rejection, fibrotic diseases, mesangial cell proliferative diseases, atherosclerosis, injuries to nervous tissue and for inhibition of the reocclusion of vessels after balloon catheter treatment, in vessel prosthetics, or after the application of mech. devices to hold open vessels, as immunosuppressants, for scar-free wound healing, age spots and contact dermatitis. IT 657399-79-6P, 2-[[2-(2-Dimethylaminoethylamino)pyridin-4ylmethyl]amino]-N-(3-trifluoromethylphenyl)benzamide 657399-80-9P 657399-81-0P 657399-82-1P 657399-83-2P 657399-84-3P 657399-85-4P 657399-87-6P 657399-88-7P 657399-89-8P 657399-90-1P 657399-91-2P 657399-92-3P 657399-93-4P 657399-94-5P 657399-95-6P 657399-96-7P 657399-97-8P 657399-98-9P 657399-99-0P 657400-00-5P 657400-01-6P 657400-02-7P 657400-03-8P 657400-04-9P 657400-05-0P 657400-06-1P 657400-07-2P 657400-08-3P 657400-09-4P 657400-10-7P 657400-11-8P 657400-12-9P 657400-13-0P 657400-14-1P 657400-15-2P 657400-16-3P 657400-17-4P 657400-18-5P 657400-19-6P 657400-20-9P 657400-21-0P 657400-22-1P 657400-23-2P 657400-24-3P 657400-25-4P 657400-26-5P 657400-27-6P 657400-28-7P 657400-29-8P 657400-30-1P 657400-31-2P 657400-32-3P 657400-33-4P 657400-34-5P 657400-35-6P 657400-36-7P 657400-37-8P 657400-38-9P 657400-39-0P 657400-40-3P 657400-41-4P 657400-42-5P 657400-43-6P 657400-44-7P 657400-45-8P 657400-46-9P 657400-47-0P 657400-48-1P 657400-49-2P 657400-50-5P 657400-51-6P 657400-52-7P 657400-53-8P 657400-54-9P 657400-55-0P 657400-56-1P 657400-57-2P 657400-58-3P 657400-59-4P 657400-60-7P 657400-61-8P 657400-62-9P 657400-63-0P 657400-64-1P 657400-65-2P 657400-66-3P 657400-67-4P 657400-68-5P 657400-69-6P 657400-70-9P 657400-71-0P 657400-72-1P 657400-73-2P 657400-74-3P 657400-75-4P 657400-76-5P 657400-77-6P 657400-78-7P 657400-79-8P 657400-80-1P 657400-81-2P 657400-82-3P 657400-83-4P 657400-84-5P 657400-85-6P 657400-86-7P 657400-87-8P 657400-88-9P 657400-89-0P 657400-90-3P 657400-91-4P 657400-92-5P 657400-93-6P 657400-94-7P 657400-95-8P 657400-96-9P 657400-97-0P 657400-98-1P 657400-99-2P 657401-00-8P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of anthranylamidopyridines as inhibitors of vascular

endothelial growth factor receptor)

RN 657399-79-6 CAPLUS

CN Benzamide, 2-[[[2-[[2-(dimethylamino)ethyl]amino]-4pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 657399-80-9 CAPLUS

CN Benzamide, 2-[[[2-[(2-hydroxyethyl)amino]-4-pyridinyl]methyl]amino]-N-3-isoquinolinyl- (9CI) (CA INDEX NAME)

RN 657399-81-0 CAPLUS

CN Benzamide, 2-[[[2-[(3-hydroxypropyl)amino]-4-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 657399-82-1 CAPLUS

CN Benzamide, 2-[[[2-[(4-hydroxybutyl)amino]-4-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 657399-83-2 CAPLUS

CN Benzamide, 2-[[[2-[(5-hydroxypentyl)amino]-4-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 657399-84-3 CAPLUS

CN Benzamide, 2-[[[2-[[(2S)-2-hydroxypropyl]amino]-4-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 657399-85-4 CAPLUS

CN Benzamide, 2-[[[2-[[(2R)-2-hydroxypropyl]amino]-4-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 657399-87-6 CAPLUS

CN Benzamide, 2-[[[2-[[(1S)-2-hydroxy-1-methylethyl]amino]-4-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 657399-88-7 CAPLUS

CN Benzamide, 2-[[[2-[[3-(dimethylamino)propyl]amino]-4-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 657399-89-8 CAPLUS

CN Benzamide, N-3-isoquinolinyl-2-[[[2-(4-morpholinyl)-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 657399-90-1 CAPLUS

CN Benzamide, N-3-isoquinolinyl-2-[[[2-(4-methyl-1-piperazinyl)-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 657399-91-2 CAPLUS

CN Benzamide, N-3-isoquinolinyl-2-[[[2-(4-thiomorpholinyl)-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 657399-92-3 CAPLUS

CN Benzamide, N-3-isoquinolinyl-2-[[[2-(1-pyrrolidinyl)-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 657399-93-4 CAPLUS

CN Benzamide, 2-[[(2-amino-4-pyridinyl)methyl]amino]-N-[3-

(trifluoromethyl)phenyl] - (9CI) (CA INDEX NAME)

RN 657399-94-5 CAPLUS

CN Benzamide, 2-[[(2-amino-4-pyridinyl)methyl]amino]-N-3-isoquinolinyl- (9CI) (CA INDEX NAME)

RN 657399-95-6 CAPLUS

CN Benzamide, 2-[[(2-amino-4-pyridinyl)methyl]amino]-N-1H-indazol-5-yl- (9CI) (CA INDEX NAME)

RN 657399-96-7 CAPLUS

CN Benzamide, 2-[[(2-amino-4-pyridinyl)methyl]amino]-N-(2-methyl-2H-indazol-6-yl)- (9CI) (CA INDEX NAME)

RN 657399-97-8 CAPLUS

CN Benzamide, 2-[[[2-[[[(phenylmethyl)amino]carbonyl]amino]-4-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 657399-98-9 CAPLUS

CN Benzamide, 2-[[[2-[[(phenylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 657399-99-0 CAPLUS

CN Benzamide, 2-[[[2-[[[(2-phenylethyl)amino]carbonyl]amino]-4-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 657400-00-5 CAPLUS

CN Benzamide, 2-[[[2-[[(butylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 657400-01-6 CAPLUS

CN Benzamide, N-[3-(trifluoromethyl)phenyl]-2-[[[2-[[[(3,4,5-trimethoxyphenyl)amino]carbonyl]amino]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 657400-02-7 CAPLUS

CN Benzamide, N-[3-(trifluoromethyl)phenyl]-2-[[[2-[[[3-(trifluoromethyl)phenyl]amino]-4-pyridinyl]methyl]amino]-(9CI) (CA INDEX NAME)

RN 657400-03-8 CAPLUS

RN 657400-04-9 CAPLUS

CN Benzamide, 2-[[[2-[[(ethylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 657400-05-0 CAPLUS

CN Benzamide, 2-[[[2-[[([1,1'-biphenyl]-4-ylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 657400-06-1 CAPLUS

CN Benzamide, 2-[[[2-[[(1-naphthalenylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 657400-07-2 CAPLUS

CN Benzamide, 2-[[[2-[[(methylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 657400-08-3 CAPLUS

CN Benzamide, 2-[[[2-[[[(2-chloroethyl)amino]carbonyl]amino]-4-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 657400-09-4 CAPLUS

CN Benzamide, 2-[[[2-[[(propylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 657400-10-7 CAPLUS

CN Benzamide, 2-[[[2-[[[(1-methylethyl)amino]carbonyl]amino]-4-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 657400-11-8 CAPLUS

CN Benzamide, 2-[[[2-[[(cyclopentylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 657400-12-9 CAPLUS

CN Benzamide, 2-[[[2-[[[(aminocarbonyl)amino]carbonyl]amino]-4-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 657400-13-0 CAPLUS

RN 657400-14-1 CAPLUS

CN Benzamide, N-3-isoquinolinyl-2-[[[2-[[[[3-(trifluoromethyl)phenyl]amino]carbonyl]amino]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 657400-15-2 CAPLUS

CN Benzamide, N-3-isoquinolinyl-2-[[[2-[[[[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 657400-16-3 CAPLUS

CN Benzamide, N-3-isoquinolinyl-2-[[[2-[[(phenylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 657400-17-4 CAPLUS

CN Benzamide, N-3-isoquinolinyl-2-[[[2-[[(1-naphthalenylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 657400-18-5 CAPLUS

CN Benzamide, N-3-isoquinolinyl-2-[[[2-[[[(phenylmethyl)amino]carbonyl]amino]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 657400-19-6 CAPLUS

CN Benzamide, N-3-isoquinolinyl-2-[[[2-[[[(2-phenylethyl)amino]carbonyl]amino]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Ph-CH}_2\text{-CH}_2\text{-NH-C-NH} \\ \text{N} \\ \text{NH-C-NH-C-NH} \\ \text{O} \\ \end{array}$$

RN 657400-20-9 CAPLUS

CN Benzamide, 2-[[[2-[[[(2-chloroethyl)amino]carbonyl]amino]-4-pyridinyl]methyl]amino]-N-3-isoquinolinyl- (9CI) (CA INDEX NAME)

RN 657400-21-0 CAPLUS

CN Benzamide, N-3-isoquinolinyl-2-[[[2-[[(methylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 657400-22-1 CAPLUS

CN

Benzamide, 2-[[[2-[[(cyclopentylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]-N-3-isoquinolinyl- (9CI) (CA INDEX NAME)

RN 657400-23-2 CAPLUS

CN Benzamide, 2-[[[2-[[[(aminocarbonyl)amino]carbonyl]amino]-4-pyridinyl]methyl]amino]-N-3-isoquinolinyl- (9CI) (CA INDEX NAME)

RN 657400-24-3 CAPLUS

CN Benzamide, 2-[[[2-[[(dimethylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]-N-3-isoquinolinyl- (9CI) (CA INDEX NAME)

$$Me_{2}N-C-NH$$

$$N+C$$

$$N+C$$

$$N+C$$

$$N+C$$

$$N+C$$

RN 657400-25-4 CAPLUS

CN Benzamide, 2-[[[2-[(aminocarbonyl)amino]-4-pyridinyl]methyl]amino]-N-3-isoquinolinyl- (9CI) (CA INDEX NAME)

RN 657400-26-5 CAPLUS

CN Benzamide, N-1H-indazol-6-yl-2-[[[2-[[(methylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 657400-27-6 CAPLUS

CN Benzamide, 2-[[[2-[[(methylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]-N-(1-methyl-1H-indazol-6-yl)- (9CI) (CA INDEX NAME)

RN 657400-28-7 CAPLUS

CN Benzamide, 2-[[[2-[[(methylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]-N-(2-methyl-2H-indazol-6-yl)- (9CI) (CA INDEX NAME)

RN 657400-29-8 CAPLUS

CN Benzamide, N-[1-(2-methoxyethyl)-1H-indazol-5-yl]-2-[[[2-[[(methylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 657400-30-1 CAPLUS

CN Benzamide, N-(1-ethyl-1H-indazol-5-yl)-2-[[[2-[[(methylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 657400-31-2 CAPLUS

CN Benzamide, 2-[[[2-[[(methylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]-N-[1-(2-propynyl)-1H-indazol-5-yl]- (9CI) (CA INDEX NAME)

RN 657400-32-3 CAPLUS

CN Benzamide, 2-[[[2-[[(methylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]-N-(2-methyl-2H-indazol-5-yl)- (9CI) (CA INDEX NAME)

RN 657400-33-4 CAPLUS

CN Benzamide, 2-[[[2-[[(methylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]-N-(1-methyl-1H-indazol-5-yl)- (9CI) (CA INDEX NAME)

RN 657400-34-5 CAPLUS

CN Benzamide, 2-[[[2-[[(cyclopropylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]-N-(1-methyl-1H-indazol-6-yl)- (9CI) (CA INDEX NAME)

RN 657400-35-6 CAPLUS

CN Benzamide, 2-[[[2-[[(cyclopropylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]-N-(2-methyl-2H-indazol-5-yl)- (9CI) (CA INDEX NAME)

RN 657400-36-7 CAPLUS

CN Benzamide, N-[1-(2-methoxyethyl)-1H-indazol-6-yl]-2-[[[2-[[(methylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 657400-37-8 CAPLUS

CN Benzamide, 2-[[[2-[(methylsulfonyl)amino]-4-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 657400-38-9 CAPLUS

CN Benzamide, 2-[[[2-[(phenylsulfonyl)amino]-4-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 657400-39-0 CAPLUS

CN Benzamide, 2-[[[2-[[(5-methyl-2-pyridinyl)sulfonyl]amino]-4-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} N & O \\ NH-CH_2 & NH-S \\ \hline \\ R & O \end{array}$$

RN 657400-40-3 CAPLUS

CN Benzamide, 2-[[[2-[[[4-(trifluoromethoxy)phenyl]sulfonyl]amino]-4-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 657400-41-4 CAPLUS

CN Benzamide, N-[3-(trifluoromethyl)phenyl]-2-[[[2-[[(trifluoromethyl)sulfonyl]amino]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 657400-42-5 CAPLUS

CN Benzamide, N-3-isoquinolinyl-2-[[[2-[(methylsulfonyl)amino]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 657400-43-6 CAPLUS

CN Benzamide, 2-[[[2-[[(3,4-difluorophenyl)sulfonyl]amino]-4-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 657400-44-7 CAPLUS

CN Benzamide, 2-[[[2-[[[4-(phenylmethoxy)phenyl]sulfonyl]amino]-4-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 657400-45-8 CAPLUS

CN Benzamide, 2-[[[2-[[(5-chloro-2-thienyl)sulfonyl]amino]-4-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 657400-46-9 CAPLUS

CN Benzamide, 2-[[[2-[[(5-chloro-2-thienyl)sulfonyl]amino]-4-pyridinyl]methyl]amino]-N-3-isoquinolinyl- (9CI) (CA INDEX NAME)

RN 657400-47-0 CAPLUS

CN Benzamide, 2-[[[2-[[(4-methylphenyl)sulfonyl]amino]-4-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 657400-48-1 CAPLUS

CN Benzamide, 2-[[[2-[[(phenylmethyl)sulfonyl]amino]-4-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX

NAME)

RN 657400-49-2 CAPLUS

CN Benzamide, N-1H-indazol-5-yl-2-[[[2-[(phenylsulfonyl)amino]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 657400-50-5 CAPLUS

CN Benzamide, N-1H-indazol-5-yl-2-[[[2-[[(4-methylphenyl)sulfonyl]amino]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 657400-51-6 CAPLUS

CN Benzamide, 2-[[[2-[bis(methylsulfonyl)amino]-4-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 657400-52-7 CAPLUS

CN Benzamide, N-3-isoquinolinyl-2-[[[2-[(1-oxobutyl)amino]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 657400-53-8 CAPLUS

CN Benzamide, 2-[[[2-[(1-oxobutyl)amino]-4-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 657400-54-9 CAPLUS

CN Benzamide, 2-[[[2-(acetylamino)-4-pyridinyl]methyl]amino]-N-3-isoquinolinyl- (9CI) (CA INDEX NAME)

RN 657400-55-0 CAPLUS

CN Benzamide, N-3-isoquinolinyl-2-[[[2-[(1-oxopropyl)amino]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 657400-56-1 CAPLUS

CN Benzamide, N-3-isoquinolinyl-2-[[[2-[(1-oxopentyl)amino]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 657400-57-2 CAPLUS

CN Benzamide, 2-[[[2-[(cyclopropylcarbonyl)amino]-4-pyridinyl]methyl]amino]-N-3-isoquinolinyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C-NH & CH_2-NH \\ \hline \\ O & NH-C \\ \hline \\ N & O \\ \end{array}$$

RN 657400-58-3 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-[4-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino]methyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

RN 657400-59-4 CAPLUS

CN Benzamide, N-3-isoquinolinyl-2-[[[2-[[4-(2-methylpropyl)benzoyl]amino]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 657400-60-7 CAPLUS

CN Benzamide, 2-[[[2-[[4-(1,1-dimethylethyl)benzoyl]amino]-4-pyridinyl]methyl]amino]-N-3-isoquinolinyl- (9CI) (CA INDEX NAME)

RN 657400-61-8 CAPLUS

CN 1-Naphthalenecarboxamide, N-[4-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino]methyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

RN 657400-62-9 CAPLUS

CN Benzamide, 2-[[[2-[(2,2-dimethyl-1-oxopropyl)amino]-4-pyridinyl]methyl]amino]-N-3-isoquinolinyl- (9CI) (CA INDEX NAME)

RN 657400-63-0 CAPLUS

CN Benzamide, 2-[[[2-[[4-(1,1-dimethylethyl)benzoyl]amino]-4-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 657400-64-1 CAPLUS

CN Benzamide, 2-[[[2-(acetylamino)-4-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 657400-65-2 CAPLUS

CN Benzamide, 2-[[[2-[(cyclopropylcarbonyl)amino]-4-pyridinyl]methyl]amino]-N-1H-indazol-6-yl- (9CI) (CA INDEX NAME)

RN 657400-66-3 CAPLUS

CN Benzamide, 2-[[[2-[(cyclopropylcarbonyl)amino]-4-pyridinyl]methyl]amino]-N-1H-indazol-5-yl- (9CI) (CA INDEX NAME)

RN 657400-67-4 CAPLUS

CN Benzamide, 2-[[[2-[(cyclopropylcarbonyl)amino]-4-pyridinyl]methyl]amino]-N-(2-methyl-2H-indazol-6-yl)- (9CI) (CA INDEX NAME)

RN 657400-68-5 CAPLUS

CN Benzamide, 2-[[[2-[(5-hydroxy-1-oxopentyl)amino]-4-pyridinyl]methyl]amino]-N-3-isoquinolinyl- (9CI) (CA INDEX NAME)

RN 657400-69-6 CAPLUS

CN Carbamic acid, [4-[[[2-[(1H-indazol-6-ylamino)carbonyl]phenyl]amino]methyl]-2-pyridinyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 657400-70-9 CAPLUS

CN Carbamic acid, [4-[[[2-[(1H-indazol-6-ylamino)carbonyl]phenyl]amino]methyl]-2-pyridinyl]-, 2-methylpropyl ester (9CI) (CA INDEX NAME)

RN 657400-71-0 CAPLUS

CN Carbamic acid, [4-[[[2-[(1H-indazol-6-ylamino)carbonyl]phenyl]amino]methyl]-2-pyridinyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

RN 657400-72-1 CAPLUS

CN Carbamic acid, [4-[[[2-[(1H-indazol-5-ylamino)carbonyl]phenyl]amino]methyl]-2-pyridinyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 657400-73-2 CAPLUS

CN Carbamic acid, [4-[[[2-[[(2-methyl-2H-indazol-6-yl)amino]carbonyl]phenyl]amino]methyl]-2-pyridinyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 657400-74-3 CAPLUS

CN Benzamide, 2-[[[2-[(cyclopropylcarbonyl)amino]-4-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
C & \text{NH} & \text{CH}_2 - \text{NH} \\
\hline
0 & \text{C} \\
\hline
F_3C & \text{NH}
\end{array}$$

RN 657400-75-4 CAPLUS

CN Benzamide, 2-[[[2-[(2,2-dimethyl-1-oxopropyl)amino]-4-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 657400-76-5 CAPLUS

CN Benzamide, 2-[[[2-[[(2-hydroxyethoxy)acetyl]amino]-4-pyridinyl]methyl]amino]-N-3-isoquinolinyl- (9CI) (CA INDEX NAME)

RN 657400-77-6 CAPLUS

CN Benzamide, 2-[[[2-(acetylmethylamino)-4-pyridinyl]methyl]amino]-N-3-isoquinolinyl- (9CI) (CA INDEX NAME)

RN 657400-78-7 CAPLUS

CN Benzamide, 2-[[[2-(2-oxo-1-pyrrolidinyl)-4-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 657400-79-8 CAPLUS

CN Benzamide, N-3-isoquinolinyl-2-[[[2-(2-oxo-3-oxazolidinyl)-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 657400-80-1 CAPLUS

CN Benzamide, 2-[[[2-(2-oxo-1-imidazolidinyl)-4-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 657400-81-2 CAPLUS

CN Benzamide, 2-[[[2-(3-methyl-2-oxo-1-imidazolidinyl)-4-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 657400-82-3 CAPLUS

CN Benzamide, N-3-isoquinolinyl-2-[[[2-(2-oxo-1-imidazolidinyl)-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 657400-83-4 CAPLUS

CN Benzamide, N-3-isoquinolinyl-2-[[[2-(2-oxo-1-pyrrolidinyl)-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & N & & \\ & N & & \\ & N & & \\ & & N & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 657400-84-5 CAPLUS

CN Benzamide, N-1H-indazol-5-yl-2-[[[2-(2-oxo-1-pyrrolidinyl)-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 657400-85-6 CAPLUS

CN Benzamide, N-1H-indazol-6-yl-2-[[[2-(2-oxo-1-pyrrolidinyl)-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 657400-86-7 CAPLUS

CN Benzamide, 2-[[[2-[(2R)-2-(hydroxymethyl)-5-oxo-1-pyrrolidinyl]-4-pyridinyl]methyl]amino]-N-3-isoquinolinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 657400-87-8 CAPLUS

CN Benzamide, N-3-isoquinolinyl-2-[[[2-(tetrahydro-5-oxo-1,4-oxazepin-4(5H)-yl)-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 657400-88-9 CAPLUS

CN Benzamide, 2-[[[2-(5-hydroxy-2-oxo-1-piperidinyl)-4-pyridinyl]methyl]amino]-N-3-isoquinolinyl- (9CI) (CA INDEX NAME)

RN 657400-89-0 CAPLUS

CN Benzamide, N-3-isoquinolinyl-2-[[(2-oxo[1(2H),2'-bipyridin]-4'-yl)methyl]amino]- (9CI) (CA INDEX NAME)

RN 657400-90-3 CAPLUS

CN Benzamide, 2-[[(5-chloro-2-oxo[1(2H),2'-bipyridin]-4'-yl)methyl]amino]-N-3-isoquinolinyl- (9CI) (CA INDEX NAME)

RN 657400-91-4 CAPLUS

CN Benzamide, N-(1-methyl-1H-indazol-6-yl)-2-[[[2-(2-oxo-1-pyrrolidinyl)-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 657400-92-5 CAPLUS

CN Benzamide, N-(2-methyl-2H-indazol-6-yl)-2-[[[2-(2-oxo-1-pyrrolidinyl)-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 657400-93-6 CAPLUS

CN Benzamide, N-(2-methyl-2H-indazol-5-yl)-2-[[[2-(2-oxo-1-pyrrolidinyl)-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 657400-94-7 CAPLUS

CN Benzamide, 2-[[[2-(3,5-dioxo-4-morpholinyl)-4-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 657400-95-8 CAPLUS

CN Benzamide, 2-[[[2-[[(3-chloropropyl)sulfonyl]amino]-4-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 657400-96-9 CAPLUS

CN Benzamide, 2-[[[2-(1,1-dioxido-2-isothiazolidinyl)-4-pyridinyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 657400-97-0 CAPLUS

CN Benzamide, N-[2-(2-hydroxyethyl)-2H-indazol-5-yl]-2-[[[2-[[(methylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 657400-98-1 CAPLUS

CN Benzamide, 2-[[[2-[[[(2-hydroxyethyl)amino]carbonyl]amino]-4-pyridinyl]methyl]amino]-N-(2-methyl-2H-indazol-5-yl)- (9CI) (CA INDEX NAME)

RN 657400-99-2 CAPLUS

RN 657401-00-8 CAPLUS

CN Benzamide, 2-[[[2-[[[(2-hydroxyethyl)amino]carbonyl]amino]-4-pyridinyl]methyl]amino]-N-(1-methyl-1H-indazol-6-yl)- (9CI) (CA INDEX NAME)

IT 657401-05-3 657401-06-4 657401-07-5

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of anthranylamidopyridines as inhibitors of vascular endothelial growth factor receptor)

RN 657401-05-3 CAPLUS

CN Benzamide, 2-[[(2-bromo-4-pyridinyl)methyl]amino]-N-1H-indazol-5-yl- (9CI) (CA INDEX NAME)

RN 657401-06-4 CAPLUS

CN Benzamide, 2-[[(2-bromo-4-pyridinyl)methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 657401-07-5 CAPLUS

CN Benzamide, N-[2-(2-methoxyethyl)-2H-indazol-5-yl]-2-[[[2-[[(methylamino)carbonyl]amino]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)

474799-36-5P 657401-01-9P 657401-04-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of anthranylamidopyridines as inhibitors of vascular endothelial growth factor receptor)

RN 474799-36-5 CAPLUS

CN Benzamide, 2-[[(6-bromo-3-pyridinyl)methyl]amino]-N-3-isoquinolinyl- (9CI) (CA INDEX NAME)

IT

RN 657401-01-9 CAPLUS

CN Benzamide, 2-[[(2-bromo-4-pyridinyl)methyl]amino]-N-(2-methyl-2H-indazol-5-yl)- (9CI) (CA INDEX NAME)

RN 657401-04-2 CAPLUS

CN Benzamide, 2-[[(2-bromo-4-pyridinyl)methyl]amino]-N-(1-methyl-1H-indazol-5-yl)- (9CI) (CA INDEX NAME)

L4 ANSWER 15 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:41461 CAPLUS

DOCUMENT NUMBER: 140:93789

TITLE: Preparation of substituted anthranilic amide

derivatives as VEGF modulators and methods of use

against cancer and other disorders

INVENTOR(S): Huang, Qi; Chen, Guoqing; Li, Aiwen; Riahi, Babak;

Tasker, Andrew; Yang, Kevin; Yuan, Chester Chenguang

PATENT ASSIGNEE(S): Amgen Inc., USA

SOURCE: PCT Int. Appl., 204 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PA'	FENT	NO.			KIN	D	DATE			APPL	ICAT	ION I	NO.		D.	ATE	
		2004		_				2004			WO 2	003-	US21	601		2	0030	709
	WO	2004	0052	79		A3		2004	0311									
		W :	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	KZ,	LC,	LK,	LR,
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,
								SD,		-		-						-
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								TM,										
			FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,
			BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG
	US	2004	0875	68		A1		2004	0506	,	US 2	003-	6158	09		2	0030	708
	CA	2489	166			AA		2004	0115	1	CA 2	003-	2489	166		2	0030	709
	ΑU	2003	2564	B1		A 1		2004	0123		AU 2	003-	2564	81		2	0030	709
	ΕP	1519	921			A2		2005	0406		EP 2	003-	7634	51		2	0030	709
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
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OTHER SOURCE(S): MARPAT 140:93789

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C(0)NR1R?

AB Selected substituted anthranilic amide derivs. (shown as I; variables defined below; e.g. II) are effective for prophylaxis and treatment of diseases, such as angiogenesis mediated diseases. The invention encompasses novel compds., analogs, prodrugs and pharmaceutically acceptable salts thereof, pharmaceutical compns. and methods for prophylaxis and treatment of diseases and other maladies or conditions involving cancer and the like. Although the methods of preparation are not claimed, .apprx.139 example prepns. of I and .apprx.80 of intermediates are included. For example, II was prepared in 3 steps starting from 2-nitrobenzoic acid and [4-[1-Methyl-1-(1-methylpiperidin-4-yl)ethyl]phenyl]amine and involving intermediates 2-nitro-N-[4-[1-methyl-1-

and

RN

CN

(1-methylpiperidin-4-yl)ethyl]phenyl]benzamide and 2-amino-N-[4-[1-methyl-1-(1-methylpiperidin-4-yl)ethyl]phenyl]benzamide. Compds. I showed inhibition of KDR at doses <50 μM . Some of the exemplified I inhibit VEGF-stimulated HUVEC proliferation <1 μM . Compds. I are active at doses <150 mpk in a tumor model. For I: R = (un)substituted 9- or 10-membered fused heterocyclyl, -(CH2)1-2-R3; R1 = (un)substituted 5-6 membered saturated or partially saturated heterocyclyl, 9-10 membered bicyclic

13-14 membered tricyclic saturated or partially saturated heterocyclyl, and phenyl; R2 is ≥1 substituents = H, halo, hydroxy, amino, C1-6-alkyl, C1-6-haloalkyl, C1-6-alkoxy, C1-2-alkylamino, aminosulfonyl, C3-6-cycloalkyl, cyano, C1-2-hydroxyalkyl, nitro, C2-3-alkenyl, C2-3-alkynyl, C1-6-haloalkoxy, C1-6-carboxyalkyl, 4-6-membered heterocyclyl-C1-6-alkylamino, (un)substituted Ph and (un)substituted 4-6 membered heterocyclyl; Ra = H, C1-2-alkyl; addnl. details are given in the claims.

IT 645418-47-9P, N-(1-Acetyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)2-[[(pyridin-4-yl)methyl]amino]benzamide 645418-59-3P,
N-(1-Acetyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[[(quinolin-4-yl)methyl]amino]benzamide 645418-61-7P, N-(1-Acetyl-3,3-dimethyl2,3-dihydro-1H-indol-6-yl)-2-[[(1-oxopyridin-4-yl)methyl]amino]benzamide
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of substituted anthranilic amide derivs. as VEGF modulators and methods of use against cancer and other disorders) 645418-47-9 CAPLUS

Benzamide, N-(1-acetyl-2,3-dihydro-3,3-dimethyl-1H-indol-6-yl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 645418-59-3 CAPLUS

CN Benzamide, N-(1-acetyl-2,3-dihydro-3,3-dimethyl-1H-indol-6-yl)-2-[(4-quinolinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 645418-61-7 CAPLUS
CN Benzamide, N-(1-acetyl-2,3-dihydro-3,3-dimethyl-1H-indol-6-yl)-2-[[(1-oxido-4-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)

IT 453564-10-8P, N-(2-Acetyl-4,4-dimethyl-1,2,3,4tetrahydroisoquinolin-7-yl)-2-[[(quinolin-4-yl)methyl]amino]benzamide 645418-43-5P, N-[4-[1-Methyl-1-(1-methylpiperidin-4yl)ethyl]phenyl]-2-[[(pyridin-4-yl)methyl]amino]benzamide 645418-48-0P, N-(1-Acetyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-(4-fluorobenzylamino) benzamide 645418-49-1P, N-[4-[1-Methyl-1-(1-methylpiperidin-4-yl)ethyl]phenyl]-2-[[(quinolin-4yl)methyl]amino]benzamide 645418-50-4P, 2-(4-Fluorobenzylamino)-N-[4-[1-methyl-1-(1-methylpiperidin-4-yl)ethyl]phenyl]benzamide 645418-51-5P, N-(3,3-Dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[[(pyridin-4-yl)methyl]amino]benzamide 645418-52-6P, N-(1-Ethyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[[(pyridin-4yl)methyl]amino]benzamide 645418-56-0P, N-[3,3-Dimethyl-1-[(4methylpiperazin-1-yl)carbonyl]-2,3-dihydro-1H-indol-6-yl]-2-(4fluorobenzylamino) benzamide 645418-62-8P, N-(3,3-Dimethyl-2,3dihydro-1H-indol-6-yl)-2-[[(quinolin-4-yl)methyl]amino]benzamide 645418-63-9P, N-(3,3-Dimethyl-2,3-dihydro-1H-indol-6-yl)-2-[[(1oxopyridin-4-yl) methyl] amino] benzamide 645418-64-0P, N-(4,4-Dimethyl-1,2,3,4-tetrahydroisoquinolin-7-yl)-2-(4fluorobenzylamino) benzamide 645418-67-3P, N-(4,4-Dimethyl-1,2,3,4-tetrahydroisoquinolin-7-yl)-2-fluoro-6-(4fluorobenzylamino) benzamide 645418-68-4P, N-(4,4-Dimethyl-1,2,3,4-tetrahydroisoquinolin-7-yl)-3-fluoro-6-(4fluorobenzylamino) benzamide 645418-69-5P, N-(4,4-Dimethyl-1,2,3,4-tetrahydroisoquinolin-7-yl)-4-fluoro-6-(4RN

CN

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fluorobenzylamino) benzamide 645418-70-8P, N-(4,4-Dimethyl-
1,2,3,4-tetrahydroisoquinolin-7-yl)-3,4-difluoro-6-(4-
fluorobenzylamino) benzamide 645418-71-9P, N-(4,4-Dimethyl-
1,2,3,4-tetrahydroisoquinolin-7-yl)-2-[[(2-methoxypyridin-4-
yl) methyl] amino] benzamide 645418-74-2P, N-(4,4-Dimethyl-1,2,3,4-
tetrahydroisoquinolin-7-yl)-2-fluoro-6-[[(2-methoxypyridin-4-
yl)methyl]amino]benzamide 645418-75-3P, N-(4,4-Dimethyl-1,2,3,4-
tetrahydroisoquinolin-7-yl)-3-fluoro-6-[[(2-methoxypyridin-4-
yl) methyl] amino] benzamide 645418-76-4P, N-(4,4-Dimethyl-1,2,3,4-
tetrahydroisoquinolin-7-yl)-4-fluoro-6-[[(2-methoxypyridin-4-
yl)methyl]amino]benzamide 645418-97-9P, N-(4,4-Dimethyl-1,2,3,4-
tetrahydroisoquinolin-7-yl)-2-[[(pyridazin-4-yl)methyl]amino]benzamide
645418-98-0P, 4,4-Dimethyl-7-[[2-[[(quinoxalin-5-
yl) methyl] amino] benzoyl] amino] -3,4-dihydro-1H-isoquinoline-2-carboxylic
acid tert-butyl ester 645418-99-1P, N-(4,4-Dimethyl-1,2,3,4-
tetrahydroisoquinolin-7-yl)-2-[[(quinoxalin-5-yl)methyl]amino]benzamide
645419-00-7P, N-(4,4-Dimethyl-1,2,3,4-tetrahydroisoquinolin-7-yl)-
2-[[(2-methylaminopyrimidin-4-yl)methyl]amino]benzamide
645419-14-3P, N-(4,4-Dimethyl-1,2,3,4-tetrahydroisoquinolin-7-yl)-
3-fluoro-2-(4-fluorobenzylamino) benzamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
   (drug candidate; preparation of substituted anthranilic amide derivs. as
   VEGF modulators and methods of use against cancer and other disorders)
453564-10-8 CAPLUS
Benzamide, N-(2-acetyl-1,2,3,4-tetrahydro-4,4-dimethyl-7-isoquinolinyl)-2-
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RN 645418-43-5 CAPLUS
CN Benzamide, N-[4-[1-methyl-1-(1-methyl-4-piperidinyl)ethyl]phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

[(4-quinolinylmethyl)amino] - (9CI) (CA INDEX NAME)

RN 645418-48-0 CAPLUS

CN Benzamide, N-(1-acetyl-2,3-dihydro-3,3-dimethyl-1H-indol-6-yl)-2-[[(4-fluorophenyl)methyl]amino]- (9CI) (CA INDEX NAME)

RN 645418-49-1 CAPLUS

CN Benzamide, N-[4-[1-methyl-1-(1-methyl-4-piperidinyl)ethyl]phenyl]-2-[(4-quinolinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 645418-50-4 CAPLUS

CN Benzamide, 2-[[(4-fluorophenyl)methyl]amino]-N-[4-[1-methyl-1-(1-methyl-4-piperidinyl)ethyl]phenyl]- (9CI) (CA INDEX NAME)

RN 645418-51-5 CAPLUS

CN Benzamide, N-(2,3-dihydro-3,3-dimethyl-1H-indol-6-yl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 645418-52-6 CAPLUS

CN Benzamide, N-(1-ethyl-2,3-dihydro-3,3-dimethyl-1H-indol-6-yl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 645418-56-0 CAPLUS

CN Benzamide, N-[2,3-dihydro-3,3-dimethyl-1-[(4-methyl-1-piperazinyl)carbonyl]-1H-indol-6-yl]-2-[[(4-fluorophenyl)methyl]amino]-(9CI) (CA INDEX NAME)

RN 645418-62-8 CAPLUS

CN Benzamide, N-(2,3-dihydro-3,3-dimethyl-1H-indol-6-yl)-2-[(4-quinolinylmethyl)amino]-(9CI) (CA INDEX NAME)

RN 645418-63-9 CAPLUS

CN Benzamide, N-(2,3-dihydro-3,3-dimethyl-1H-indol-6-yl)-2-[[(1-oxido-4-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)

RN 645418-64-0 CAPLUS

CN Benzamide, 2-[[(4-fluorophenyl)methyl]amino]-N-(1,2,3,4-tetrahydro-4,4-dimethyl-7-isoquinolinyl)- (9CI) (CA INDEX NAME)

RN 645418-67-3 CAPLUS

CN Benzamide, 2-fluoro-6-[[(4-fluorophenyl)methyl]amino]-N-(1,2,3,4-tetrahydro-4,4-dimethyl-7-isoquinolinyl)- (9CI) (CA INDEX NAME)

RN 645418-68-4 CAPLUS

CN Benzamide, 5-fluoro-2-[[(4-fluorophenyl)methyl]amino]-N-(1,2,3,4-tetrahydro-4,4-dimethyl-7-isoquinolinyl)- (9CI) (CA INDEX NAME)

RN 645418-69-5 CAPLUS

CN Benzamide, 4-fluoro-2-[[(4-fluorophenyl)methyl]amino]-N-(1,2,3,4-tetrahydro-4,4-dimethyl-7-isoquinolinyl)- (9CI) (CA INDEX NAME)

RN 645418-70-8 CAPLUS

CN Benzamide, 4,5-difluoro-2-[[(4-fluorophenyl)methyl]amino]-N-(1,2,3,4-tetrahydro-4,4-dimethyl-7-isoquinolinyl)- (9CI) (CA INDEX NAME)

RN 645418-71-9 CAPLUS

CN Benzamide, 2-[[(2-methoxy-4-pyridinyl)methyl]amino]-N-(1,2,3,4-tetrahydro-4,4-dimethyl-7-isoquinolinyl)- (9CI) (CA INDEX NAME)

RN 645418-74-2 CAPLUS

CN Benzamide, 2-fluoro-6-[[(2-methoxy-4-pyridinyl)methyl]amino]-N-(1,2,3,4-tetrahydro-4,4-dimethyl-7-isoquinolinyl)- (9CI) (CA INDEX NAME)

RN 645418-75-3 CAPLUS

CN Benzamide, 5-fluoro-2-[[(2-methoxy-4-pyridinyl)methyl]amino]-N-(1,2,3,4-tetrahydro-4,4-dimethyl-7-isoquinolinyl)- (9CI) (CA INDEX NAME)

RN 645418-76-4 CAPLUS

CN Benzamide, 4-fluoro-2-[[(2-methoxy-4-pyridinyl)methyl]amino]-N-(1,2,3,4-tetrahydro-4,4-dimethyl-7-isoquinolinyl)- (9CI) (CA INDEX NAME)

RN 645418-97-9 CAPLUS

CN Benzamide, 2-[(4-pyridazinylmethyl)amino]-N-(1,2,3,4-tetrahydro-4,4-dimethyl-7-isoquinolinyl)- (9CI) (CA INDEX NAME)

RN 645418-98-0 CAPLUS

CN 2(1H)-Isoquinolinecarboxylic acid, 3,4-dihydro-4,4-dimethyl-7-[[2-[(5-quinoxalinylmethyl)amino]benzoyl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 645418-99-1 CAPLUS

CN Benzamide, 2-[(5-quinoxalinylmethyl)amino]-N-(1,2,3,4-tetrahydro-4,4-dimethyl-7-isoquinolinyl)- (9CI) (CA INDEX NAME)

RN 645419-00-7 CAPLUS

CN Benzamide, 2-[[[2-(methylamino)-4-pyrimidinyl]methyl]amino]-N-(1,2,3,4-

tetrahydro-4,4-dimethyl-7-isoquinolinyl)- (9CI) (CA INDEX NAME)

RN 645419-14-3 CAPLUS
CN Benzamide, 3-fluoro-2-[[(4-fluorophenyl)methyl]amino]-N-(1,2,3,4-tetrahydro-4,4-dimethyl-7-isoquinolinyl)- (9CI) (CA INDEX NAME)

IT 645418-65-1P, 7-[[2-(4-Fluorobenzylamino)benzoyl]amino]-4,4dimethyl-3,4-dihydro-1H-isoquinoline-2-carboxylic acid tert-butyl ester 645418-73-1P, 7-[[2-[[(2-Methoxypyridin-4yl) methyl] amino] benzoyl] amino] -4,4-dimethyl-3,4-dihydro-1H-isoquinoline-2carboxylic acid tert-butyl ester 645419-02-9P, 4,4-Dimethyl-7-[[2-[[(2-methylaminopyrimidin-4yl) methyl] amino] benzoyl] amino] -3,4-dihydro-1H-isoquinoline-2-carboxylic acid tert-butyl ester RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of substituted anthranilic amide derivs. as VEGF modulators and methods of use against cancer and other disorders) RN 645418-65-1 CAPLUS 2(1H)-Isoquinolinecarboxylic acid, 7-[[2-[[(4-CN fluorophenyl)methyl]amino]benzoyl]amino]-3,4-dihydro-4,4-dimethyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 645418-73-1 CAPLUS

CN 2(1H)-Isoquinolinecarboxylic acid, 3,4-dihydro-7-[[2-[[(2-methoxy-4-pyridinyl)methyl]amino]benzoyl]amino]-4,4-dimethyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 645419-02-9 CAPLUS

ANSWER 16 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2004:36626 CAPLUS

DOCUMENT NUMBER:

140:93929

TITLE:

Preparation of N-(pyridinylmethyl)anthranilamides as VEGFR-2 and VEGFR-3 inhibitors for treating diseases

caused by persistent angiogenesis

INVENTOR (S):

Huth, Andreas; Krueger, Martin; Zorn, Ludwig; Ince,

Stuart; Thierauch, Karl-Heinz; Menrad, Andreas;

Haberey, Martin; Hess-Stumpp, Holger

PATENT ASSIGNEE(S):

Schering AG, Germany

SOURCE: Ger. Offen., 18 pp.

CODEN: GWXXBX

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
				•	
DE 10228090	A1	20040115	DE 2002-10228090		20020619
US 2004039019	A1	20040226	US 2003-464853		20030619
PRIORITY APPLN. INFO.:			DE 2002-10228090	Α	20020619
			US 2002-404773P	P	20020821

OTHER SOURCE(S):

MARPAT 140:93929

GΙ

$$\begin{array}{c} O \\ NHR1 \\ NHCH2 \\ \hline \\ N \\ R^2 \\ I \end{array}$$

AB Title compds. [I; R1 = (substituted) indazolyl, indolinyl, quinolinyl, Q1; R2 = H, C1-3 alkyl], were prepared Thus, 2-amino-N-(2-oxo-2,3-dihydro-1N-indol-6-yl)benzamide and pyridin-2-one-5-carboxaldehyde in MeOH was treated with ice AcOH followed by stirring over night at room temperature to give 82% N-(2-oxo-2,3-dihydro-1H-indol-6-yl)-2-[(6-oxo-1,6-dihydropyridin-3-yl)methylamino]benzamide. The latter inhibited VEGFR-2 (KDR) with IC50 = 0,05 μM.

IT 643081-97-4P 643081-98-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-(pyridinylmethyl)anthranilamides as VEGFR-2 and VEGFR-3 inhibitors for treating diseases caused by persistent angiogenesis)

RN 643081-97-4 CAPLUS

CN Benzamide, N-(2,3-dihydro-2-oxo-1H-indol-6-yl)-2-[[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)

643081-98-5 CAPLUS RN

CN Benzamide, N-[1-(cyanomethyl)-1H-indazol-6-yl]-2-[[(1,6-dihydro-6-oxo-3pyridinyl)methyl]amino] - (9CI) (CA INDEX NAME)

ANSWER 17 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2003:950836 CAPLUS

DOCUMENT NUMBER:

140:16722

TITLE:

Preparation of 1,1-disubstituted cycloalkyl

derivatives as factor Xa inhibitors for treating a

thromboembolic disorder

INVENTOR (S):

Qiao, Jennifer X.; Pinto, Donald J.; Orwat, Michael

J.; Han, Wei; Friedrich, Sarah R. Bristol-Myers Squibb Company, USA

PATENT ASSIGNEE(S):

PCT Int. Appl., 686 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE					
WO 2003099276		WO 2003-US13893	20030505					
W: AE, AG, AL,	AM, AT, AU, AZ,	BA, BB, BG, BR, BY,	BZ, CA, CH, CN,					
CO, CR, CU,	CZ, DE, DK, DM,	DZ, EC, EE, ES, FI,	GB, GD, GE, GH,					
GM, HR, HU,	ID, IL, IN, IS,	JP, KE, KG, KP, KR,	KZ, LC, LK, LR,					
LS, LT, LU,	LV, MA, MD, MG,	MK, MN, MW, MX, MZ,	NI, NO, NZ, OM,					
		SE, SG, SK, SL, TJ,						
TZ, UA, UG,	US, UZ, VC, VN,	YU, ZA, ZM, ZW						
RW: GH, GM, KE,	LS, MW, MZ, SD,	SL, SZ, TZ, UG, ZM,	ZW, AM, AZ, BY,					
KG, KZ, MD,	RU, TJ, TM, AT,	BE, BG, CH, CY, CZ,	DE, DK, EE, ES,					
FI, FR, GB,	GR, HU, IE, IT,	LU, MC, NL, PT, RO,	SE, SI, SK, TR,					
		GN, GQ, GW, ML, MR,						
AU 2003273179		AU 2003-273179						
US 2004254158	A1 20041216	US 2003-430024	20030505					
EP 1505966	A1 20050216	EP 2003-755341	20030505					
R: AT, BE, CH,	DE, DK, ES, FR,	GB, GR, IT, LI, LU,	NL, SE, MC, PT,					
		CY, AL, TR, BG, CZ,						
PRIORITY APPLN. INFO.:		US 2002-379357P	P 20020510					
		US 2002-415367P						
		WO 2003-US13893	W 20030505					
OTHER SOURCE(S):	MARPAT 140:16722							

0

GI

AB The present application describes 1,1-disubstituted cycloalkyl compds. and derivs. thereof (P4-P-M-M4; variables defined below; most of the examples contain 1,4,5,6-tetrahydro-7H-pyrazolo[3,4-c]pyridin-7-one, e.g. the trifluoroacetate of I), or pharmaceutically acceptable salt forms thereof, which are useful as inhibitors of factor Xa for treatment of a thromboembolic disorder. Although the methods of preparation are not claimed, .apprx.240 example prepns. are included. A number of I exhibit Ki's of <10 μM towards factor Xa; also some I are direct acting inhibitors (Ki < 10 μM) of the serine protease thrombin as indicated by their ability to inhibit the cleavage of small mol. substrates by thrombin in a purified system; the specific compds. are not stated. For I: M is a 3-10 membered carbocycle or a 4-10 membered heterocycle, consisting of: C atoms and 1-3 heteroatoms = O, S(O)p, N, and NZ2; ring M is substituted with 0-3 R1a and 0-2 carbonyl groups, and there are 0-3 ring double bonds; P is fused onto ring M and is a 5, 6, or 7 membered carbocycle or a 5, 6, or 7 membered heterocycle, consisting of: C atoms and 1-3 heteroatoms = O, S(O)p, and N; ring P is substituted with 0-3 Rla and 0-2 carbonyl groups, and there are 0-3 ring double bonds; alternatively, ring P is absent and P4 is directly attached to ring M, provided that when ring P is absent, P4 and M4 are attached to the 1,2, 1,3, or 1,4 positions of ring M. One of P4 and M4 is -Z-A-B and the other -G1-G, provided that P4 and M4 are attached to different rings when ring P is present; G is consists of 2 fused rings D and E (ring D, including the two atoms of Ring E to which it is attached, is a 5-6 membered ring consisting of carbon atoms and 0-2 heteroatoms selected from the group consisting of N, O, and S(O)p; E is selected from (un) substituted Ph, pyridyl, pyrimidyl, pyrazinyl, and pyridazinyl; alternatively, ring D is absent and ring E is selected from (un) substituted Ph, pyridyl, pyrimidyl, pyrazinyl, pyridazinyl, pyrrolyl, pyrazolyl, imidazolyl, isoxazolyl, oxazolyl, triazolyl, thienyl, and thiazolyl); G1 is absent or = (CR3R3a)1-5, etc. A = (un)substituted C3-10 carbocycle and 5-12 membered heterocycle consisting of: C atoms and 1-4 heteroatoms N, O, and S(O)p; B is Y-R4a or X-Y-R4a, provided that Z and B are attached to different atoms on A and A and R4a or X and R4a are attached to the same atom on Y; Z = a bond, -(CR3R3e)1-4-, etc. Addnl. details including provisos are given in the claims.

IT 630385-55-6P 630385-58-9P 630385-59-0P 630388-70-4P 630388-71-5P 630388-72-6P 630388-73-7P 630388-74-8P 630388-75-9P 630388-76-0P 630388-77-1P 630388-84-0P 630388-85-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)

(drug candidate; preparation of 1,1-disubstituted cycloalkyl derivs. as factor Xa inhibitors for treating thromboembolic disorder)

RN 630385-55-6 CAPLUS

CN Benzeneacetic acid, $4-[[2-[(5-chloro-2-pyridinyl)amino]carbonyl]phenyl]amino]methyl]-<math>\alpha$, α -dimethyl-, methyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & N \\ \parallel & \parallel \\ R - C - NH - \parallel \end{array}$$

RN 630385-58-9 CAPLUS

CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[4-(2-hydroxy-1,1-dimethylethyl)phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & \text{Me} \\ & \text{C-CH}_2\text{-OH} \\ \hline & \text{NH-CH}_2 \end{array}$$

RN 630385-59-0 CAPLUS

CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[4-[2-(dimethylamino)-1,1-dimethyl]phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & & \\ & & \\ \text{C-} & \text{CH}_2 - \text{NMe}_2 \\ & & \\ \text{NH-} & \text{CH}_2 \end{array}$$

$$\begin{array}{c|c} O & N \\ \parallel & \parallel \\ R--C-NH- \parallel & \parallel \end{array}$$

RN 630388-70-4 CAPLUS

CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[4-[1-[(2-oxo-1-pyrrolidinyl)methyl]cyclopropyl]phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 630388-71-5 CAPLUS

CN Benzamide, 2-[[[4-[1-[(acetylmethylamino)methyl]cyclopropyl]phenyl]methyl] amino]-5-chloro-N-(5-chloro-2-pyridinyl)- (9CI) (CA INDEX NAME)

RN 630388-72-6 CAPLUS

CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[4-[1-[[methyl[(methylamino)carbonyl]amino]methyl]cyclopropyl]phenyl]methyl]amin o] - (9CI) (CA INDEX NAME)

RN 630388-73-7 CAPLUS

CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[4-[1-[[methyl(methylsulfonyl)amino]methyl]cyclopropyl]phenyl]methyl]amino]-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 630388-74-8 CAPLUS

CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[4-[1-[(methylsulfonyl)amino]cyclopropyl]phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 630388-75-9 CAPLUS

CN Benzamide, 2-[[[4-[1-(acetylamino)cyclopropyl]phenyl]methyl]amino]-5-chloro-N-(5-chloro-2-pyridinyl)- (9CI) (CA INDEX NAME)

RN 630388-76-0 CAPLUS

CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[4-[1-[[(2-hydroxyethyl)amino]methyl]cyclopropyl]phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 630388-77-1 CAPLUS

CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[4-[1-[[(2-hydroxyethyl)methylamino]methyl]cyclopropyl]phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Me \\ \hline \\ CH_2-N-CH_2-CH_2-OH \\ \hline \\ CH_2-NH-C \\ \hline \\ N \\ O \end{array}$$

RN 630388-84-0 CAPLUS

CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[4-[1-[2-(dimethylamino)-2-oxoethyl]cyclopropyl]phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ &$$

RN 630388-85-1 CAPLUS

CN Benzamide, 2-[[[4-[1-(2-amino-2-oxoethyl)cyclopropyl]phenyl]methyl]amino]-5-chloro-N-(5-chloro-2-pyridinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
CH_2-C-NH_2\\
\hline
CH_2-NH-C\\
NH-C\\
0
\end{array}$$

REFERENCE COUNT:

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 18 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:950057 CAPLUS

DOCUMENT NUMBER: 140:16647

TITLE: Preparation of 2-aminopyridine-3-carboxamides as

remedies for angiogenesis mediated diseases

INVENTOR(S): Askew, Benny; Adams, Jeffrey; Booker, Shon; Chen,

Guoqing; Dipietro, Lucian V.; Elbaum, Daniel; Germain, Julie; Geuns-Meyer, Stephanie D.; Habgood, Gregory J.;

Handley, Michael; Huang, Qi; Kim, Tae-seong; Li, Aiwen; Nishimura, Nobuko; Nomak, Rana; Patel, Vinod F.; Riahi, Babak; Kim, Joseph L.; Xi, Ning; Yang,

Kevin; Yuan, Chester Chenguang

PATENT ASSIGNEE(S): Amgen Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 252 pp., Cont.-in-part of U.S.

Ser. No. 46,681.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PA	TENT	NO.			KIND DATE					DATE						
US	2003	2251					2003			200	2-19	7974			0020	
	6878				B2		2005	0412								
US	2003	1253					2003	0703	US	200	2-46	581		2	0020	110
US	6995	162			В2		2006	0207								
ZA	2003	0051	97		Α		2004	0319	ZA	200	3-51	97		2	0030	704
CA	2492	100			AA		2004	0122	CA	200	3-24	2100		2	0030	715
WO	2004	0074	58		A1		2004	0122	WO	200	3 - US2	22417		2	0030	715
	W:	ΑE,	AG,	AL,	AM,	AT	, AU,	AZ,	BA, B	в, в	G, BI	R, BY,	ΒZ,	CA,	CH,	CN,
		CO,	CR,	CU,	CZ,	DE.	DK,	DM,	DZ, E	C, E	E, E	5, FI,	GB,	GD,	GE,	GH,
		GM,	HR,	ΗU,	ID,	IL,	IN,	IS,	JP, K	E, K	G, KI	, KR,	KZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA	MD,	MG,	MK, M	N, M	W, MD	(, MZ,	NO,	NZ,	OM,	PH,
		PL,	PT,	RO,	RU,	SC	SD,	SE,	SG, S	K, S	L, T	J, TM,	TN,	TR,	TT,	TZ,
		UA,	UG,	UΖ,	VC,	VN	YU,	ZA,	ZM, Z	W						
	RW:	GH,	GM,	KE,	LS,	MW	MZ,	SD,	SL, S	Z, T	z, u	, ZM,	ZW,	AM,	AZ,	BY,
									BE, B							
		FI,	FR,	GB,	GR,	HU	IE,	IT,	LU, M	C, N	L, P'	r, RO,	SE,	SI,	SK,	TR,
		BF,	ВJ,	CF,	CG,	CI	CM,	GA,	GN, G	Q, GI	w, MI	, MR,	NE,	SN,	TD,	TG
AU	2003	2520	11		A1		2004	0202	AU	200	3-252	2011		2	0030	715
EP	1537	084			A 1		2005	0608	EP	200	3-764	794		2	0030	715
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB, G	R, I	r, L:	LU,	NL,	SE,	MC,	PT,
		ΙE,	SI,	LT,	LV,	FI	RO,	MK,	CY, A	L, TI	R, B	cz,	EE,	ΗU,	SK	
JP	2006	5011	95		T2				JP							
BG	1080	12			Α		2004	1130	BG	2003	3-108	3012		2	0030	721
US	2005	2613	13		A1		2005	1124	US	2004	4-14:	184		2	0041	215
US		A1 20060223 US 2005-234713 2							2	0050	923					
PRIORIT									339P							
												3764P			0010	
								US 2002-46681					A2 20020110			
									US	2002	2-19	7974	1	A 2	0020	717
												2417		W 2	0030	715

OTHER SOURCE(S): MARPAT 140:16647

GΙ

AB The title compds. [I; R = (un)substituted 4-pyridyl, 2-pyridyl, 4-pyrimidinyl, 4-quinolyl, etc.; R1 = (un)substituted aryl, cycloalkyl, 5-6 membered heteroaryl, 9-10 membered bicyclic and 11-14 membered tricyclic heterocyclyl], which are effective for prophylaxis and treatment of diseases and other maladies or conditions involving, cancer and the like, were prepared Thus, the title compound II was prepared from 2-aminonicotinic acid, 4-chloroaniline, and 4-pyridinecarboxaldehyde. The compds. I showed inhibition of KDR kinase at < 50 μM. Many compds. I inhibited VEGF-stimulated HUVEC proliferation at a level below 50 nM. Pharmaceutical composition comprising the compound I is claimed.

IT 453564-10-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2-aminopyridine-3-carboxamides for treating angiogenesis mediated diseases)

RN 453564-10-8 CAPLUS

CN Benzamide, N-(2-acetyl-1,2,3,4-tetrahydro-4,4-dimethyl-7-isoquinolinyl)-2-[(4-quinolinylmethyl)amino]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 19 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2003:665525 CAPLUS

DOCUMENT NUMBER:

139:345320

TITLE: Identification of a new chemical class of potent

angiogenesis inhibitors based on conformational

considerations and database searching

AUTHOR(S): Furet, Pascal; Bold, Guido; Hofmann, Francesco;

Manley, Paul; Meyer, Thomas; Altmann, Karl-Heinz

CORPORATE SOURCE: Oncology Research, Novartis Pharma AG, Basel, CH-4002,

Switz.

SWILZ.

SOURCE: Bioorganic & Medicinal Chemistry Letters (2003),

13(18), 2967-2971

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 139:345320

AB The vascular endothelial growth factor (VEGF) tyrosine kinase receptors KDR and Flt-1 are targets of current interest in anticancer drug research. PTK787/ZK222584 is a potent inhibitor of these enzymes in clin. evaluation as an antiangiogenic agent. The development of a hypothesis concerning the bioactive conformation of this compound has led to the discovery of a new class of potent inhibitors of KDR and Flt-1, the anthranilamides. This could be achieved with a limited exptl. effort, which only involved the testing of one archive compound and the synthesis and testing of one appropriate analog.

IT 269390-69-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(identification, synthesis and structure-activity relationship studies on a new chemical class of potent angiogenesis inhibitors (anthranilamides)-based on conformational considerations and database searching)

RN 269390-69-4 CAPLUS

IT 618359-41-4

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(identification, synthesis and structure-activity relationship studies on a new chemical class of potent angiogenesis inhibitors (anthranilamides)-based on conformational considerations and database searching)

RN 618359-41-4 CAPLUS

CN Benzamide, N-(2-fluorophenyl)-2-[[(4-fluorophenyl)methyl]amino]- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 20 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:551370 CAPLUS

DOCUMENT NUMBER:

139:111679

TITLE:

Combination of microsomal triglyceride transfer

protein (MTP) inhibitors or apoB secretion inhibitors

with fibrates for use as drugs

INVENTOR(S):

Thomas, Leo; Mark, Michael

PATENT ASSIGNEE(S):

Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G.,

Germany

SOURCE:

PCT Int. Appl., 220 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

					KIND DATE				APPLICATION NO.										
	2003															0030	107		
WO	2003	0572	05		A3		2004	0401											
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,		
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,		
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	KZ,	LC,	LK,	LR,		
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,		
											ТJ,								
		UG,	US,	UZ,	VN,	YU,	ZA,	ZM,	ZW										
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,		
		KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,		
		FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	SI,	SK,	TR,	BF,		
											ML,								
DE	1020				A1						002-						110		
DE	1025	6184			A1		2004	0609		DE 2	002-	1025	6184		2	0021	202		
CA	2471	566			AA		2003	0717	4	CA 2	003-	2471	566		2	0030	107		
AU	2003	2055	70		A1		2003	0724	AU 2003-205570						20030107				
EP	1465	613			A2		2004	1013	:	EP 2	003-	7023	91		2	0030	107		
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,		
		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	sĸ			
JP	2005	5253	09	•	T2	·	2005	0825	٠,	JP 2	003-	5575	63 [.]		2	0030	107		
US							2003	0828	8 US 2003-339088						2	0030	109		
	PRIORITY APPLN. INFO.:										002-					0020			
]	DE 2	002-	1025	6184	1	A 2	0021	202		
									1	US 2	002-	3533	97P]	P 2	0020	201		
									1	US 2	002-	4353	86P]	P 2	0021	220		
																0030			
OMITED C	~~~~	(0)			143 D		120		WO 2003-EP57										

OTHER SOURCE(S): MARPAT 139:111679

AB The invention discloses the use of fibrates for reducing the hepatic toxicity of MTP inhibitors, as well as pharmaceutical compns. that contain

an MTP inhibitor and a fibrate. Compound preparation is included.

IT 486436-62-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(combination of microsomal triglyceride transfer protein inhibitors or apoB secretion inhibitors with fibrates for use as drugs)

RN 486436-62-8 CAPLUS

CN 1H-Pyrrole-2-carboxamide, 1-methyl-N-[(4'-methyl[1,1'-biphenyl]-4-yl)methyl]-4-[[2-[(phenylmethyl)amino]benzoyl]amino]- (9CI) (CA INDEX NAME)

L4 ANSWER 21 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:454323 CAPLUS

DOCUMENT NUMBER: 139:22501

TITLE: Preparation of glycinamide heterocyclic derivatives as

factor Xa inhibitors

INVENTOR(S): Pinto, Donald J. P.; Han, Wei; Hu, Zilun

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA; Qiao, Jennifer

SOURCE: PCT Int. Appl., 451 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PAT	ENT	NO.			KIND		DATE		APPLICATION NO.						DATE			
						-												
WO	2003	0481	58		A1		2003	0612		WO 2	002-1	US38:	239		20	0021	127	
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DΖ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,	
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,	
		PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	
		TZ,	UA,	UG,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW							
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,	
		KG,	ΚZ,	MD,	RU,	TJ,	TM,	AT,	ΒE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	
		FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	SK,	TR,	BF,	ВJ,	CF,	
		CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG				
US	US 2003232804				A1	20031218			3 US 2002-304070						20021125			
AU	AU 2002351179				A 1	1 20030617			7 AU 2002-351179						20021127			

GI

EP 1465892 A1 20041013 EP 2002-786826 20021127
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK

PRIORITY APPLN. INFO.: US 2001-336994P P 20011204
WO 2002-US38239 W 20021127

OTHER SOURCE(S): MARPAT 139:22501

Compds. P4-P-M-M4 [M is a 3-10 membered carbocycle or a 4-10 membered heterocycle; P is null or a 5-7 membered carbocycle or heterocycle fused to ring M; one of P4 and M4 is -Z-A-B and the other is -G1-G, where G is (un)substituted (fused) (hetero)aryl or (hetero)cyclyl; G1 is null or (un)substituted optionally-functionalized alk(en)(yn)yl; Z is a bond or (hetero)alkylene; A is (substituted) 3-10 membered carbocyclyl or 5-12 membered heterocyclyl; B is a functionalized amino group (with provisos)] or their pharmaceutically-acceptable salts were prepared for use as inhibitors of factor Xa. Thus, 1H-pyrazolo[3,4-c]pyridine derivative I.TFA was prepared by reactions of 3-aminobenzamide, 3-hydroxy-1-(4-iodophenyl)-4-(trifluoroacetyl)-5,6-dihydro-2(1H)-pyridinone, chloroacetyl chloride, and dimethylamine.

Ι

IT 536759-09-8P 536759-10-1P 536759-11-2P
536759-12-3P 536759-13-4P 536759-14-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(preparation of glycinamide heterocyclic derivs. as factor Xa inhibitors) 536759-09-8 CAPLUS

RN

PAGE 1-A

PAGE 2-A

RN 536759-10-1 CAPLUS

CN

Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[4-[[(dimethylamino)acetyl]methylamino]phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me O} & \text{Me O} \\ & \parallel & \parallel \\ \text{N-C-CH}_2 - \text{NMe}_2 \end{array}$$

RN 536759-11-2 CAPLUS

10615809.trn

CN Benzamide, 2-[[[4-(acetylmethylamino)phenyl]methyl]amino]-5-chloro-N-(5-chloro-2-pyridinyl)- (9CI) (CA INDEX NAME)

RN 536759-12-3 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[4-[[(dimethylamino)acetyl]methyla mino]phenyl]methyl]amino]-5-methyl-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & \text{O} \\ & \parallel \\ \text{N-C-CH}_2 - \text{NMe}_2 \\ \\ & \text{NH-CH}_2 \end{array}$$

RN 536759-13-4 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[4-[[(dimethylamino)acetyl]methylamino]phenyl]methyl]amino]-5-methoxy- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me O} & \\ & \parallel \\ \text{N-C-CH}_2\text{-NMe}_2 \\ \\ \text{NH-CH}_2 & \\ \end{array}$$

RN 536759-14-5 CAPLUS

Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[4-[[(dimethylamino)acetyl]methyla CN mino]phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: 2 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 22 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN L4

2003:454257 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 139:7167

Preparation of glycinamide heterocyclic derivatives as TITLE:

factor Xa inhibitors

Pinto, Donald J. P.; Han, Wei; Hu, Zilun INVENTOR(S):

Bristol-Myers Squibb Company, USA; Qiao, Jennifer PATENT ASSIGNEE(S):

PCT Int. Appl., 448 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.						KIND DATE				APPLICATION NO.						DATE			
							-													
	WO	2003	0480	ВŢ		AZ		2003	0612	,	WO 2	002-	US3/.	212		21	0021	118		
	WO	2003	0480	81		A3		2003	0912											
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,		
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,		
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KΡ,	KR,	ΚZ,	LC,	LK,	LR,		
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NO,	NZ,	OM,	PH,		
			PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,		
			TZ,	UA,	UG,	UZ,	VC,	VN,	ΥU,	ZA,	ZM,	zw								
		RW:	GH,	GM,	KΕ,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,		
			KG,	ΚZ,	MD,	RU,	ТJ,	TM,	ΑT,	ΒE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,		
			FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	SK,	TR,	BF,	ВJ,	CF,		
			CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG					
US 2003232804						A1		2003	1218							20021125				
PRIORITY APPLN. INFO.:									US 2001-336994P					1	P 20	0011	204			
OTHER SOURCE(S):						MARPAT 139:7167														
GT																				

GI

RN

AB Compds. P4-P-M-M4 [M is a 3-10 membered carbocycle or a 4-10 membered heterocycle; P is null or a 5-7 membered carbocycle or heterocycle fused to ring M; one of P4 and M4 is -Z-A-B and the other is -G1-G, where G is (un)substituted (fused) (hetero)aryl or (hetero)cyclyl; G1 is null or (un)substituted optionally-functionalized alk(en)(yn)yl; Z is a bond or (hetero)alkylene; A is (substituted) 3-10 membered carbocyclyl or 5-12 membered heterocyclyl; B is a functionalized amino group (with provisos)] or their pharmaceutically-acceptable salts were prepared for use as inhibitors of factor Xa. Thus, 1H-pyrazolo[3,4-c]pyridine derivative I.TFA was prepared by reactions of 3-aminobenzamide, 3-hydroxy-1-(4-iodophenyl)-4-(trifluoroacetyl)-5,6-dihydro-2(1H)-pyridinone, chloroacetyl chloride, and dimethylamine.

IT 536759-09-8P 536759-10-1P 536759-11-2P
536759-12-3P 536759-13-4P 536759-14-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of glycinamide heterocyclic derivs. as factor Xa inhibitors) 536759-09-8 CAPLUS

PAGE 1-A

PAGE 2-A

RN 536759-10-1 CAPLUS

CN

Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[4-[[(dimethylamino)acetyl]methylamino]phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & \text{O} \\ & \parallel \\ \text{N-C-CH}_2 - \text{NMe}_2 \end{array}$$

RN 536759-11-2 CAPLUS

10615809.trn

CN Benzamide, 2-[[[4-(acetylmethylamino)phenyl]methyl]amino]-5-chloro-N-(5-chloro-2-pyridinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Cl} \\ & \text{N} \\ \\ \text{R-} & \text{C-NH} \end{array}$$

RN 536759-12-3 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[4-[[(dimethylamino)acetyl]methyla mino]phenyl]methyl]amino]-5-methyl- (9CI) (CA INDEX NAME)

RN 536759-13-4 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[4-[[(dimethylamino)acetyl]methylamino]phenyl]methyl]amino]-5-methoxy-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me O} & \\ & \parallel \\ \text{N-C-CH}_2\text{-NMe}_2 \\ \\ & \text{NH-CH}_2 \end{array}$$

RN 536759-14-5 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[4-[[(dimethylamino)acetyl]methylamino]phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

L4 ANSWER 23 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:376825 CAPLUS

DOCUMENT NUMBER: 138:385308

TITLE: Preparation of anthranilic acid amides and their use

as vascular endothelial growth factor receptor

tyrosine kinase inhibitors

INVENTOR(S): Bold, Guido; Furet, Pascal; Manley, Paul William

PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis Pharma Gmbh

SOURCE: PCT Int. Appl., 31 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA'	PATENT NO.										APPLICATION NO.									
WO											2002-					0021	107			
	W :	ΑE,	AG,	ΑL,	AM,	AT,	AU,	AZ,	BA,	BE	3, BG,	BR,	BY,	BZ,	CA,	CH,	CN,			
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC	C, EE,	ES,	FI,	GB,	GD,	GE,	GH,			
		HR,	HU,	ID,	IL,	IN,	, IS,	JP,	KE,	KC	, KP,	KR,	KZ,	LC,	LK,	LT,	LU,			
		LV,	MA,	MD,	MK,	MN,	, MX,	NO,	NZ,	ON	1, PH,	PL,	PT,	RO,	RU,	SE,	SG,			
		SI,	SK,	TJ,	TM,	TN	TR,	TT,	UA,	US	s, uz,	VC,	VN,	ΥU,	ZA,	ZW				
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE	E, ES,	FI,	FR,	GB,	GR,	IE,	IT,			
		LU,	MC,	NL,	PT,	SE,	SK,	TR												
CA	A 2463968				AA		2003	0515		CA	2002-	2463	968		2	0021	107			
EP	1446	382			A1 20040818					EΡ	2002-	7875	95		2	0021	107			
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GF	?, IT,	LI,	LU,	NL,	SE,	MC,	PT,			
		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	ΑI	, TR,	BG,	CZ,	EE,	SK					
BR	2002	0139	70		Α		2004	0831		BR	2002-	1397	0		2	0021	107			
JP	2005	5116	02		T2		2005	0428		JP	2003-	5421	48		2	0021	107			
US	2005	0963	56		A 1		2005	0505		US	2003-	4945	91		2	0021	107			
ZA	2004	0029	40		Α		2005	0210		ZA	2004-	2940			2	0040	419			
NO	2004	0021	87		Α		2004	0526		NO	2004-	2187			2	0040	526			
PRIORITY APPLN. INFO.:			. :						GB	2001-	2690	2		A 2	0011	108				
										WO	2002-	EP12	444	1	W 2	0021	107			
OTHER SO	THER SOURCE(S):						MARPAT 138:38530													

OTHER SOURCE(S): MARPAT 138:385308

GI

AB Anthranilic acid amide derivs. [I; R1, R2 = H, lower alkyl; R3 = lower perfluoroalkyl; X = O, S; e.g., 2-[(6-Methoxy-3-pyridinyl)methyl]amino-N-[3-(trifluoromethyl)phenyl]benzamide hydrochloride, m.p. 133-135°], which are vascular endothelial growth factor receptor tyrosine kinase inhibitors for the treatment of neoplastic disease, of retinopathy or age-related macular degeneration, are prepared and a I-containing formulation presented (e.g., a soft capsule).

IT 524941-34-2

RL: RCT (Reactant); RACT (Reactant or reagent) (in the preparation of anthranilic acid amides)

Ι

RN 524941-34-2 CAPLUS

CN Benzamide, 2-[[(6-methoxy-3-pyridinyl)methyl]amino]-N-[4-(2-propynyl)-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

IT 524941-29-5P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(in the preparation of anthranilic acid amides for use as vascular endothelial growth factor receptor tyrosine kinase inhibitors)

RN 524941-29-5 CAPLUS

CN Benzamide, 2-[[(6-methoxy-3-pyridinyl)methyl]amino]-N-[2-methyl-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

IT 524728-97-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of)

RN 524728-97-0 CAPLUS

CN Benzamide, N-[4-bromo-3-(trifluoromethyl)phenyl]-2-[[(6-methoxy-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)

IT 524941-33-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 524941-33-1 CAPLUS

CN Benzamide, 2-[[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]amino]-N-[4-(2-propynyl)-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

IT 524941-28-4P

RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of anthranilic acid amides and their use as vascular endothelial growth factor receptor tyrosine kinase inhibitors)

RN 524941-28-4 CAPLUS

CN Benzamide, 2-[[(6-methoxy-3-pyridinyl)methyl]amino]-N-[3-

(trifluoromethyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

IT 524941-35-3P 524941-36-4P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of anthranilic acid amides and their use as vascular endothelial growth factor receptor tyrosine kinase inhibitors)

RN 524941-35-3 CAPLUS

CN Benzamide, 2-[[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 524941-36-4 CAPLUS

CN Benzamide, 2-[[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]amino]-N-[2-methyl-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 24 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:376824 CAPLUS

DOCUMENT NUMBER: 138:368777

TITLE: Preparation of pyridyl-substituted anthranilic acid

amides for treating neoplastic disease

INVENTOR (S):

Bold, Guido; Furet, Pascal; Manley, Paul William

Novartis AG, Switz.; Novartis Pharma Gmbh

SOURCE:

PCT Int. Appl., 33 pp. CODEN: PIXXD2

PATENT ASSIGNEE(S):

Patent

DOCUMENT TYPE: LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT	NO.	KIND		APPLICATIO				
WO 2003	040101	Al	20030515	WO 2002-EF	212445	20021107		
₩:	AE, AG, AL,	AM, AT	, AU, AZ,	BA, BB, BG, B	BR, BY, BZ,	CA, CH, CN,		
	CO, CR, CU,	CZ, DE	, DK, DM,	DZ, EC, EE, E	ES, FI, GB,	GD, GE, GH,		
	HR, HU, ID,	IL, IN	, IS, JP,	KE, KG, KP, H	CR, KZ, LC,	LK, LT, LU,		
	LV, MA, MD,	MK, MN	, MX, NO,	NZ, OM, PH, B	PL, PT, RO,	RU, SC, SE,		
	SG, SI, SK,	TJ, TM	, TN, TR,	TT, UA, US, U	JZ, VC, VN,	YU, ZA, ZW		
RW:	AT, BE, BG,	CH, CY	, CZ, DE,	DK, EE, ES, F	I, FR, GB,	GR, IE, IT,		
	LU, MC, NL,	PT, SE	, SK, TR					
CA 2462	390	AA	20030515	CA 2002-24	62390	20021107		
EP 1446	381	A1	20040818	EP 2002-77	79536	20021107		
R:	AT, BE, CH,	DE, DK	, ES, FR,	GB, GR, IT, I	I, LU, NL,	SE, MC, PT,		
	IE, SI, LT,	LV, FI	, RO, MK,	CY, AL, TR, E	BG, CZ, EE,	SK		
BR 2002	013939	Α	20040831	BR 2002-13	1939	20021107		
JP 2005	508382	T2	20050331	JP 2003-54	2147	20021107		
US 2004	248947	A1	20041209	US 2004-49	4222	20040503		
NO 2004	002137	Α	20040525	NO 2004-21	.37	20040525		
PRIORITY APP	LN. INFO.:			GB 2001-26	901	A 20011108		
				GB 2002-12	917	A 20020605		
				WO 2002-EF	212445	W 20021107		
OTHER SOURCE	(s):	маррат	138.3687	77				

OTHER SOURCE(S):

MARPAT 138:368777

GI

AB The title compds. [I; Ar = II (wherein Ra = H, alkyl; and R1 = H, perfluoroalkyl; R2 = H, halo, alkyl, alkenyl, alkynyl); or Ar = 4-pyridyl and R1 = perfluoroalkyl; R2 = Br, I, alkyl, alkenyl, alkynyl; or R1 = H, and R2 = F, Br, I, Et, alkyl, alkenyl or alkynyl] and their N-oxides and salts, useful for the treatment especially of a neoplastic disease, such as a tumor disease, of retinopathy or age-related macular degeneration in the human or animal body, were prepared and formulated. Thus, reductive amination of 4-pyridinecarboxaldehyde with 2-amino-N-(4-bromo-3-trifluoromethylphenyl) benzamide (preparation given) in the presence of NaBH3CN afforded I [Ar = 4-pyridyl; R1 = CF3; R2 = Br]. The IC50-values that can be found for the compds. I are in range of 0.001 to 1 μM in test for

activity against VEGF-receptor tyrosine kinase.

IT 524728-98-1P 524728-99-2P 524729-02-0P 524729-04-2P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of pyridyl-substituted anthranilic acid amides for treating neoplastic disease)

RN 524728-98-1 CAPLUS

CN Benzamide, N-[4-bromo-3-(trifluoromethyl)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 524728-99-2 CAPLUS

CN Benzamide, N-(4-bromophenyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 524729-02-0 CAPLUS

CN Benzamide, N-[4-(1-propynyl)-3-(trifluoromethyl)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 524729-04-2 CAPLUS

CN Benzamide, N-[4-(1Z)-1-propenyl-3-(trifluoromethyl)phenyl]-2-[(4-pyridinylmethyl)amino]-, monohydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.

HCl

IT 524729-00-8P 524729-03-1P 524729-05-3P

524729-06-4P 524729-07-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyridyl-substituted anthranilic acid amides for treating neoplastic disease)

RN 524729-00-8 CAPLUS

CN Benzamide, 2-[[[3-[(methylamino)carbonyl]phenyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 524729-03-1 CAPLUS

CN Benzamide, N-[4-(1-propynyl)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C & C & C \\ \hline \\ C & NH \\ \hline \\ NH & CH_2 \\ \hline \\ N \end{array}$$

RN 524729-05-3 CAPLUS

CN Benzamide, N-[4-propyl-3-(trifluoromethyl)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 524729-06-4 CAPLUS

CN Benzamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[[(1-oxido-4-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)

RN 524729-07-5 CAPLUS

CN Benzamide, N-[4-fluoro-3-(trifluoromethyl)phenyl]-2-[[(1-oxido-4-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)

IT 524728-97-0P 524729-01-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyridyl-substituted anthranilic acid amides for treating neoplastic disease)

RN 524728-97-0 CAPLUS

CN Benzamide, N-[4-bromo-3-(trifluoromethyl)phenyl]-2-[[(6-methoxy-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)

RN 524729-01-9 CAPLUS

Benzamide, 2-[[(6-methoxy-3-pyridinyl)methyl]amino]-N-[4-(1-propynyl)-3-CN (trifluoromethyl)phenyl] - (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} CF_3 \\ C \longrightarrow C-Me \\ \hline \\ NH-CH_2 \longrightarrow N \\ \hline \\ OMe \\ \end{array}$$

REFERENCE COUNT:

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 25 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

1

ACCESSION NUMBER:

2003:42101 CAPLUS

DOCUMENT NUMBER:

138:106502

TITLE:

Preparation of biphenylcarboxylic acid amides as

inhibitors of microsomal triglyceride transfer protein

INVENTOR(S):

Priepke, Henning; Hauel, Norbert; Dahmann, Georg;

Thomas, Leo; Mark, Michael

PATENT ASSIGNEE(S):

Boehringer Ingelheim Pharma K.-G., Germany

SOURCE:

PCT Int. Appl., 193 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 2003004020	A1 20030116	WO 2002-EP7215	20020629
W: AE, AG, AL,	AM, AT, AU, AZ,	BA, BB, BG, BR, BY, BZ,	CA, CH, CN,
CO, CR, CU,	CZ, DE, DK, DM,	DZ, EC, EE, ES, FI, GB,	GD, GE, GH,
GM, HR, HU,	ID, IL, IN, IS,	JP, KE, KG, KP, KR, KZ,	LC, LK, LR,
LS, LT, LU,	LV, MA, MD, MG,	MK, MN, MW, MX, MZ, NO,	NZ, OM, PH,
PL, PT, RO,	RU, SD, SE, SG,	SI, SK, SL, TJ, TM, TN,	TR, TT, TZ,
UA, UG, US,	UZ, VN, YU, ZA,	ZM, ZW, AM, AZ, BY, KG,	KZ, MD, RU,
TJ, TM			
RW: GH, GM, KE,	LS, MW, MZ, SD,	SL, SZ, TZ, UG, ZM, ZW,	AT, BE, CH,
CY, DE, DK,	ES, FI, FR, GB,	GR, IE, IT, LU, MC, NL,	PT, SE, TR,
BF, BJ, CF,	CG, CI, CM, GA,	GN, GQ, GW, ML, MR, NE,	SN, TD, TG

DE 10132686 A1 20030116 DE 2001-10132686 20010705 US 2003073836 A1 20030417 US 2002-187860 20020702 PRIORITY APPLN. INFO.: DE 2001-10132686 A 20010705 US 2001-304584P P 20010711

OTHER SOURCE(S):

MARPAT 138:106502

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$$\begin{array}{c|c}
A - R8 & R5 & R7 \\
\downarrow & \downarrow & \downarrow \\
X1 & \downarrow & \downarrow \\
X2 & X4
\end{array}$$
R5 R7 | R6

AB Title compds. I [X1 = CR1; X2 = CR2; X3 = CR3; X4 = CR4; with 1-2 of the groups being a N-atom; R1, R2, R3, R4 = H, halo, alkyl, etc.; A = O, S, NH, etc.; R8 = (un)substituted Ph, 1-naphthyl, 2-naphthyl, etc.; R5 = H, (un)substituted alkyl; R6 = H, alkyl; R7 = (un)substituted alkyl; Y = 1-2 carbon atom(s) bound to (un)substituted 5-membered heteroaryl] and their pharmaceutically acceptable salts were prepared For example, coupling of acid II, e.g., prepared from 4-hydrazinobenzonitrile in 5-steps, and 4-phenylbenzylamine afforded biphenylcarboxylic acid amide III in 86% yield. In triglyceride transfer protein inhibition studies, compds. I exhibited IC50 values ≤ 100μM. Compds. I are claimed useful as inhibitors of microsomal triglyceride transfer protein (MTP) for the treatment of atherosclerosis.

IT 486436-62-8P

CN

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of biphenylcarboxylic acid amides as microsomal triglyceride transfer protein (MTP) inhibitors)

RN 486436-62-8 CAPLUS

1H-Pyrrole-2-carboxamide, 1-methyl-N-[(4'-methyl[1,1'-biphenyl]-4-yl)methyl]-4-[[2-[(phenylmethyl)amino]benzoyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text{C-NH-CH}_2 \end{array}$$

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 26 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: DOCUMENT NUMBER:

2002:882097 CAPLUS

137:384763

TITLE:

Preparation of cyanoanthranylamides as vascular endothelial growth factor (VEGF) receptor inhibitors Huth, Andreas; Krueger, Martin; Thierauch, Karl-Heinz;

INVENTOR (S):

Ernst, Alexander; Menrad, Andreas; Haberey, Martin

PATENT ASSIGNEE(S):

Schering Ag, Germany Ger. Offen., 14 pp.

CODEN: GWXXBX

DOCUMENT TYPE:

Patent German

LANGUAGE:

SOURCE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	_
DE 10125295 A1 20021121 DE 2001-10125295 2001051 WO 2003000678 A1 20030103 WO 2002-EP4921 2002050	_
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, C CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, G HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, I	M,
LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, F PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, U UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, T	ΡĹ, IA,
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, C CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, T BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, T	H, R,
EP 1387838 A1 20040211 EP 2002-748691 2002050 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, F IE, SI, LT, LV, FI, RO, MK, CY, AL, TR	3
JP 2004532281 T2 20041021 JP 2003-507082 2002050 US 2004266770 A1 20041230 US 2004-476761 2004082	_
PRIORITY APPLN. INFO.: DE 2001-10123587 A 2001050 DE 2001-10125295 A 2001051 WO 2002-EP4921 W 2002050	.5

OTHER SOURCE(S):

MARPAT 137:384763

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AB Title compds. [I; A = NR7; W = O, S, 2H, NR8; Z = bond, NR10, :N, (branched) (substituted) alkyl; X = alkyl; R1 = (substituted) (branched) alkyl, alkenyl, cycloalkyl, cycloalkenyl, aryl, heteroaryl; Y1-Y5 = N, CY6; Y6 = cyano, halo, alkyl, alkoxy, amino, OH (with the proviso that the ring contains at least one of N and is substituted with at least one of cyano group); D = N, CR3; E = N, CR4; F = N, CR5; G = N, CR6; R3-R6 = H,

IT

halo, (substituted) alkoxy, alkyl, carboxyalkyl; R7 = H, alkyl, etc.; R8-R10 = H, alkyl], were prepared Thus, N-(isoquinolin-3-yl)-2-(4-pyridylmethyl)aminobenzoic acid amide N-oxide was treated one after another with DMF, Et3N, and Me3SiCN followed by heating the bath temperature at 110° to give 14% N-(isoquinolin-3-yl)-2-[4-(2-cyanopyridyl)methyl]aminobenzoic acid amide. The latter inhibited the tyrosine kinase receptor VEGFR II (KDR) with IC50 = 1+10-8 mM. 474799-52-5P 475646-45-8P 475646-46-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of cyanoanthranylamides as vascular endothelial growth factor (VEGF) receptor inhibitors)

RN 474799-52-5 CAPLUS

CN Benzamide, 2-[[(2-cyano-4-pyridinyl)methyl]amino]-N-3-isoquinolinyl- (9CI) (CA INDEX NAME)

RN 475646-45-8 CAPLUS
CN Benzamide, 2-[[(6-cyano-3-pyridinyl)methyl]amino]-N-3-isoquinolinyl- (9CI)

(CA INDEX NAME)

IT 267891-90-7

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of cyanoanthranylamides as vascular endothelial growth factor (VEGF) receptor inhibitors)

RN 267891-90-7 CAPLUS

CN Benzamide, 2-[[(1,2-dihydro-2-oxo-4-pyridinyl)methyl]amino]-N-3-isoquinolinyl- (9CI) (CA INDEX NAME)

ANSWER 27 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:882056 CAPLUS

DOCUMENT NUMBER: 137:384762

TITLE: Preparation of cyanoanthranylamides as vascular

endothelial growth factor (VEGF) receptor inhibitors

INVENTOR(S): Huth, Andreas; Krueger, Martin; Ernst, Alexander;

Thierauch, Karl-Heinz; Haberey, Martin; Menrad,

Andreas

PATENT ASSIGNEE(S): Schering Ag, Germany

SOURCE: Ger. Offen., 14 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PA'	PATENT NO.				KIND DATE				APPL	ICAT	ION 1	NO.	DATE					
	1012 1012					34 20050407				DE 2	001-	1012	3587	20010508				
WO	2003	0006	78		A1		2003	0103		WO 2	002-	EP49	21		2	0020	503	
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	ВG,	BR,	BY,	ΒZ,	CA,	CH,	CN,	
		CO,	CR,	CU,	CZ,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	
		HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	KZ,	LC,	LK,	LR,	LS,	
		LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,	PL,	
		PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	
		ŪG,	US,	UZ,	VN,	YU,	ZA,	ZM,	ZW,	AM,	ΑZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM
	RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑT,	BE,	CH,	
		CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	
		BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG	
EP	1387	838			A1		2004	0211		EP 2	002-	7486	91		2	0020	503	
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙT,	LI,	LU,	NL,	SE,	MC,	PT,	
		•	•	•	•		RO,	•	•	•								
	2004						2004									0020		
	2004				A1		2004	1230							_	0040	-	
PRIORIT	Y APP	LN.	INFO	. :						DE 2								
				•						DE 2								
										WO 2	002-	EP49	21	1	₩ 2	0020	503	

OTHER SOURCE(S): MARPAT 137:384762

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AB Title compds. [I; A = NR7; W = O, S, 2H, NR8; Z = bond, NR10, :N, (branched) (substituted) alkyl; X = alkyl; R1 = (substituted) (branched) alkyl, alkenyl, cycloalkyl, cycloalkenyl, aryl, heteroaryl; Y1-Y5 = N, CY6; Y6 = cyano, halo, alkyl, alkoxy, amino, OH (with the proviso that the ring contains at least one of N and is substituted with at least one of cyano group); D = N, CR3; E = N, CR4; F = N, CR5; G = N, CR6; R3-R6 = H, halo, (substituted) alkoxy, alkyl, carboxyalkyl; R7 = H, alkyl, etc.; R8-R10 = H, alkyl], were prepared Thus, N-(isoquinolin-3-yl)-2-(4-pyridylmethyl) aminobenzoic acid amide N-oxide was treated one after another with DMF, Et3N, and Me3SiCN followed by heating the bath temperature at 110° to give 14% N-(isoquinolin-3-yl)-2-[4-(2-cyanopyridyl)methyl] aminobenzoic acid amide. The latter inhibited the tyrosine kinase receptor VEGFR II (KDR) with IC50 = 1+10-8 mM.

IT 474799-52-5P 475646-45-8P 475646-46-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of cyanoanthranylamides as vascular endothelial growth factor (VEGF) receptor inhibitors)

RN 474799-52-5 CAPLUS

CN Benzamide, 2-[[(2-cyano-4-pyridinyl)methyl]amino]-N-3-isoquinolinyl- (9CI) (CA INDEX NAME)

RN 475646-45-8 CAPLUS

CN Benzamide, 2-[[(6-cyano-3-pyridinyl)methyl]amino]-N-3-isoquinolinyl- (9CI) (CA INDEX NAME)

RN 475646-46-9 CAPLUS

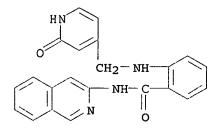
CN Benzamide, 2-[[(2-cyano-3-pyridinyl)methyl]amino]-N-3-isoquinolinyl- (9CI) (CA INDEX NAME)

IT 267891-90-7

RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of cyanoanthranylamides as vascular endothelial growth factor
 (VEGF) receptor inhibitors)

RN 267891-90-7 CAPLUS

CN Benzamide, 2-[[(1,2-dihydro-2-oxo-4-pyridinyl)methyl]amino]-N-3-isoquinolinyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 28 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2002:880425 CAPLUS

DOCUMENT NUMBER:

138:106488

TITLE:

Anthranilic Acid Amides: A Novel Class of Antiangiogenic VEGF Receptor Kinase Inhibitors

AUTHOR (S):

Manley, Paul W.; Furet, Pascal; Bold, Guido; Brueggen,

Josef; Mestan, Juergen; Meyer, Thomas; Schnell, Christian R.; Wood, Jeanette; Haberey, Martin; Huth, Andreas; Krueger, Martin; Menrad, Andreas; Ottow,

PUBLISHER:

Eckhard; Seidelmann, Dieter; Siemeister, Gerhard;

Thierauch, Karl-Heinz

CORPORATE SOURCE: Oncology Research, Novartis Pharma AG, Basel, CH-4057,

Switz.

SOURCE: Journal of Medicinal Chemistry (2002), 45(26),

5687-5693

CODEN: JMCMAR; ISSN: 0022-2623

American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 138:106488

GI

AB Two readily synthesized anthranilamide, VEGF receptor tyrosine kinase inhibitors have been prepared and evaluated as angiogenesis inhibitors. 2-[(4-Pyridyl)methyl]amino-N-[3-(trifluoromethyl)phenyl]benzamide [I; R = 3-CF3C6H4 (II)] and N-3-isoquinolinyl-2-[(4-pyridinylmethyl)amino]benzamid e [I; R = 3-isoquinolinyl (III)] potently and selectively inhibit recombinant VEGFR-2 and VEGFR-3 kinases. As a consequence of their physicochem. properties, these anthranilamides readily penetrate cells and are absorbed following once daily oral administration to mice. Both II and III potently inhibit VEGF-induced angiogenesis in an implant model, with ED50 values of 7 mg/kg. In a mouse orthotopic model of melanoma, II and III potently inhibited both the growth of the primary tumor as well as the formation of spontaneous peripheral metastases. The anthranilamides II and III represent a new structural class of VEGFR kinase inhibitors, which possess potent antiangiogenic and antitumor properties.

IT 267891-44-1P 269390-77-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and antiangiogenic and antitumor activity of VEGF receptor kinase inhibitor anthranilic acid amides)

RN 267891-44-1 CAPLUS

CN Benzamide, N-3-isoquinolinyl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 269390-77-4 CAPLUS

CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-[3-(trifluoromethyl)phenyl](9CI) (CA INDEX NAME)

REFERENCE COUNT:

20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 29 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2002:868928 CAPLUS

DOCUMENT NUMBER:

137:352900

TITLE:

Selective anthranilamide pyridine amides as inhibitors

of VEGFR-2 and VEGFR-3

INVENTOR (S):

Ernst, Alexander; Huth, Andreas; Krueger, Martin; Thierauch, Karl-Heinz; Menrad, Andreas; Haberey,

Martin

PATENT ASSIGNEE(S):

Schering Aktiengesellschaft, Germany

SOURCE: PCT Int. Appl., 115 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	PATENT NO.					D :	DATE		APPLICATION NO.						DATE			
	2002 2002				A2		2002: 2003:		1	WO 2	002-1	EP49:	24		20	0020	503	
WO	W:	AE,	AG,	AL,	•	AT,	AU,	AZ,	-				•				-	
		HR,	HU,	ID,	IL,	IN,	DM,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,	LS,	
		PT,	RO,	RU,	SD,	SE,	MG, SG,	si,	sĸ,	•	•	•	•		•	•	•	
	RW:	GH,	GM,	KE,	LS,	MW,	ZA, MZ,	SD,	SL,				-					
		GR,	IE,	IT,	LU,	MC,	TM, NL,	PT,	SE,	TR,	•	•	•		•	•	•	
DE				, ML, MR, NE, SN, A1 20021128			•						20010508					
							2002: 2003:							20010515 20011221				

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CA 2453223
                          AA
                                20021114
                                            CA 2002-2453223
                                                                    20020503
     EP 1392680
                          A2
                                20040303
                                            EP 2002-735333
                                                                    20020503
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
     BR 2002009485
                          Α
                                20040706
                                            BR 2002-9485
                                                                    20020503
     CN 1518546
                          Α
                                20040804
                                            CN 2002-809580
                                                                    20020503
     JP 2004528379
                                            JP 2002-587431
                          Т2
                                20040916
                                                                    20020503
     US 2004254185
                                            US 2004-477119
                          A1
                                20041216
                                                                    20040623
PRIORITY APPLN. INFO.:
                                            DE 2001-10123574
                                                                 A 20010508
                                            DE 2001-10125294
                                                                 Α
                                                                    20010515
                                            DE 2001-10164590
                                                                 Α
                                                                    20011221
                                            WO 2002-EP4924
                                                                    20020503
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OTHER SOURCE(S):

MARPAT 137:352900

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AB Title compds. I [G, L, M, Q = N, (un)substituted CH, \leq 1 of them being N; R = (un)substituted N heterocycle; R1 = (un)substituted alkyl, alkenyl, cycloalkyl, cycloalkenyl, aryl, heteroaryl] were prepared I are inhibitors of VEGFR-2 and VEGFR-3 and are used as medicaments for treating diseases that are caused by persistent angiogenesis, such as psoriasis, Kaposi's sarcoma, restenosis, such as e.g. stent-induced restenosis, endometriosis, Crohn's disease, Hodgkin's disease, leukemia, arthritis, such as rheumatoid arthritis, hemangioma, angiofibromatosis, in eye diseases such as diabetic retinopathy, neovascular glaucoma, in kidney diseases such as glomerulonephritis, diabetic nephropathy, malign nephrosclerosis, thrombic micro-angiopathic syndrome, transplant rejection and glomerulopathy, in fibrotic diseases such as hepatic cirrhosis, mesangial-cell proliferative diseases, arteriosclerosis, damage to the nerve tissue and inhibition of the re-occlusion of vessels after balloon catheter treatment, in vessel prosthetics or after the use of mech. devices for keeping vessels open, e.g. stents, as immunosuppressants, to support wound healing without scars and in cases of age spots and contact dermatitis. I can also be used as inhibitors of VEGFR-3 in lymphangiogenesis for hyperplastic and dysplastic changes in the lymphatic system. Thus, 2-amino-N-isoquinolin-3-ylbenzamide was treated with 2-bromo-5-pyridinecarboxaldehyde, followed by carboxylaton and amidation to give the amide II. II had IC50 for inhibition of VEGFR-2 of 40 nM and for inhibition of cytochrome 450 isoenzyme 2C9 of 2.9 μM .

IT 474798-25-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of isoquinolinylcarbamoylphenylaminomethylpyridinecarboxamides as VEGFR-2 and VEGFR-3 inhibitors)

RN 474798-25-9 CAPLUS

CN 2-Pyridinecarboxamide, N-(3-hydroxypropyl)-4-[[[2-[(3-

isoquinolinylamino)carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

IT 474760-08-2P 474799-36-5P 474799-37-6P 474799-38-7P 474799-39-8P 474799-40-1P

474799-46-7P 474799-47-8P 474799-52-5P

474799-55-8P 474799-57-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of isoquinolinylcarbamoylphenylaminomethylpyridinecarboxamides as VEGFR-2 and VEGFR-3 inhibitors)

RN 474760-08-2 CAPLUS

CN Benzamide, N-3-isoquinolinyl-2-[[(1-oxido-4-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)

RN 474799-36-5 CAPLUS

CN Benzamide, 2-[[(6-bromo-3-pyridinyl)methyl]amino]-N-3-isoquinolinyl- (9CI) (CA INDEX NAME)

RN 474799-37-6 CAPLUS

CN Benzamide, 2-[[(3-bromo-4-pyridinyl)methyl]amino]-N-3-isoquinolinyl- (9CI) (CA INDEX NAME)

RN 474799-38-7 CAPLUS

CN Benzamide, 2-[[(2-bromo-4-pyridinyl)methyl]amino]-N-3-isoquinolinyl- (9CI) (CA INDEX NAME)

RN 474799-39-8 CAPLUS

CN 2-Pyridinecarboxylic acid, 5-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]a mino]methyl]- (9CI) (CA INDEX NAME)

RN 474799-40-1 CAPLUS

CN 2-Pyridinecarboxylic acid, 4-[[[2-[[[3-(trifluoromethyl)phenyl]amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 474799-46-7 CAPLUS

CN Benzamide, 2-[[(6-bromo-3-pyridinyl)methyl]amino]-N-(2,3-dihydro-2-oxo-1H-indol-5-yl)- (9CI) (CA INDEX NAME)

RN 474799-47-8 CAPLUS

CN Benzamide, 2-[[(6-cyano-3-pyridinyl)methyl]amino]-N-(2,3-dihydro-2-oxo-1H-indol-5-yl)- (9CI) (CA INDEX NAME)

RN 474799-52-5 CAPLUS

CN Benzamide, 2-[[(2-cyano-4-pyridinyl)methyl]amino]-N-3-isoquinolinyl- (9CI) (CA INDEX NAME)

RN 474799-55-8 CAPLUS

CN Benzamide, 2-[[(2-cyano-4-pyridinyl)methyl]amino]-N-(7-methoxy-3-methyl-2-quinolinyl)- (9CI) (CA INDEX NAME)

RN 474799-57-0 CAPLUS

CN Benzamide, 2-[[(2-bromo-4-pyridinyl)methyl]amino]-N-(2-methyl-2H-indazol-6-

yl) - (9CI) (CA INDEX NAME)

474797-95-0P 474797-96-1P 474797-97-2P IT 474797-98-3P 474797-99-4P 474798-00-0P 474798-01-1P 474798-02-2P 474798-03-3P 474798-04-4P 474798-05-5P 474798-06-6P 474798-07-7P 474798-08-8P 474798-09-9P 474798-10-2P 474798-11-3P 474798-12-4P 474798-13-5P 474798-14-6P 474798-15-7P 474798-16-8P 474798-17-9P 474798-18-0P 474798-19-1P 474798-20-4P 474798-21-5P 474798-22-6P 474798-23-7P 474798-24-8P 474798-26-0P 474798-27-1P 474798-28-2P 474798-29-3P 474798-30-6P 474798-31-7P 474798-32-8P 474798-33-9P 474798-34-0P 474798-35-1P 474798-36-2P 474798-37-3P 474798-38-4P 474798-39-5P 474798-40-8P 474798-41-9P 474798-42-0P 474798-43-1P 474798-44-2P 474798-45-3P 474798-46-4P 474798-47-5P 474798-48-6P 474798-49-7P 474798-50-0P 474798-51-1P 474798-52-2P 474798-53-3P 474798-54-4P 474798-55-5P 474798-56-6P 474798-57-7P 474798-58-8P 474798-59-9P 474798-60-2P 474798-61-3P 474798-62-4P 474798-63-5P 474798-64-6P 474798-65-7P 474798-66-8P 474798-67-9P 474798-68-0P 474798-69-1P 474798-70-4P 474798-71-5P 474798-72-6P 474798-73-7P 474798-74-8P 474798-75-9P 474798-76-0P 474798-77-1P 474798-78-2P 474798-79-3P 474798-80-6P 474798-81-7P 474798-82-8P 474798-83-9P 474798-84-0P 474798-85-1P 474798-86-2P 474798-87-3P 474798-88-4P 474798-89-5P 474798-90-8P 474798-91-9P 474798-92-0P 474798-93-1P 474798-94-2P 474798-96-4P 474798-97-5P 474798-98-6P 474798-99-7P 474799-00-3P 474799-01-4P 474799-02-5P 474799-03-6P 474799-04-7P 474799-05-8P 474799-06-9P 474799-07-0P 474799-08-1P 474799-09-2P 474799-10-5P 474799-11-6P 474799-12-7P 474799-13-8P 474799-14-9P 474799-15-0P 474799-16-1P 474799-17-2P 474799-18-3P 474799-19-4P 474799-20-7P 474799-21-8P 474799-22-9P 474799-23-0P 474799-24-1P 474799-27-4P 474799-28-5P 474799-29-6P 474799-30-9P 474799-31-0P 474799-32-1P 474799-33-2P 474799-34-3P 474799-35-4P 474808-03-2P

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RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of isoquinolinylcarbamoylphenylaminomethylpyridinecarboxamides as VEGFR-2 and VEGFR-3 inhibitors)

RN 474797-95-0 CAPLUS

CN 2-Pyridinecarboxamide, 5-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino
]methyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ \text{Me}_2\text{N} - \text{C} & & & \\ & & & \\ \text{O} & & & \\ \end{array}$$

RN 474797-96-1 CAPLUS

CN 2-Pyridinecarboxamide, 5-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino]methyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 474797-97-2 CAPLUS

CN 2-Pyridinecarboxamide, N-cyclopropyl-5-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 474797-98-3 CAPLUS

CN 2-Pyridinecarboxamide, 5-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino]methyl]-N-(2,2,2-trifluoroethyl)- (9CI) (CA INDEX NAME)

RN 474797-99-4 CAPLUS

CN 2-Pyridinecarboxamide, N-(2-hydroxyethyl)-5-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 474798-00-0 CAPLUS

CN 2-Pyridinecarboxamide, N-(3-hydroxypropyl)-5-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 474798-01-1 CAPLUS

CN 2-Pyridinecarboxamide, N-(4-hydroxybutyl)-5-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 474798-02-2 CAPLUS

CN 2-Pyridinecarboxamide, N-[(1S)-2-hydroxy-1-methylethyl]-5-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 474798-03-3 CAPLUS

CN 2-Pyridinecarboxamide, N-[(1R)-2-hydroxy-1-methylethyl]-5-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 474798-04-4 CAPLUS

CN 2-Pyridinecarboxamide, N-[(2S)-2-hydroxypropyl]-5-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 474798-05-5 CAPLUS

CN 2-Pyridinecarboxamide, N-[(2R)-2-hydroxypropyl]-5-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 474798-06-6 CAPLUS

CN 2-Pyridinecarboxamide, N-(3-hydroxy-3-methylbutyl)-5-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 474798-07-7 CAPLUS

CN 2-Pyridinecarboxamide, N-[2-(dimethylamino)ethyl]-5-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 474798-08-8 CAPLUS

CN 2-Pyridinecarboxamide, N-[3-(dimethylamino)propyl]-5-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

$$Me_2N - (CH_2)_3 - NH - C$$

$$O$$

$$NH - C$$

$$O$$

$$N$$

RN 474798-09-9 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino]methyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} O \\ \parallel \\ Me_2N-C \\ \hline \\ N \\ NH-C \\ \hline \\ O \\ \end{array}$$

RN 474798-10-2 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino | methyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 474798-11-3 CAPLUS

CN 2-Pyridinecarboxamide, N-ethyl-4-[[[2-[(3-isoquinolinylamino)carbonyl]phen yl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 474798-12-4 CAPLUS

CN

2-Pyridinecarboxamide, N-(2-hydroxyethyl)-4-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 474798-13-5 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino]methyl]-N-2-pyridinyl- (9CI) (CA INDEX NAME)

RN 474798-14-6 CAPLUS

CN 2-Pyridinecarboxamide, N-cyclopentyl-4-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 474798-15-7 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino | methyl]-N-phenyl- (9CI) (CA INDEX NAME)

RN 474798-16-8 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino |methyl]-N-propyl- (9CI) (CA INDEX NAME)

RN 474798-17-9 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino |methyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 474798-18-0 CAPLUS

CN 2-Pyridinecarboxamide, N-[(1S)-2-hydroxy-1-methylethyl]-4-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 474798-19-1 CAPLUS

CN 2-Pyridinecarboxamide, N-[(1R)-2-hydroxy-1-methylethyl]-4-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 474798-20-4 CAPLUS

CN 2-Pyridinecarboxamide, N-[(2S)-2-hydroxypropyl]-4-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 474798-21-5 CAPLUS

CN 2-Pyridinecarboxamide, N-[(2R)-2-hydroxypropyl]-4-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 474798-22-6 CAPLUS

CN 2-Pyridinecarboxamide, N-cyclohexyl-4-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 474798-23-7 CAPLUS

CN 2-Pyridinecarboxamide, N-(4-fluorophenyl)-4-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 474798-24-8 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino]methyl]-N-(4-methylphenyl)- (9CI) (CA INDEX NAME)

RN 474798-26-0 CAPLUS

CN 2-Pyridinecarboxamide, N-(5-hydroxypentyl)-4-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 474798-27-1 CAPLUS

CN 2-Pyridinecarboxamide, N-(4-hydroxybutyl)-4-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 474798-28-2 CAPLUS

CN 2-Pyridinecarboxamide, N-[2-(dimethylamino)ethyl]-4-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} O \\ \parallel \\ N \\ N \\ \end{array} \begin{array}{c} CH_2 - NH \\ C \\ N \\ NH - C \\ O \\ \end{array}$$

RN 474798-29-3 CAPLUS

CN 2-Pyridinecarboxamide, N-[3-(dimethylamino)propyl]-4-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{O} \\ \text{C-NH- (CH_2)}_3 - \text{NMe}_2 \\ \\ \text{N-} \\ \\ \text{CH}_2 - \text{NH-} \\ \\ \text{N} \\ \\ \text{O} \\ \end{array}$$

RN 474798-30-6 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino]methyl]-N-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 474798-31-7 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino | methyl]-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 474798-32-8 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino | methyl]-N-3-pyridinyl- (9CI) (CA INDEX NAME)

RN 474798-33-9 CAPLUS

CN 2-Pyridinecarboxamide, N-(3-hydroxy-3-methylbutyl)-4-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{OH} & \text{O} \\ \text{Me} & \text{C-CH}_2\text{--CH}_2\text{--NH-C} \\ \text{Me} & \text{N} & \text{NH-C} \\ \end{array}$$

RN 474798-34-0 CAPLUS

CN 2-Pyridinecarboxamide, N-(2-hydroxy-2-methylpropyl)-4-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 474798-35-1 CAPLUS

CN 2-Pyridinecarboxamide, N-(2-hydroxy-1,1-dimethylethyl)-4-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 474798-36-2 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino]methyl]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{MeO-CH}_2\text{-CH}_2\text{-NH-C} \\ \\ \text{N} \\ \text{NH-C} \\ \\ \text{N} \\ \text{O} \\ \end{array}$$

RN 474798-37-3 CAPLUS

CN 2-Pyridinecarboxamide, N-[(1S)-1-(hydroxymethyl)-2-methylpropyl]-4-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 474798-38-4 CAPLUS

CN 2-Pyridinecarboxamide, N-{(1S)-1-(hydroxymethyl)-3-methylbutyl]-4-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 474798-39-5 CAPLUS

CN 2-Pyridinecarboxamide, N-[(1R)-1-(hydroxymethyl)-2-methylpropyl]-4-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 474798-40-8 CAPLUS

CN 2-Pyridinecarboxamide, N-[1-(hydroxymethyl)cyclopentyl]-4-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 474798-41-9 CAPLUS

CN 2-Pyridinecarboxamide, N-[(1S)-2-hydroxy-1-phenylethyl]-4-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 474798-42-0 CAPLUS

CN 2-Pyridinecarboxamide, N-[(1R)-2-hydroxy-1-phenylethyl]-4-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 474798-43-1 CAPLUS

CN 2-Pyridinecarboxamide, N-[2-hydroxy-1-(hydroxymethyl)ethyl]-4-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 474798-44-2 CAPLUS

CN 2-Pyridinecarboxamide, N-[(1S)-1-(hydroxymethyl)-2,2-dimethylpropyl]-4[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino]methyl]- (9CI) (CA
INDEX NAME)

RN 474798-45-3 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino]methyl]-N-(2,2,2-trifluoroethyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} O \\ \parallel \\ F_3C-CH_2-NH-C \\ \hline \\ N \\ \hline \\ NH-C \\ \hline \\ O \\ \end{array}$$

RN 474798-46-4 CAPLUS

CN 2-Pyridinecarboxamide, N-[(1S,2S)-2-hydroxycyclohexyl]-4-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

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RN 474798-47-5 CAPLUS

CN 2-Pyridinecarboxamide, N-[(1R)-1-(hydroxymethyl)-3-methylbutyl]-4-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 474798-48-6 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino]methyl]-N-[(1R)-2-methoxy-1-methylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 474798-49-7 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino |methyl]-N-[(1S)-2-methoxy-1-methylethyl]- (9CI) (CA INDEX NAME)

RN 474798-50-0 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino]methyl]-N-[3-(4-morpholinyl)propyl]- (9CI) (CA INDEX NAME)

RN 474798-51-1 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino | methyl]-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 474798-52-2 CAPLUS

CN 2-Pyridinecarboxamide, N-(2-hydroxybutyl)-4-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 474798-53-3 CAPLUS

CN 2-Pyridinecarboxamide, N-[2-hydroxy-1-(hydroxymethyl)ethyl]-4-[[[2-[[[3-(trifluoromethyl)phenyl]amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 474798-54-4 CAPLUS

CN 2-Pyridinecarboxamide, N-(3-hydroxypropyl)-4-[[[2-[[[3-(trifluoromethyl)phenyl]amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 474798-55-5 CAPLUS

CN 2-Pyridinecarboxamide, N-(2-methoxyethyl)-4-[[[2-[[[3-(trifluoromethyl)phenyl]amino]carbonyl]phenyl]amino]methyl]- (9CI) (CAINDEX NAME)

RN 474798-56-6 CAPLUS

RN 474798-57-7 CAPLUS

CN 2-Pyridinecarboxamide, N-(4-hydroxybutyl)-4-[[[2-[[[3-(trifluoromethyl)phenyl]amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 474798-58-8 CAPLUS

CN 2-Pyridinecarboxamide, N-[(1S)-2-hydroxy-1-methylethyl]-4-[[[2-[[[3-(trifluoromethyl)phenyl]amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 474798-59-9 CAPLUS

CN 2-Pyridinecarboxamide, N-[(1R)-2-hydroxy-1-methylethyl]-4-[[[2-[[[3-(trifluoromethyl)phenyl]amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 474798-60-2 CAPLUS

CN 2-Pyridinecarboxamide, N-[(2S)-2-hydroxypropyl]-4-[[[2-[[[3-(trifluoromethyl)phenyl]amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 474798-61-3 CAPLUS

CN 2-Pyridinecarboxamide, N-[(2R)-2-hydroxypropyl]-4-[[[2-[[[3-(trifluoromethyl)phenyl]amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 474798-62-4 CAPLUS

CN 2-Pyridinecarboxamide, N-(2-hydroxy-2-methylpropyl)-4-[[[2-[[[3-(trifluoromethyl)phenyl]amino]carbonyl]phenyl]amino]methyl)- (9CI) (CA INDEX NAME)

RN 474798-63-5 CAPLUS

CN 2-Pyridinecarboxamide, N-(3-hydroxy-3-methylbutyl)-4-[[[2-[[[3-(trifluoromethyl)phenyl]amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

$$CF_3$$
 O OH CF_3 O OH CF_3 O $C-NH-CH_2-CH_2-C-Me$ $C-NH-CH_2-CH_2-C-Me$

RN 474798-64-6 CAPLUS

CN 2-Pyridinecarboxamide, N-[2-(dimethylamino)ethyl]-4-[[[2-[[[3-(trifluoromethyl)phenyl]amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

$$CF_3$$
 $C-NH-CH_2-CH_2-NMe_2$
 $NH-CH_2$

RN 474798-65-7 CAPLUS

CN 2-Pyridinecarboxamide, N-[3-(dimethylamino)propyl]-4-[[[2-[[[3-(trifluoromethyl)phenyl]amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 474798-66-8 CAPLUS

CN 2-Pyridinecarboxamide, N-4-pyridinyl-4-[[[2-[[[3-(trifluoromethyl)phenyl]amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 474798-67-9 CAPLUS

RN 474798-68-0 CAPLUS

CN

2-Pyridinecarboxamide, N-2-pyridinyl-4-[[[2-[[[3-(trifluoromethyl)phenyl]amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 474798-69-1 CAPLUS

CN Benzamide, N-3-isoquinolinyl-2-[[[2-[(4-methyl-1-piperazinyl)carbonyl]-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 474798-70-4 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[3-fluoro-2-[(3-isoquinolinylamino)carbonyl]phe nyl]amino]methyl]-N-[(1S)-2-hydroxy-1-methylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 474798-71-5 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[3-fluoro-2-[(3-isoquinolinylamino)carbonyl]phe nyl]amino]methyl]-N-[(1R)-2-hydroxy-1-methylethyl]- (9CI) (CA INDEX NAME)

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RN 474798-72-6 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[3-fluoro-2-[(3-isoquinolinylamino)carbonyl]phe nyl]amino]methyl]-N-[(2S)-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 474798-73-7 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[3-fluoro-2-[(3-isoquinolinylamino)carbonyl]phe nyl]amino]methyl]-N-[(2R)-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 474798-74-8 CAPLUS

CN 2-Pyridinecarboxamide, N-[2-(dimethylamino)ethyl]-4-[[[3-fluoro-2-[(3-isoquinolinylamino)carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} O \\ || \\ || \\ CH_2 - CH_2 - NH - C \\ || \\ N \\ NH - C \\ || \\ O \\ F \end{array}$$

RN 474798-75-9 CAPLUS

RN 474798-76-0 CAPLUS

CN 2-Pyridinecarboxamide, N-[(2S)-2-hydroxypropyl]-5-[[[2-[[[3-(trifluoromethyl)phenyl]amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 474798-77-1 CAPLUS

CN 2-Pyridinecarboxamide, N-[(1S)-2-hydroxy-1-methylethyl]-5-[[[2-[[[3-

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(trifluoromethyl)phenyl]amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

RN 474798-78-2 CAPLUS

CN 2-Pyridinecarboxamide, N-[(1R)-2-hydroxy-1-methylethyl]-5-[[[2-[[[3-(trifluoromethyl)phenyl]amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 474798-79-3 CAPLUS

CN 2-Pyridinecarboxamide, N-4-pyridinyl-5-[[[2-[[[3-(trifluoromethyl)phenyl]amino]carbonyl]phenyl]amino]methyl]- (9CI) (CAINDEX NAME)

RN 474798-80-6 CAPLUS

CN 2-Pyridinecarboxamide, N-3-pyridinyl-5-[[[2-[[[3-(trifluoromethyl)phenyl]amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 474798-81-7 CAPLUS

CN 2-Pyridinecarboxamide, N-(5-hydroxypentyl)-5-[[[2-[[[3-(trifluoromethyl)phenyl]amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 474798-82-8 CAPLUS

CN 2-Pyridinecarboxamide, N-[2-hydroxy-1-(hydroxymethyl)ethyl]-5-[[[2-[[[3-(trifluoromethyl)phenyl]amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 474798-83-9 CAPLUS

CN 2-Pyridinecarboxamide, N-[3-(dimethylamino)propyl]-5-[[[2-[[[3-(trifluoromethyl)phenyl]amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 474798-84-0 CAPLUS

CN 2-Pyridinecarboxamide, N-(2-methoxyethyl)-5-[[[2-[[[3-(trifluoromethyl)phenyl]amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

$$C-NH$$
 CF_3
 $C-NH-CH_2-CH_2-OMe$

RN 474798-85-1 CAPLUS

CN 2-Pyridinecarboxamide, N-2-pyridinyl-5-[[[2-[[[3-(trifluoromethyl)phenyl]amino]carbonyl]phenyl]amino]methyl]- (9CI) (CAINDEX NAME)

RN 474798-86-2 CAPLUS

CN 2-Pyridinecarboxamide, N-[2-(dimethylamino)ethyl]-5-[[[2-[[[3-(trifluoromethyl)phenyl]amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 474798-87-3 CAPLUS

CN 2-Pyridinecarboxamide, N-(3-hydroxy-3-methylbutyl)-5-[[[2-[[[3-(trifluoromethyl)phenyl]amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

$$C-NH-CH_2-CH_2-C-Me$$

O

O

 $C-NH-CH_2-CH_2-C-Me$

O

Me

RN 474798-88-4 CAPLUS

CN 2-Pyridinecarboxamide, N-(2-hydroxy-2-methylpropyl)-5-[[[2-[[[3-(trifluoromethyl)phenyl]amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 474798-89-5 CAPLUS

CN 2-Pyridinecarboxamide, N-[(2R)-2-hydroxypropyl]-5-[[[2-[[[3-(trifluoromethyl)phenyl]amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 474798-90-8 CAPLUS

CN 2-Pyridinecarboxamide, N-(4-hydroxybutyl)-5-[[[2-[[[3-(trifluoromethyl)phenyl]amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 474798-91-9 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[[(2,3-dihydro-2-oxo-1H-indol-5-yl)amino]carbonyl]phenyl]amino]methyl]-N-[(2R)-2-hydroxypropyl]- (9CI)

(CA INDEX NAME)

Absolute stereochemistry.

RN 474798-92-0 CAPLUS

CN 2-Pyridinecarboxamide, N-[(2R)-2-hydroxypropyl]-4-[[[2-[[(1-methyl-1H-indazol-6-yl)amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 474798-93-1 CAPLUS

CN 2-Pyridinecarboxamide, N-[(2S)-2-hydroxypropyl]-4-[[[2-[[(1-methyl-1H-indazol-6-yl)amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 474798-94-2 CAPLUS

CN 2-Pyridinecarboxamide, N-[(2R)-2-hydroxypropyl]-4-[[[2-[(1H-indazol-6-ylamino)carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 474798-96-4 CAPLUS

CN 2-Pyridinecarboxamide, N-[(2S)-2-hydroxypropyl]-4-[[[2-[(1H-indazol-6-ylamino)carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 474798-97-5 CAPLUS

CN 2-Pyridinecarboxamide, N-[(2R)-2-hydroxypropyl]-4-[[[2-[[(2-methyl-2H-indazol-6-yl)amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 474798-98-6 CAPLUS

CN 2-Pyridinecarboxamide, N-[(2S)-2-hydroxypropyl]-4-[[[2-[[(2-methyl-2H-indazol-6-yl)amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 474798-99-7 CAPLUS

CN 2-Pyridinecarboxamide, N-[(2R)-2-hydroxypropyl]-4-[[[2-[(1H-indazol-5-ylamino)carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 474799-00-3 CAPLUS

CN 2-Pyridinecarboxamide, N-[(2S)-2-hydroxypropyl]-4-[[[2-[(1H-indazol-5-ylamino)carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 474799-01-4 CAPLUS
CN 2-Pyridinecarboxamide, 5-[[[2-[[(2,3-dihydro-2-oxo-1H-indol-5yl)amino]carbonyl]phenyl]amino]methyl]-N-[(2S)-2-hydroxypropyl]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

RN 474799-03-6 CAPLUS

CN 2-Pyridinecarboxamide, 5-[[[2-[[(2,3-dihydro-2-oxo-1H-indol-5-yl)amino]carbonyl]phenyl]amino]methyl]-N-[(1R)-2-hydroxy-1-methylethyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 474799-04-7 CAPLUS

CN 2-Pyridinecarboxamide, 5-[[[2-[[(2,3-dihydro-2-oxo-1H-indol-5-yl)amino]carbonyl]phenyl]amino]methyl]-N-[(2R)-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

RN 474799-05-8 CAPLUS

CN 2-Pyridinecarboxamide, N-[(2R)-2-hydroxypropyl]-5-[[[2-[[(7-methoxy-2-oxo-2H-1-benzopyran-3-yl)amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 474799-06-9 CAPLUS

CN 2-Pyridinecarboxamide, N-[(1S)-2-hydroxy-1-methylethyl]-5-[[[2-[[(7-methoxy-2-oxo-2H-1-benzopyran-3-yl)amino]carbonyl]phenyl]amino]methyl]-(9CI) (CA INDEX NAME)

RN 474799-07-0 CAPLUS

CN 2-Pyridinecarboxamide, N-[(1R)-2-hydroxy-1-methylethyl]-5-[[[2-[[(7-methoxy-2-oxo-2H-1-benzopyran-3-yl)amino]carbonyl]phenyl]amino]methyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 474799-08-1 CAPLUS

CN 2-Pyridinecarboxamide, N-[(1R)-2-hydroxy-1-methylethyl]-5-[[[2-[[(7-methoxy-3-methyl-2-quinolinyl)amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 474799-09-2 CAPLUS
CN 2-Pyridinecarboxamide, N-[(2S)-2-hydroxypropyl]-5-[[[2-[[(7-methoxy-3-methyl-2-quinolinyl)amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX

Absolute stereochemistry.

NAME)

RN 474799-10-5 CAPLUS
CN 2-Pyridinecarboxamide, N-[(1S)-2-hydroxy-1-methylethyl]-5-[[[2-[[(7-methoxy-3-methyl-2-quinolinyl)amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 474799-11-6 CAPLUS

CN 2-Pyridinecarboxamide, N-[(2S)-2-hydroxypropyl]-5-[[[2-[[(1-methyl-1H-indazol-6-yl)amino]carbonyl]phenyl]amino]methyl}- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 474799-12-7 CAPLUS

CN 2-Pyridinecarboxamide, N-[(1S)-2-hydroxy-1-methylethyl]-5-[[[2-[[(1-methyl-1H-indazol-6-yl)amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 474799-13-8 CAPLUS

CN 2-Pyridinecarboxamide, N-[(1R)-2-hydroxy-1-methylethyl]-5-[[[2-[[(1-methyl-1H-indazol-6-yl)amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 474799-14-9 CAPLUS

CN 2-Pyridinecarboxamide, N-[(2R)-2-hydroxypropyl]-5-[[[2-[[(1-methyl-1H-indazol-6-yl)amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 474799-15-0 CAPLUS

CN 2-Pyridinecarboxamide, N-[(2S)-2-hydroxypropyl]-5-[[[2-[(1H-indazol-6-ylamino)carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 474799-16-1 CAPLUS

CN 2-Pyridinecarboxamide, N-[(2R)-2-hydroxypropyl]-5-[[[2-[(1H-indazol-6-ylamino)carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 474799-17-2 CAPLUS

CN 2-Pyridinecarboxamide, N-[(1S)-2-hydroxy-1-methylethyl]-5-[[[2-[(1H-indazol-6-ylamino)carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 474799-18-3 CAPLUS

CN 2-Pyridinecarboxamide, N-[(1R)-2-hydroxy-1-methylethyl]-5-[[[2-[(1H-indazol-6-ylamino)carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 474799-19-4 CAPLUS

CN 2-Pyridinecarboxamide, N-[(2S)-2-hydroxypropyl]-5-[[[2-[[(7-methoxy-2-oxo-2H-1-benzopyran-3-yl)amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 474799-20-7 CAPLUS

CN 2-Pyridinecarboxamide, 5-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino]methyl]-N-propyl- (9CI) (CA INDEX NAME)

RN 474799-21-8 CAPLUS

CN 2-Pyridinecarboxamide, 5-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino]methyl]-N-3-pyridinyl- (9CI) (CA INDEX NAME)

RN 474799-22-9 CAPLUS

CN 2-Pyridinecarboxamide, 5-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino | methyl]-N-4-pyridinyl- (9CI) (CA INDEX NAME)

RN 474799-23-0 CAPLUS

CN 2-Pyridinecarboxamide, 5-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino]methyl]-N-2-pyridinyl- (9CI) (CA INDEX NAME)

RN 474799-24-1 CAPLUS

CN 2-Pyridinecarboxamide, 5-[[[2-[[(2,3-dihydro-2-oxo-1H-indol-5-yl)amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 474799-27-4 CAPLUS

CN Benzamide, 2-[([3,3'-bipyridin]-4-ylmethyl)amino]-N-3-isoquinolinyl- (9CI) (CA INDEX NAME)

RN 474799-28-5 CAPLUS

CN Benzamide, N-3-isoquinolinyl-2-[[[3-(3-thienyl)-4-pyridinyl]methyl]amino]-(9CI) (CA INDEX NAME)

RN 474799-29-6 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 474799-30-9 CAPLUS

CN 2-Pyridinecarboxamide, 5-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino |methyl]- (9CI) (CA INDEX NAME)

RN 474799-31-0 CAPLUS

CN 2-Pyridinecarboxylic acid, 4-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]a mino]methyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 474799-32-1 CAPLUS

CN 2-Pyridinecarboxylic acid, 4-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]a mino]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

RN 474799-33-2 CAPLUS

CN 2-Pyridinecarboxylic acid, 4-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]a mino]methyl]- (9CI) (CA INDEX NAME)

RN 474799-34-3 CAPLUS

CN Benzamide, N-3-isoquinolinyl-2-[[[2-(4-morpholinylcarbonyl)-4-pyridinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 474799-35-4 CAPLUS

CN Benzamide, N-3-isoquinolinyl-2-[[[3-[(trimethylsilyl)ethynyl]-4-

10615809.trn

pyridinyl]methyl]amino] - (9CI) (CA INDEX NAME)

$$C = C - SiMe_3$$

$$CH_2 - NH$$

$$O$$

$$NH - C$$

RN 474808-03-2 CAPLUS

CN 2-Pyridinecarboxamide, N-cyclopropyl-4-[[[2-[(3-isoquinolinylamino)carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 30 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:868925 CAPLUS

DOCUMENT NUMBER: 137:352899

TITLE: Pyridylmethylanthranilamide N-oxides as inhibitors of

VEGFR II kinase

INVENTOR(S): Ernst, Alexander; Huth, Andreas; Krueger, Martin;

Thierauch, Karl-Heinz; Menrad, Andreas; Haberey,

Martin

PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany

SOURCE: PCT Int. Appl., 50 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.					KIND DATE			APPLICATION NO.						DATE					
WO	WO 2002090349				A1 20021114			WO 2002-EP4923						20020503					
	W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,		
		CO,	CR,	CU,	CZ,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,		
		HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,	LS,		
		LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NO,	ΝZ,	OM,	PH,	PL,		
		PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,		
		ŪĠ,	US,	UZ,	VN,	YU,	ZA,	ZM,	ZW,	AM,	AZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM	
	RW:	GH,	GM,	KE,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	TZ,	ŪĠ,	ZM,	ZW,	AT,	BE,	CH,		
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		BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NΕ,	SN,	TD,	TG		
DE 10123573					A1	A1 20021128				DE 2001-10123573						20010508			

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20050602
    DE 10123573
                         B4
    DE 10125293
                         A1
                               20021121
                                           DE 2001-10125293
                                                                   20010515
    EP 1389201
                               20040218
                                           EP 2002-740563
                                                                   20020503
                         Α1
           AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
    JP 2004528378
                         T2
                               20040916
                                            JP 2002-587429
                                                                   20020503
                                            US 2004-476755
                                                                   20040624
    US 2005032816
                         A 1
                               20050210
                                            DE 2001-10123573
PRIORITY APPLN. INFO.:
                                                                A 20010508
                                            DE 2001-10125293
                                                               A 20010515
                                            WO 2002-EP4923
                                                                W 20020503
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OTHER SOURCE(S): MARPAT 137:352899

Ι

 $G \subset C(W) AZR^1$

 NR^3XR^2

Title compds. I [D, E, F, G = N, (un) substituted CH; A = (un) substituted AB NH; W = O, S, H2, (un) substituted NH; X, Z = (un) substituted alkylene; R1 = (un)substituted alkyl, alkenyl, cycloalkyl, cycloalkenyl, aryl, heteroaryl; R2 = (un)substituted hetaryl N-oxide; R3 = H, alkyl] were prepared These compds. can be used in the treatment of psoriasis, Kaposi's sarcoma, restenosis, endometriosis, Crohn's disease, Hodgkin's disease, leukemia, arthritis, such as rheumatoid arthritis, hemangioma, angiofibroma, eye diseases, such as diabetic retinopathy, neovascular glaucoma, renal diseases, such as glomerulonephritis, diabetic nephropathy, malignant nephrosclerosis, thrombotic microangiopathic syndromes, transplant rejections and glomerulopathy, fibrotic diseases such as cirrhosis of the liver, mesangial cell-proliferative diseases, arteriosclerosis, injuries of the nerve tissue, and for inhibiting the reocclusion of vessels after balloon catheter treatment, for use in vascular prosthetics or after inserting mech. devices for holding vessels open such as, e.g. stents, as immunosuppressants, as an aid in scar-free wound healing, and for treating age spots and contact dermatitis. They can also be used as VEGFR-3 inhibitors in lymphangiogenesis. Thus, the N-oxide II was obtained by reductive alkylation of 2-amino-N-isoquinolin-3ylbenzamide with isonicotinaldehyde N-oxide and had IC50 for inhibition of VEGFR II of 0.03 μM.

IT 269391-06-2P 474760-08-2P 474760-09-3P 474760-10-6P 474760-11-7P 474760-12-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyridylmethylanthranilamide N-oxides as inhibitors of VEGFR II kinase)

RN 269391-06-2 CAPLUS

CN Benzamide, 2-[[(1-oxido-4-pyridinyl)methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 474760-08-2 CAPLUS

CN Benzamide, N-3-isoquinolinyl-2-[[(1-oxido-4-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)

RN 474760-09-3 CAPLUS

CN Benzamide, N-3-isoquinolinyl-2-[[(1-oxido-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)

RN 474760-10-6 CAPLUS

CN Benzamide, N-(7-methoxy-2-oxo-2H-1-benzopyran-3-yl)-2-[[(1-oxido-3-pyridinyl)methyl]amino]-(9CI) (CA INDEX NAME)

RN 474760-11-7 CAPLUS

CN Benzamide, N-[1-(cyanomethyl)-1H-indazol-6-yl]-2-[[(1-oxido-4-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)

RN 474760-12-8 CAPLUS

CN Benzamide, 2-[[(2-bromo-1-oxido-4-pyridinyl)methyl]amino]-N-3-isoquinolinyl- (9CI) (CA INDEX NAME)

IT 267891-44-1

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of pyridylmethylanthranilamide N-oxides as inhibitors of VEGFR II kinase)

RN 267891-44-1 CAPLUS

CN Benzamide, N-3-isoquinolinyl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 31 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

3

ACCESSION NUMBER: 2002:658116 CAPLUS

DOCUMENT NUMBER: 137:201332

Preparation of heterocyclylalkylamine derivatives as

remedies for angiogenesis mediated diseases

INVENTOR(S): Chen, Guoqing; Adams, Jeffrey; Bemis, Jean; Booker,

Shon; Cai, Guolin; Croghan, Michael; Dipietro, Lucian; Dominguez, Celia; Elbaum, Daniel; Germain, Julie; Geuns-meyer, Stephanie; Handley, Michael; Huang, Qi; Kim, Joseph L.; Kim, Tae-seong; Kiselyov, Alexander;

TITLE:

Ouyang, Xiaohu; Patel, Vinod F.; Smith, Leon M.; Stec, Markian; Tasker, Andrew; Xi, Ning; Xu, Shimin; Yuan,

Chester Chenguang

PATENT ASSIGNEE(S):

SOURCE:

Amgen Inc., USA PCT Int. Appl., 502 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PA:											APPLICATION NO.						DATE			
WO	2002							WO 2002-US743												
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB	, BG	, BF	, BY,	BZ,	CA	CH,	CN,			
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC	, EE	, ES	, FI,	GB,	GD	GE,	GH,			
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE	, KG	, KE	, KR,	KZ,	LC	LK,	LR,			
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN	, MW	, MX	, MZ,	NO,	NZ	OM,	PH,			
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK	, SI	, TJ	, TM,	TN,	TR	TT,	TZ,			
		UA,	ŪĠ,	UZ,	VN,	YU,	ZA,	ZW												
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ	, TZ	, UG	, ZM,	ZW,	ΑT	BE,	CH,			
													, MC,							
		BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ	, GW	, MI	, MR,	NE,	SN	TD,	TG			
US	2003	1253	39		A1		2003	0703	1	US	2002	-466	81		:	20020	110			
US	6995	162			B2		2006	0207												
													4277		:	20020	111			
BR	BR 2002006435						2003	0923		BR	2002	-643	5		:	20020	111			
	1358												325		:	20020	111			
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR	, II	', LI	, LU,	NL,	SE	MC,	PT,			
		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL	, TR	2								
EE	2003	00324	4		Α		2003	1215		EE	2003	-324			:	20020	111			
JP	2004						2004	1014		JP	2002	-565	984		:	20020	111			
NZ	5268	68			Α		2005	0429]	NZ	2002	-526	868		:	20020	111			
CN	1671						2005	0921	(CN	2002	-806	202		:	20020	111			
ZA	2003	0051	97		Α		2004	0319		ZA	2003	-519	7		:	20030	704			
NO	2003	00318	31		Α		2003	0911]	NO	2003	-318	1		:	20030	711			
BG	1080	12			Α		2004	1130	1	BG	2003	-108	012		:	20030	721			
US	2006	04099	56		A1		2006	0223	1	US	2005	-234	713		- 2	20050	923			
PRIORITY	Y APP	LN.	INFO	. :					1	US	2001	-261	339P		P :	20010	112			
									1	US	2001	-323	764P		P 2	20010	919			
									1	US	2002	-466	81		A 2	20020	110			
									1	WO	2002	-US7	43	1	W :	20020	111			
OTHER SO	OURCE	(S):			MARI	PAT	137:	20133	32											

GI

$$R^2 - \begin{bmatrix} A^1 - XR^1 \\ A \end{bmatrix} + \begin{bmatrix} A^2 - YR \end{bmatrix}$$

AB Title compds. [I; A1, A2 independently = C, N; A = 5-, or 6-membered partially saturated heterocyclyl, 5-, or 6-membered heterocyclyl, 9-, or 10-membered fused partially saturated heterocyclyl, 9-, 10-, or 11-membered fused heteroaryl, naphthyl, 4-, 5-, or 6-membered cycloalkenyl; X = C:ZNR3, C:ZN(R3)R4; Z = O, S; Y = N:CH, NR5(CR6R7), R8N(R5)(CR6R7), NR5(CR6R7)R8; R = 5-, or 6-membered (un)substituted heterocyclyl, 9-, 10-, 11-membered heterocyclyl; R1 = 6-10-membered (un)substituted aryl, 5-, or 6-membered (un)substituted heterocyclyl, 9-11 membered (un)substituted fused heterocyclyl, cycloalkyl, cycloalkenyl; R2 = H, halo, oxo, SH, COOH, CHO; R3 = H, alkyl, 5-, or 6-membered heterocyclyl; R4 = alkylenyl, alkenylenyl, alkynylenyl; R5 = H, alkyl, aralkyl, C6H5; R6, R7 independently = H, halo, CN, alkyl; R6R7 = cycloalkyl; R8 = alkylenyl; etc.] are prepared and are effective for prophylaxis and treatment of diseases, such as angiogenesis mediated diseases. The invention encompasses novel compds., analogs, prodrugs and pharmaceutically acceptable derivs. thereof, pharmaceutical compns. and methods for prophylaxis and treatment of diseases and other maladies or conditions involving, cancer and the like. The subject invention also relates to processes for making such compds. as well as to intermediates useful in such processes. Thus, the title compound II was prepared from Me 3-amino-2-thiophenecarboxylate, 4-chloroaniline, and 4-pyridine carboxaldehyde via coupling reaction.

IT 453564-10-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterocyclylalkylamine derivs. as remedies for angiogenesis mediated diseases)

RN 453564-10-8 CAPLUS

CN Benzamide, N-(2-acetyl-1,2,3,4-tetrahydro-4,4-dimethyl-7-isoquinolinyl)-2-[(4-quinolinylmethyl)amino]- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 32 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:603273 CAPLUS

DOCUMENT NUMBER: 138:122629

TITLE: Synthesis of 1,4-benzodiazepine-2,5-dione derivatives

AUTHOR(S): Ho, Tong-Ing; Chen, Wen-Shiong; Hsu, Chi-Wei; Tsai,

Yeun-Min; Fang, Jim-Min

CORPORATE SOURCE: Dep. of Chem., National Taiwan Univ., Taipei, Taiwan

SOURCE: Heterocycles (2002), 57(8), 1501-1506

CODEN: HTCYAM; ISSN: 0385-5414

PUBLISHER: Japan Institute of Heterocyclic Chemistry

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 138:122629

AB A synthesis of a series of 1,4-benzodiazepine-2,5-dione derivs. with a carboxy group at the 3-position is realized in good yields by using Me malonylchloride as a key reagent and intramol. nucleophilic substitution as ring closure reaction. The synthesis of 4-(4-Methoxyphenyl)-1-[(3-methoxyphenyl)methyl]-2,5-dioxo-1H-1,4-benzodiazepine-3-carboxylic acid Me ester was described.

IT 489446-50-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 2,5-dioxo-1H-1,4-benzodiazepine-3-carboxylate derivs.)

RN 489446-50-6 CAPLUS

CN Benzamide, N-(4-methoxyphenyl)-2-[[(3-methoxyphenyl)methyl]amino]- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 33 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:555472 CAPLUS

DOCUMENT NUMBER: 137:125085

TITLE: Preparation of urea derivatives as integrin alpha 4

antagonists

INVENTOR(S): Jimenez Mayorga, Juan Miguel; Bach Tana, Jordi;

Ontoria Ontoria, Jesus Maria; Navarro Romero, Eloisa

PATENT ASSIGNEE(S): Almirall Prodesfarma, S.A., Spain

SOURCE: PCT Int. Appl., 107 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

					KIND DATE			APPLICATION NO.						DATE					
WO	2002	0572	42		A2 20020725			WO 2002-EP331						20020115					
WO	2002	0572	42		A3 20031127														
	W:	AE.	AG.	AL.	AM.	AT.	AU.	AZ.	BA.	вв	, BG,	BR,	BY,	BZ,	CA,	CH,	CN,		
		co,	CR,	CU,	CZ,	DE,	DK.	DM,	DZ,	EC	, EE,	ES,	FI,	GB,	GD,	GE,	GH,		
		GM,	HR,	HU,	ID,	IL,	IN,	ıs,	JP,	KE	, KG,	KP,	KR,	KZ,	LC,	LK,	LR,		
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN	, MW,	MX,	MZ,	NO,	NZ,	OM,	PH,		
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK	, SL,	TJ,	TM,	TN,	TR,	TT,	TZ,		
					UZ,														
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ	, TZ,	ŪĠ,	ZM,	ZW,	AM,	ΑZ,	BY,		
		KG,	ΚŻ,	MD,	RU,	TJ,	TM,	ΑT,	BE,	CH	, CY,	DE,	DK,	ES,	FI,	FR,	GB,		
		GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR	, BF,	ВJ,	CF,	CG,	CI,	CM,	GA,		
		GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG		•							
ES	2200	617			A1		2004	0301		ES	2001-	126			2	0010	119		
ES	2200	617			B1		2005	0501											
CA	2434	939			AA		2002	0725		CA	2002-	2434	939		2	0020	115		
EE	2003	0032									2003-					0020	115		
EP	1383	750			A2		2004	0128		EP	2002-	7100	10		2	0020	115		
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR	, IT,	LI,	LU,	NL,	SE,	MC,	PT,		
			•		LV,	-	RO,	MK,	CY,	AL	, TR								
	2004									-	2002-					0020			
	2002	0065	88		Α						2002-					0020			
	1531	425			A A						2002-					0020			
	5270										2002-					0020			
	2003										2003-					0030			
	2003		69		Α						2003-					0030			
	1080				A						2003-					0030			
US 2004142982					A1		2004	0722			2004-					0040			
ORITY APPLN. INFO.:				. :							2001-					0010			
								WO	2002-	EP33	T	1	w 2	0020	115				

OTHER SOURCE(S): MARPAT 137:125085

GI

The title compds. [I; R1 = alkyl, alkenyl, cycloalkyl, etc.; R2 = H, alkyl, alkylaryl, etc.; R3, R4 = H, alkyl; R2 and R3, together with the atoms to which they are attached, may form a 4-8 membered ring; R5 = alkyl, cycloalkyl, aryl, etc.; L1 = S, S0, S02, C0, etc.; L2 = a bond, O, S, S0, etc.; W = O, S, (un)substituted NH, N(CN); X = (CH2)naryl, (CH2)nheteroaryl; Y = monocyclic (hetero)aryl; Z = CONH2, CO2R, PO3R, SO3R, etc.; R = H, alkyl, cycloalkyl, etc.; n = 0-2], novel antagonists of $\alpha4\beta1$ integrin and/or $\alpha4\beta7$ integrin useful in preventing or treating an immune or inflammatory diseases or disorders, were prepared and formulated. Thus, reacting 2-amino-N-cyclohexyl-N-methylbenzamide with (S)-3-[4-(2,6-dichlorobenzoylamino)phenyl]-2-isocyanatopropionic acid Me ester (preparation given) in CH2Cl2 (yield 50%) followed by hydrolysis of the intermediate ester (77%) afforded (S)-II which showed IC50 of < 100 nM in the $\alpha4\beta1$ assay.

IT 444087-28-9P 444087-29-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of ureas as integrin alpha 4 antagonists)

RN 444087-28-9 CAPLUS

CN Benzamide, N-cyclohexyl-2-[(cyclohexylmethyl)amino]-N-methyl- (9CI) (CA INDEX NAME)

RN 444087-29-0 CAPLUS

CN Benzamide, N-cyclohexyl-N-methyl-2-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)

ANSWER 34 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:408645 CAPLUS

DOCUMENT NUMBER: 137:6352

Preparation of benzanilide derivatives as inhibitors TITLE:

of activated blood coagulation factor X

Ishihara, Tsukasa; Hirayama, Fukushi; Sugasawa, Keizo; INVENTOR(S):

Koga, Yuji; Kadokura, Takeshi; Shigenaga, Takeshi Yamanouchi Pharmaceutical Co., Ltd., Japan

PATENT ASSIGNEE(S):

SOURCE: PCT Int. Appl., 59 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.					KIND DATE				i	APPL	ICAT:	ION 1	DATE				
WO	2002	 0422'	 70				2002	0530		 WO 2	001-	JP10:	176		2	0011	121
	W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KR,	KZ,	LC,	LK,	LR,	LS,
		LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NO,	NZ,	OM,	PH,	PL,
		PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	ŪĠ,
		US,	UZ,	VN,	YU,	ZA,	ZM,	ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM
	RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	ŪĠ,	ZM,	ZW,	ΑT,	BE,	CH,
		CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,
		BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG	
AU	2002	0240	64		A5		2002	0603	1	AU 2	002-	24064	4		2	0011	121
CA	2424	522			AA		2003	0331	(CA 2	001-	2424	522		2	0011	121
EP					A1 20030820								20011121				
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR						
US	2004	0775	55		A1		2004	0422	1	US 2	003-	39962	25		2	0030	910
PRIORIT	Y APP	LN.	INFO	.:						JP 2	000-3	3561	46	I		0001	
											000-3					0001	
									I	WO 2	001-	JP10	176	V	V 2	0011	121
OTHER SOURCE(S):					MAR	PAT	137:0	5352									

GI

AB The title compds. I [X1 = CONR5, etc.; X2 = CONR6, etc.; R1 = halo, etc.; R2, R3 = H, halo, CN, etc.; R4 = H, SO3H, etc.; ring A = benzene ring, etc.; ring B = piperidine ring (with substituent on N), etc.; further details on ring B are given; R5, R6 = H, alkyl] are prepared For example, 2'-(2-acetamido-2-deoxy-β-D-glucopyranosyloxy)-4'-bromo-6'-[(5-chloro-2-pyridyl)carbamoyl]-1-isopropylpiperidine-4-carboxanilide was prepared and its activity against the activated blood coagulation factor X was demonstrated.

Ι

IT 432029-20-4P 432029-21-5P 432029-22-6P 432029-23-7P 432029-24-8P 432029-25-9P 432029-26-0P 432029-27-1P 432029-29-3P 432029-42-0P 432029-43-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzanilide derivs. as inhibitors of activated blood coagulation factor X)

RN 432029-20-4 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-3-hydroxy-2-[[[1-(1-methylethyl)-4-piperidinyl]methyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 432029-21-5 CAPLUS
CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-3-hydroxy-2-[[[1-(1-methylethyl)-4-piperidinyl]methyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

$$R$$
 $NH-CH_2$
 N
 $Pr-i$

HCl

RN 432029-22-6 CAPLUS

CN Benzamide, N-(5-bromo-2-pyridinyl)-3-hydroxy-2-[[[1-(1-methylethyl)-4-piperidinyl]methyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 432029-23-7 CAPLUS

CN Benzamide, N-(5-bromo-2-pyridinyl)-5-chloro-3-hydroxy-2-[[[1-(1-methylethyl)-4-piperidinyl]methyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 432029-24-8 CAPLUS

CN Benzamide, 3-hydroxy-2-[[[1-(1-methylethyl)-4-piperidinyl]methyl]amino]-N-(5-methyl-2-pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & N \\ \parallel & \parallel \\ R - C - NH - \parallel \end{array}$$
 Me

● HCl

RN 432029-25-9 CAPLUS

CN Benzamide, N-(4-chlorophenyl)-3-hydroxy-2-[[[1-(1-methylethyl)-4-piperidinyl]methyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 432029-26-0 CAPLUS

CN Benzamide, N-(4-chlorophenyl)-3-hydroxy-2-[[[1-(1-methylethyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 432029-27-1 CAPLUS

CN Benzamide, 3-hydroxy-2-[[[1-(1-methylethyl)-4-piperidinyl]methyl]amino]-N-(3-methylphenyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 432029-29-3 CAPLUS

CN Benzamide, 3-hydroxy-N-(4-methoxyphenyl)-2-[[[1-(1-methylethyl)-4-piperidinyl]methyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

• HCl

RN 432029-42-0 CAPLUS
CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-3-hydroxy-2-[[[1-(1-methylethyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & & C1 \\ \parallel & & \parallel \\ R - C - NH - & \parallel \end{array}$$

RN 432029-43-1 CAPLUS CN Benzamide, N-(5-bromo-2

Benzamide, N-(5-bromo-2-pyridinyl)-5-chloro-3-hydroxy-2-[[[1-(1-methylethyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 35 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN ACCESSION NUMBER: 2002:171866 CAPLUS

INVENTOR(S):

DOCUMENT NUMBER: 136:232313

TITLE: Preparation of pyrimidine derivatives as G

protein-coupled receptor kinase (GRK) inhibitors Fukumoto, Shoji; Watanabe, Toshifumi; Ikeda, Shota

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 322 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	rent i	NO.			KINI)	DATE		i	APPI	LICAT:	ION 1	NO .		D	ATE		
						-									-			
WO	WO 2002018350					A1 20020307			WO 2001-JP7397						20010829			
	W:	ΑE,	AG,	ΑL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	, BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,	
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	, EE,	ES,	FI,	GB,	GD,	GE,	GH,	
		GM,	HR,	HU,	ID,	ΙL,	IN,	IS,	JP,	KE,	, KG,	KR,	ΚZ,	LC,	LK,	LR,	LS,	
		LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	, MX,	ΜZ,	NO,	NZ,	PH,	PL,	PT,	
		RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	
		UΖ,	VN,	ΥU,	ZA,	ZW,	AM,	ΑZ,	BY,	KG,	, KZ,	MD,	RU,	ТJ,	TM			
	RW:	GH,	GM,	KE,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	TZ,	ŪĠ,	ZW,	ΑT,	BE,	CH,	CY,	
		DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	, LU,	MC,	NL,	PT,	SE,	TR,	BF,	
		ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	, ML,	MR,	NE,	SN,	TD,	TG		
AU	2001	08252	20		A 5		20020	0313	Ž	AU 2	2001-8	3252	0		2	0010	829	
JP	2002	1457	78		A2		2002	0522		JP 2	2001-2	2596	83		2	0010	829	
PRIORITY APPLN. INFO.:										JP 2	2000-2	2644	99	1	A 2	0000	829	
									1	WO 2	2001-3	JP73	97	1	<i>i</i> 2	0010	829	

OTHER SOURCE(S): MARPAT 136:232313

GI

$$R^1$$
 $A \rightarrow X-R^2$

AΒ Disclosed are novel GRK inhibitors which contains compds. represented by the formula (I), a salt thereof, or a prodrug comprising either of these (wherein ring A represents optionally further substituted nitrogen-containing heterocycle; R1 and R2 each represents optionally substituted amino; and X represents a spacer comprising a linear part constituted of one to four atoms, provided that R1 may be bonded to R2 or/and X to form a ring). They are useful as preventives/remedies for cardiac failure. Thus, 5.48 g K2CO3 and 7.52 g 2-aminophenyl 2-nitrophenyl sulfide were added to a suspension of 5.61 g 4-amino-5-bromomethyl-2-methylpyrimidine hydrobromide in 40 mL acetone at room temperature and stirred at 65° for 64 h to give 2.36 g N-[(4-amino-2-methyl-5-pyrimidinyl)methyl]-N-[2-[(2nitrophenyl)thio]phenyl]amine (II). All 10 compds. tested including II at 30 μM inhibited 30% human GRK2 expressed by human GRK2 gene in COS-7 cells. A capsule and a tablet formulation containing II were also prepared 403515-72-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrimidine derivs. as G protein-coupled receptor kinase (GRK) inhibitors for prevention and/or treatment for cardiac failure)

RN 403515-72-0 CAPLUS

CN Benzamide, 2-[[(4-amino-2-methyl-5-pyrimidinyl)methyl]amino]-N-[1,1'-biphenyl]-3-yl- (9CI) (CA INDEX NAME)

Ph NH-C NH-CH₂ NH₂

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 36 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:171853 CAPLUS

DOCUMENT NUMBER: 136:232201

TITLE: Preparation of cyclic amine derivatives as CCR3

antagonists

INVENTOR(S): Morihira, Koichiro; Inami, Hiroshi; Kubota, Hirokazu;

Yokoyama, Kazuhiro; Morokata, Tatsuaki; Takeuchi, Makoto; Takahashi, Toshiya; Kaneko, Masayuki; Imaoka,

Takayuki; Torii, Yuichi; Iura, Yosuke

PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan; Toray

Industries, Inc.

SOURCE: PCT Int. Appl., 92 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT 1	KIND DATE			APPLICATION NO.						DATE					
	-			-									-		
WO 2002	WO 2002018335					A1 20020307			001-	JP73:	20010827				
W:	AE, A	AG, AL,	AM,	ΑT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
	CO, C	CR, CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
	GM, H	HR, HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,
	LS, I	LT, LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	ΝZ,	PH,	PL,
	PT, F	RO, RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TR,	TT,	TZ,	UA,	UG,
	US, U	JZ, VN,	YU,	ZA,	ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM	•
RW:	GH, C	GM, KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,
	DE, I	OK, ES,	FI,	FR,	GB,	GR,	ΙE,	ΙT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,
	BJ, C	CF, CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG	
AU 2001	A5		2002	0313	AU 2001-80187						20010827				
PRIORITY APP			JP 2000-257451						Z	A 20000828					
					1	WO 2	001-	JP73:	21	7	N 2	0010	827		

OTHER SOURCE(S): MARPAT 136:232201

GI

The title compds. I $\{ring A = (un) substituted heterocyclic ring, etc.; X = (un) substituted heterocyclic ring,$ AB bond, O, CO, etc.; ring B = Q1, etc.; ring V3 = hydrocarbon ring, etc.; W = CH, N; Y = CO, etc.; R21, R22 = H, halo, etc.; T1 = (CH2)n; n = 0 - 2; ring D = (un) substituted aryl, etc.] are prepared In an in vitro test (for CCR3 antagonism) using cells, compds. of this invention showed IC50 values of 0.001 μ M to 0.45 μ M.

IT 403477-79-2P

> RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of cyclic amine derivs. as CCR3 antagonists)

RN 403477-79-2 CAPLUS

Benzamide, 2-[[(2-chlorophenyl)methyl]amino]-N-[8-[(6-fluoro-2-CN naphthalenyl)methyl]-8-azabicyclo[3.2.1]oct-3-yl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

CAPLUS COPYRIGHT 2006 ACS on STN ANSWER 37 OF 66

ACCESSION NUMBER:

2002:11104 CAPLUS

DOCUMENT NUMBER:

136:69743

TITLE:

Preparation of pyridyl benzamides and related

compounds as Factor Xa inhibitors.

INVENTOR(S):

Zhu, Bing-Yan; Zhang, Penglie; Wang, Lingyan; Huang,

Wenrong; Goldman, Erick A.; Li, Wenhao; Zuckett, Jingmei; Song, Yonghong; Scarborough, Robert

PATENT ASSIGNEE(S):

Cor Therapeutics, Inc., USA

SOURCE:

U.S. Pat. Appl. Publ., 259 pp., Cont.-in-part of U.S.

Ser. No. 663,420. CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
				-	
US 2002002183	A1	20020103	US 2001-794225		20010228
US 6376515	B2	20020423			
US 6844367	B1	20050118	US 2000-663420		20000915
US 2003162690	A1	20030828	US 2002-126976		20020422
US 2004097561	A1	20040520	US 2003-687334		20031015
US 6835739	B2	20041228			
US 2005261346	A1	20051124	US 2004-942733		20040915
US 2006020039	A1	20060126	US 2005-35767		20050114
PRIORITY APPLN. INFO.:			US 2000-185746P	P	20000229
			US 2000-663420	A2	20000915
			US 1999-154332P	P	19990917
			US 2001-794225	A1	20010228
•			US 2002-126976	A1	20020422
			US 2003-687334	A1	20031015

OTHER SOURCE(S): MARPAT 136:69743

AQDEGJX [A = alkyl, cycloalkyl, NR1R2, NR1R2C(:NR3), (substituted) Ph, naphthyl, heterocyclyl, etc.; R1-R3 = H, OR5, NR5R6, alkyl, alkenyl, etc.; R1R2 or R2R3 = atoms to form (substituted) cycloalkyl, heterocyclyl; R5, R6 = H, alkyl, alkenyl, alkynyl, cycloalkyl, (substituted) alkylphenyl, alkylnaphthyl; R5R6 = atoms to form a 3-8 membered (substituted) ring; Q = bond, CH2, CO, O, S, SO, SO2, NR7, SO2NR7, etc.; R7 = H, alkyl, alkenyl, alkynyl, cycloalkyl, alkylcycloalkyl, (substituted) alkylphenyl, alkylnaphthyl; D = bond, (substituted) Ph, naphthyl, mono- or bicyclic heterocyclyl; E = bond, alkyl, O, S, SO, SO2, alkylcarbonyl, etc.; G = (substituted) alkenyl, cycloalkenyl, phenylene, 3-8 membered (fused) (aromatic) heterocyclyl; J = bond, NR9CO, O, S, SO, SO2, CH2, NR9SO2, etc.; X = (substituted) Ph, naphthyl, (fused) heteroaryl], were prepared as antithrombotics (no data). Thus, N-(5-bromo-2-pyridinyl)-2aminophenylcarboxamide (preparation given), 4-cyanobenzoyl chloride, and pyridine were stirred overnight in CH2Cl2 to give 70% N-(5-bromo-2pyridinyl) - [2-(4-cyanophenylcarbonyl)amino]phenylcarboxamide. The latter in MeOH at 0° was saturated with HCl and stirred overnight followed by solvent evaporation The residue was refluxed 2 h with NH4OAc in MeOH to give 70% N-(5-bromo-2-pyridinyl)-[2-(4-amidinophenylcarbonyl)amino]phenylcarbox amide.

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IT 358659-61-7P 358659-62-8P 358659-63-9P 358659-64-0P 358659-65-1P 358659-66-2P 358659-67-3P 358659-68-4P 358659-69-5P 358659-70-8P 358659-71-9P 358659-72-0P 358659-73-1P 358659-74-2P 358659-75-3P 358659-76-4P 358659-77-5P 358659-81-1P 358659-82-2P 358659-83-3P 358659-84-4P 358659-85-5P 358659-86-6P 358659-87-7P 358659-88-8P
```

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyridyl benzamides and related compds. as Factor Xa inhibitors)

RN 358659-61-7 CAPLUS

CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[4-(imino-1pyrrolidinylmethyl)phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 358659-62-8 CAPLUS

CN Benzamide, 2-[[[4-(aminoiminomethyl)phenyl]methyl]amino]-N-(5-chloro-2-pyridinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{NH} \\ & \text{||} \\ \text{C-NH}_2 \\ & \text{NH-CH}_2 \\ \end{array}$$

$$\begin{array}{c|c} O & N & C1 \\ \parallel & \parallel & \parallel \\ R - C - NH - \parallel & \parallel \end{array}$$

RN 358659-63-9 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[4-[imino(methylamino)methyl]phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 358659-64-0 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[4-(imino-1-pyrrolidinylmethyl)phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 358659-65-1 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[4-(imino-4-morpholinylmethyl)phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 358659-66-2 CAPLUS

CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[4-[(dimethylamino)iminomethyl]phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{C1} & \text{NH} \\ \parallel \\ \text{C-NMe}_2 \end{array}$$

$$\begin{array}{c|c} O & N \\ \parallel & \parallel \\ R - C - NH - \end{array}$$

RN 358659-67-3 CAPLUS

CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[4-(4,5-dihydro-1-methyl-1H-imidazol-2-yl)phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 358659-68-4 CAPLUS

CN Benzamide, 2-[[[4-(1-azetidinyliminomethyl)phenyl]methyl]amino]-5-chloro-N-(5-chloro-2-pyridinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} NH & C1 \\ \hline N-C & NH-C \\ \hline$$

RN 358659-69-5 CAPLUS

CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[4-(imino-1-piperidinylmethyl)phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 358659-70-8 CAPLUS

$$\begin{array}{c|c} \text{NH} & \text{NH} \\ \parallel & \text{C-NMe}_2 \\ \hline & \text{NH-CH}_2 \\ \hline & \text{OMe} \end{array}$$

RN 358659-71-9 CAPLUS

CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[4-(4,5-dihydro-1-methyl-1H-imidazol-2-yl)phenyl]methyl]amino]-3-methoxy-(9CI) (CA INDEX NAME)

RN 358659-72-0 CAPLUS

CN Benzamide, 2-[[[4-(1-azetidinyliminomethyl)phenyl]methyl]amino]-5-chloro-N-(5-chloro-2-pyridinyl)-3-methoxy-(9CI) (CA INDEX NAME)

RN 358659-73-1 CAPLUS

CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[4-(imino-1-piperidinylmethyl)phenyl]methyl]amino]-3-methoxy- (9CI) (CA INDEX NAME)

RN 358659-74-2 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[4-(imino-4-thiomorpholinylmethyl)phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 358659-75-3 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[4-(imino-1-piperazinylmethyl)phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 358659-76-4 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[4-[imino(4-methyl-1-piperazinyl)methyl]phenyl]methyl]amino]-(9CI) (CA INDEX NAME)

RN 358659-77-5 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[4-[(hexahydro-1H-azepin-1-yl)iminomethyl]phenyl]methyl]amino]-(9CI) (CA INDEX NAME)

RN 358659-78-6 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[4-[(dimethylamino)iminomethyl]phenyl]methyl]amino]-5-fluoro-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & C1 \\ \parallel & & \parallel & \\ R - C - NH - & \parallel & \end{array}$$

RN 358659-79-7 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[4-(4,5-dihydro-1-methyl-1H-imidazol-2-yl)phenyl]methyl]amino]-5-fluoro-(9CI) (CA INDEX NAME)

Me
$$CH_2-NH$$
 F CH_2-NH $NH-C$ $NH-C$ $NH-C$ $NH-C$

RN 358659-80-0 CAPLUS

CN Benzamide, 2-[[[4-(1-azetidinyliminomethyl)phenyl]methyl]amino]-N-(5-chloro-2-pyridinyl)-5-fluoro- (9CI) (CA INDEX NAME)

RN 358659-81-1 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-5-fluoro-2-[[[4-(imino-1-piperidinylmethyl)phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 358659-82-2 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[4-[(dimethylamino)iminomethyl]phenyl]methyl]amino]-5-methoxy-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{NH} & \\ \parallel & \\ \text{C-NMe}_2 & \\ \hline & \text{NH-CH}_2 & \\ \end{array}$$

RN 358659-83-3 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[4-(4,5-dihydro-1-methyl-1H-imidazol-2-yl)phenyl]methyl]amino]-5-methoxy-(9CI) (CA INDEX NAME)

RN 358659-84-4 CAPLUS

CN Benzamide, 2-[[[4-(1-azetidinyliminomethyl)phenyl]methyl]amino]-N-(5-chloro-2-pyridinyl)-5-methoxy- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} NH & OMe \\ \hline N-C & NH-C \\ \hline NN & O \\ \hline \end{array}$$

RN 358659-85-5 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[4-(imino-1-piperidinylmethyl)phenyl]methyl]amino]-5-methoxy- (9CI) (CA INDEX NAME)

RN

358659-86-6 CAPLUS
Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[4-(imino-1-pyrrolidinylmethyl)phenyl]methyl]amino]-3-methoxy- (9CI) (CA INDEX NAME) CN

358659-87-7 CAPLUS RN

Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[4-(imino-1-CNpyrrolidinylmethyl)phenyl]methyl]amino]-5-methoxy- (9CI) (CA INDEX NAME)

358659-88-8 CAPLUS RN

Benzamide, N-(5-chloro-2-pyridinyl)-5-fluoro-2-[[[4-(imino-1-CNpyrrolidinylmethyl)phenyl]methyl]amino] - (9CI) (CA INDEX NAME)

ANSWER 38 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2001:833307 CAPLUS

DOCUMENT NUMBER:

136:53680

TITLE:

Preparation of anthranilic acid arylamides as inhibitors of tyrosine kinase KDR and FLT.

INVENTOR(S):

Krueger, Martin; Huth, Andreas; Petrov, Orlin;

Seidelmann, Dieter; Thierauch, Karl-Heinz; Haberey,

Martin; Menrad, Andreas; Ernst, Alexander

Schering Aktiengesellschaft, Germany PCT Int. Appl., 32 pp.

SOURCE: PCT Int. Appl., 32

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT ASSIGNEE(S):

PA:	PATENT NO.					KIND DATE				APPLICATION NO.						DATE			
								WO 2001-EP5214											
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BE	3,	BG,	BR,	BY,	ΒZ,	CA	,	CH,	CN,
		CR,	CU,	CZ,	DK,	DM,	DZ,	EE,	ES,	FI	[,	GB,	GD,	GE,	GH,	GM	Ι, :	HR,	ΗU,
		ID,	IL,	IN,	ıs,	JP,	ΚE,	KG,	KP,	KF	₹,	ΚZ,	LC,	LK,	LR,	LS	,	LT,	LU,
		LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ	Ζ,	NO,	NZ,	PL,	PT,	RC	, :	RU,	SD,
		SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT	Γ,	TZ,	UA,	UG,	US,	UZ	,	VN,	ΥU,
		ZA,	zw																
	RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ	Ζ,	TZ,	UG,	ZW,	AT,	BE	,	CH,	CY,
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		ВJ,					GΑ,												
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CA	2407	852			AA		2001	1115		CA	20	01-2	2407	852			20	010	508
EP	1280	799			A1		2003	0205		ΕP	20	01-	9404	16			20	010	508
EP	1280	799			В1		2004	0121											
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		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	ΑI	٠,	TR							
	2001						2003	0325		BR	20	01-3	1062	1			20	010	508
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AT	2581	74			E		2004 2004 2004	0215		\mathbf{AT}	20	01-	9404	16			20	010	508
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PT	AT 258174 EE 200200625 PT 1280799 ES 2214424				T		2004	0630		PT	20	01-	9404	16			20	0109	508
ES	2214	424			Т3		2004	0916		ES	20	01-	19404	416			20	010	508
NZ	5217	00			Α		2005	0930		NZ	20	01-	5217	00			20	010	508
RU	2264	399			C2		2005	1120		RU	20	02-	1318	37			20	010	508
NO	2002	00539	58		Α		2002	1108					5358				20	021	108
BG	1072	61			Α		2003	0630		ВG	20	02-	1072	51			20	021	108
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US	2006	01474	1 7		A1		2006	0119		US	20	05-2	21842	23				0509	
PRIORITY APPLN. INFO.:				.:						DE	20	00-3	1002	3486		Α	20	0005	509
										WO	20	01-1	EP52	14	•	W	20	010	508
										US	20	03-2	27548	30		A 3	20	0306	524
OTHER SO	OURCE	(S):			MARI	TAS	136:	53680	כ										

GI

Title compds. [I; R1 = (substituted) oxobenzopyranyl, quinolinyl, Ph, AB isoquinolinyl, benzimidazolyl, etc.; R2 = pyridyl, 2-oxopyridyl, 2-hydroxypyridyl; R3 = H, F], were prepared Thus, N-(2-oxo-2H-1-benzopyran-3-yl)-2-aminobenzamide (preparation given) was stirred with 4-pyridinecarboxaldehyde in AcOH/MeOH; NaBH3CN was added to give N-(2-oxo-2H-1-benzopyran-3-yl)-2-[(4-pyridyl)methyl]aminobenzamide. latter inhibited KDR with IC50 = $0.003 \mu M$. 381694-53-7P 381694-55-9P 381694-58-2P IT 381694-61-7P 381694-64-0P 381694-67-3P 381694-70-8P 381694-73-1P 381694-76-4P 381694-79-7P 381694-82-2P 381694-85-5P 381694-88-8P 381694-91-3P 381694-94-6P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (preparation of anthranilic acid arylamides as inhibitors of tyrosine kinase KDR and FLT) RN381694-53-7 CAPLUS Benzamide, N-(2-oxo-2H-1-benzopyran-3-yl)-2-[(4-pyridinylmethyl)amino]-CN (9CI) (CA INDEX NAME)

RN 381694-55-9 CAPLUS
CN Benzamide, N-(6-chloro-1H-indazol-5-yl)-2-[(4-pyridinylmethyl)amino](9CI) (CA INDEX NAME)

RN 381694-58-2 CAPLUS
CN Benzamide, N-(7-methyl-2-oxo-2H-1-benzopyran-6-yl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 381694-61-7 CAPLUS

CN Benzamide, 2-[[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]amino]-N-(2-oxo-2H-1-benzopyran-3-yl)- (9CI) (CA INDEX NAME)

RN 381694-64-0 CAPLUS

CN Benzamide, N-(7-methoxy-2-oxo-2H-1-benzopyran-3-yl)-2-[(4-pyridinylmethyl)amino]-(9CI) (CA INDEX NAME)

RN 381694-67-3 CAPLUS

CN Benzamide, N-(6-chloro-2-oxo-2H-1-benzopyran-3-yl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 381694-70-8 CAPLUS

CN Benzamide, N-(6-bromo-2-oxo-2H-1-benzopyran-3-yl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 381694-73-1 CAPLUS

CN Benzamide, N-(6-methoxy-2-oxo-2H-1-benzopyran-3-yl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 381694-76-4 CAPLUS

CN Benzamide, N-(5-chloro-1H-indazol-6-yl)-2-[(4-pyridinylmethyl)amino]-(9CI) (CA INDEX NAME)

RN 381694-79-7 CAPLUS

CN Benzamide, N-(6-methyl-1H-indazol-5-yl)-2-[(4-pyridinylmethyl)amino]-(9CI) (CA INDEX NAME)

RN 381694-82-2 CAPLUS

CN Benzamide, N-[2-methoxy-5-(trifluoromethyl)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 381694-85-5 CAPLUS

CN Benzamide, N-[2-chloro-5-(trifluoromethyl)phenyl]-2-[(4pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 381694-88-8 CAPLUS

CN Benzamide, N-[2,5-bis(trifluoromethyl)phenyl]-2-[(4-pyridinylmethyl)amino]-(9CI) (CA INDEX NAME)

RN 381694-91-3 CAPLUS

CN Benzamide, N-(4-bromo-3-isoquinolinyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 381694-94-6 CAPLUS

CN Benzamide, N-(6-chloro-3-quinolinyl)-2-[[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 39 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2001:833281 CAPLUS

DOCUMENT NUMBER:

135:357850

TITLE:

Preparation of 2-(4-pyridylmethylamino)benzamides as

vascular endothelial growth factor receptor

inhibitors.

INVENTOR(S):

Seidelmann, Dieter; Krueger, Martin; Ottow, Eckhard; Huth, Andreas; Thierauch, Karl-Heinz; Menrad, Andreas;

Haberey, Martin

PATENT ASSIGNEE(S):

Novartis A.-G., Switz.; Novartis-Erfindungen

Verwaltungsgesellschaft m.b.H.

SOURCE:

PCT Int. Appl., 36 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent German

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	TENT :	NO.			KIN	o :	DATE			APPL	ICAT:	ION I	. O		Di	ATE	
						-			•						_		
WO	2001	0856	91		A1		2001	1115	1	WO 2	001-	EP52	57		2	0010	509
	W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PL,	PT,
		RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ΤJ,	TM,	TR,	TT,	TZ,	UA,	ŪG,	US,
		UZ,	VN,	YU,	ZA,	ZW,	AM,	ΑZ,	BY,	KG,	KZ,	MD,	RU,	ТJ,	TM		
	RW:	GH,	GM,	KΕ,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,
		DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,
		ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG		

			US	2003-275585	A1	20030509
			WO	2001-EP5267	W	20010509
PRIORITY APPLN. INFO.:			DE	2000-10023485	Α	20000509
US 2005054692	A1	20050310	US	2004-945690		20040921
US 6818661	B2	20041116				
US 2003176469	A1	20030918	US	2003-275585		20030509
DE 10023485	A1	20011122	DE	2000-10023485		20000509

OTHER SOURCE(S):

MARPAT 135:357850

GT

AΒ Title compds. [I; A = NR7; W = O, S, H2, NR8; Z = bond, NR10, N; R1 = (substituted) alkyl, alkenyl, cycloalkyl, cycloalkenyl; X = alkyl; R2 = (substituted) mono- or bicyclic heteroaryl; R3-R6 = H, halo, (substituted) alkoxy, alkyl, carboxyalkyl; R7 = H, alkyl, cycloalkyl; R8, R9, R10 = H, alkyl], were prepared Thus, 4-methylcyclohexylamine in PhMe was treated with Me3Al in PhMe under ice cooling; Me N-(4-pyridylmethyl)anthranilate (preparation given) in PhMe was then added followed by warming to room temperature

and then reflux for 1 h to give 90% N-(4-methylcyclohexyl)-2-(4pyridylmethylamino)benzamide. Tested I inhibited VEGFR I (FLT) with IC50 $= 100-2000 \mu M.$

373362-95-9P 373362-96-0P 373362-97-1P IT 373362-99-3P 373363-00-9P 373363-01-0P 373363-03-2P 373363-12-3P 373363-14-5P 373363-16-7P

Ι

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2-(4-pyridylmethylamino) benzamides as vascular endothelial growth factor receptor inhibitors)

RN 373362-95-9 CAPLUS

Benzamide, N-(4-methylcyclohexyl)-2-[(4-pyridinylmethyl)amino]- (9CI) CN (CA INDEX NAME)

RN 373362-96-0 CAPLUS

CN Benzamide, N-cyclopropyl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 373362-97-1 CAPLUS

CN Benzamide, N-cyclohexyl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 373362-99-3 CAPLUS

CN Benzamide, N-cyclooctyl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 373363-00-9 CAPLUS

CN Benzamide, N-(2-methylcyclohexyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 373363-01-0 CAPLUS

CN Benzamide, N-(2,3-dimethylcyclohexyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 373363-03-2 CAPLUS

CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-tricyclo[3.3.1.13,7]dec-1-yl-(9CI) (CA INDEX NAME)

RN 373363-12-3 CAPLUS

CN Benzamide, N-[(1S,2S)-2-(phenylmethoxy)cyclohexyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

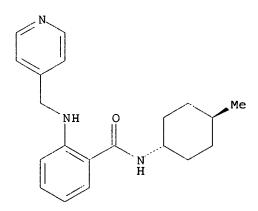
RN 373363-14-5 CAPLUS

CN Benzamide, N-[(1S,2S)-2-hydroxycyclohexyl]-2-[(4-pyridinylmethyl)amino]-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 373363-16-7 CAPLUS

Relative stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 40 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:833262 CAPLUS

DOCUMENT NUMBER: 135:357772

TITLE: Preparation of (heterocyclyl)anthranylamides as

inhibitors of vascular endothelial growth factor

receptors.

INVENTOR(S): Krueger, Martin; Huth, Andreas; Petrov, Orlin;

Seidelmann, Dieter; Thierauch, Karl-Heinz; Haberey,

Martin; Menrad, Andreas; Ernst, Alexander

Schering Aktiengesellschaft, Germany

SOURCE: PCT Int. Appl., 43 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Patent

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT ASSIGNEE(S):

PATENT NO. KIND DATE APPLICATION NO. DATE

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WO 2001085671
                           A2
                                 20011115
                                              WO 2001-EP5168
                                                                      20010507
     WO 2001085671
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             CR, CU, CZ, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU,
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             LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD,
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     CA 2407817
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                                 20021030
                                              CA 2001-2407817
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     EP 1280762
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PRIORITY APPLN. INFO.:
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                                                                      20010507
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OTHER SOURCE(S): GI

MARPAT 135:357772

 xR^2 Ι

AΒ Title compds. [I; A = NR7; D = N, CR3; E = N, CR4; F = N, CR5; G = N, CR6; W = O, S, H2, NR8; Z = bond, NR10, N, alkyl, etc.; R1 = (substituted)alkyl, alkenylcycloalkyl, cycloalkenyl, aryl, heteroaryl; R2 = alicyclyl, ketoalicyclyl, heterocyclyl; R3-R6 = H, halo, (substituted) alkoxy, alkyl, carboxyalkyl; R7 = H, alkyl; R8, R9, R10 = H, alkyl], were prepared Thus, 3-aminoisoquinoline in PhMe at 4° was treated with Me3Al in PhMe; Me 2-(4,4-ethylenedioxycyclohexylmethyl)aminobenzoate (preparation given) was added followed by heating at 120° for 2 h to give 39.3% 2-[4,4-N-(isoquinolinolin-3-yl)-2-(4,4-ethylenedioxy)cyclohexylmethyl]amin obenzamide. This was stirred 3 h with HCl in acetone/H2O to give 2-[4,4-N-(isoquinolin-3-yl)-2-(4-oxocyclohexylmethyl)]aminobenzamide. The latter inhibited VEGFRII (KDR) with IC50 = 0.02 μ M. 372143-15-2P 372143-21-0P 372143-23-2P IT

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (heterocyclyl)anthranylamides as inhibitors of vascular endothelial growth factor receptors)

RN 372143-15-2 CAPLUS

CN Benzamide, 2-[(1,4-dioxaspiro[4.5]dec-8-ylmethyl)amino]-N-3-isoquinolinyl-(9CI) (CA INDEX NAME)

RN 372143-21-0 CAPLUS

CN Benzamide, N-3-isoquinolinyl-2-[[[1-(phenylmethyl)-4piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 372143-23-2 CAPLUS

CN Benzamide, N-3-isoquinolinyl-2-[[(4-oxocyclohexyl)methyl]amino]- (9CI) (CA INDEX NAME)

L4 ANSWER 41 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2001:661392 CAPLUS

DOCUMENT NUMBER:

135:226888

TITLE:

Preparation of pyridyl benzamides and related

compounds as Factor Xa inhibitors.

INVENTOR(S):

Zhu, Bing-yan; Zhang, Penglie; Wang, Lingyan; Huang, Wenrong; Goldman, Erick; Li, Wenhao; Zuckett, Jingmei;

Song, Yonghong; Scarborough, Robert

PATENT ASSIGNEE(S):

Cor Therapeutics, Inc., USA

SOURCE:

PCT Int. Appl., 322 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

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             SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
             YU, ZA, ZW
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             BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
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             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
                                              AT 2001-918257
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PRIORITY APPLN. INFO.:
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                                              US 2000-663420
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                                              US 1999-154332P
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                                              WO 2001-US6255
OTHER SOURCE(S):
                          MARPAT 135:226888
     AQDEGJX [A = alkyl, cycloalkyl, NR1R2, NR1R2C(:NR3), (substituted) Ph,
     naphthyl, heterocyclyl, etc.; R1-R3 = H, OR5, NR5R6, alkyl, alkenyl, etc.;
     R1R2 or R2R3 = atoms to form (substituted) cycloalkyl, heterocyclyl; R5,
     R6 = H, alkyl, alkenyl, alkynyl, cycloalkyl, (substituted) alkylphenyl,
     alkylnaphthyl; R5R6 = atoms to form a 3-8 membered (substituted) ring; Q =
     bond, CH2, CO, O, S, SO, SO2, NR7, SO2NR7, etc.; R7 = H, alkyl, alkenyl,
     alkynyl, cycloalkyl, alkylcycloalkyl, (substituted) alkylphenyl, alkylnaphthyl; D = bond, (substituted) Ph, naphthyl, mono- or bicyclic
     heterocyclyl; E = bond, alkyl, O, S, SO, SO2, alkylcarbonyl, etc.; G =
     (substituted) alkenyl, cycloalkenyl, phenylene, 3-8 membered (fused) (aromatic) heterocyclyl; J = bond, NR9CO, O, S, SO, SO2, CH2, NR9SO2, etc.; X
     = (substituted) Ph, naphthyl, (fused) heteroaryl], were prepared as
     antithrombotics (no data). Thus, N-(5-bromo-2-pyridinyl)-2-
     aminophenylcarboxamide (preparation given), 4-cyanobenzoyl chloride, and
     pyridine were stirred overnight in CH2Cl2 to give 70% N-(5-bromo-2-
     pyridinyl) - [2-(4-cyanophenylcarbonyl)amino]phenylcarboxamide. The latter
     in MeOH at 0° was saturated with HCl and stirred overnight followed by
     solvent evaporation The residue was refluxed 2 h with NH4OAc in MeOH to give
     70% N-(5-bromo-2-pyridinyl)-[2-(4-amidinophenylcarbonyl)amino]phenylcarbox
     358659-61-7P 358659-62-8P 358659-63-9P
IT
     358659-64-0P 358659-65-1P 358659-66-2P
     358659-67-3P 358659-68-4P 358659-69-5P
     358659-70-8P 358659-71-9P 358659-72-0P
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358659-73-1P 358659-74-2P 358659-75-3P 358659-76-4P 358659-77-5P 358659-78-6P 358659-79-7P 358659-80-0P 358659-81-1P 358659-82-2P 358659-83-3P 358659-84-4P 358659-85-5P 358659-86-6P 358659-87-7P

358659-88-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyridyl benzamides and related compds. as Factor Xa inhibitors)

RN 358659-61-7 CAPLUS

CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[4-(imino-1-pyrrolidinylmethyl)phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 358659-62-8 CAPLUS

CN Benzamide, 2-[[[4-(aminoiminomethyl)phenyl]methyl]amino]-N-(5-chloro-2-pyridinyl)- (9CI) (CA INDEX NAME)

RN 358659-63-9 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[4-[imino(methylamino)methyl]phenyl]methyl]amino]-(9CI) (CA INDEX NAME)

RN 358659-64-0 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[4-(imino-1-pyrrolidinylmethyl)phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 358659-65-1 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[4-(imino-4-morpholinylmethyl)phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 358659-66-2 CAPLUS

CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[4-[(dimethylamino)iminomethyl]phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & N & C1 \\ \parallel & \parallel & \parallel \\ R - C - NH & \parallel & \parallel \end{array}$$

RN 358659-67-3 CAPLUS

CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[4-(4,5-dihydro-1-methyl-1H-imidazol-2-yl)phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 358659-68-4 CAPLUS

CN Benzamide, 2-[[[4-(1-azetidinyliminomethyl)phenyl]methyl]amino]-5-chloro-N-(5-chloro-2-pyridinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} NH & C1 \\ \hline N-C & NH-C \\ \hline NN-C & NH-C \\ \hline NN-C & O \\ \end{array}$$

RN 358659-69-5 CAPLUS

CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[4-(imino-1-piperidinylmethyl)phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 358659-70-8 CAPLUS

CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[4[(dimethylamino)iminomethyl]phenyl]methyl]amino]-3-methoxy-(9CI) (CA
INDEX NAME)

$$\begin{array}{c|c} \text{NH} & \text{NH} \\ \parallel & \text{C-NMe}_2 \\ \hline & \text{NH-CH}_2 \\ \hline & \text{OMe} \end{array}$$

RN 358659-71-9 CAPLUS

CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[4-(4,5-dihydro-1-methyl-1H-imidazol-2-yl)phenyl]methyl]amino]-3-methoxy-(9CI) (CA INDEX NAME)

RN 358659-72-0 CAPLUS

CN Benzamide, 2-[[[4-(1-azetidinyliminomethyl)phenyl]methyl]amino]-5-chloro-N-(5-chloro-2-pyridinyl)-3-methoxy-(9CI) (CA INDEX NAME)

RN 358659-73-1 CAPLUS

CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[4-(imino-1-piperidinylmethyl)phenyl]methyl]amino]-3-methoxy- (9CI) (CA INDEX NAME)

RN 358659-74-2 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[4-(imino-4-thiomorpholinylmethyl)phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 358659-75-3 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[4-(imino-1-piperazinylmethyl)phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 358659-76-4 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[4-[imino(4-methyl-1-piperazinyl)methyl]phenyl]methyl]amino]-(9CI) (CA INDEX NAME)

RN 358659-77-5 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[4-[(hexahydro-1H-azepin-1-yl)iminomethyl]phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 358659-78-6 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[4-[(dimethylamino)iminomethyl]phenyl]methyl]amino]-5-fluoro-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{NH} \\ \parallel & \\ \text{C-NMe}_2 \\ \hline & \text{NH-CH}_2 \\ \end{array}$$

RN 358659-79-7 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[4-(4,5-dihydro-1-methyl-1H-imidazol-2-yl)phenyl]methyl]amino]-5-fluoro-(9CI) (CA INDEX NAME)

RN 358659-80-0 CAPLUS

CN Benzamide, 2-[[[4-(1-azetidinyliminomethyl)phenyl]methyl]amino]-N-(5-chloro-2-pyridinyl)-5-fluoro- (9CI) (CA INDEX NAME)

RN 358659-81-1 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-5-fluoro-2-[[[4-(imino-1-piperidinylmethyl)phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 358659-82-2 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[4-[(dimethylamino)iminomethyl]phenyl]methyl]amino]-5-methoxy- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{NH} \\ \parallel \\ \text{C-NMe}_2 \\ \\ \text{NH-CH}_2 \\ \end{array}$$

RN 358659-83-3 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[4-(4,5-dihydro-1-methyl-1H-imidazol-2-yl)phenyl]methyl]amino]-5-methoxy- (9CI) (CA INDEX NAME)

RN 358659-84-4 CAPLUS

CN Benzamide, 2-[[[4-(1-azetidinyliminomethyl)phenyl]methyl]amino]-N-(5-chloro-2-pyridinyl)-5-methoxy- (9CI) (CA INDEX NAME)

RN 358659-85-5 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[4-(imino-1-piperidinylmethyl)phenyl]methyl]amino]-5-methoxy- (9CI) (CA INDEX NAME)

RN 358659-86-6 CAPLUS

CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[4-(imino-1-pyrrolidinylmethyl)phenyl]methyl]amino]-3-methoxy- (9CI) (CA INDEX NAME)

RN 358659-87-7 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[4-(imino-1-pyrrolidinylmethyl)phenyl]methyl]amino]-5-methoxy- (9CI) (CA INDEX NAME)

RN 358659-88-8 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-5-fluoro-2-[[[4-(imino-1-pyrrolidinylmethyl)phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

L4 ANSWER 42 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2001:661391 CAPLUS

DOCUMENT NUMBER:

135:210946

TITLE: INVENTOR(S): Preparation of pyridylamides as Factor Xa inhibitors. Zhu, Bing-yan; Zhang, Penglie; Wang, Lingyan; Huang, Wenrong; Goldman, Erick; Li, Wenhao; Zuckett, Jingmei;

Song, Yonghong; Scarborough, Robert

PATENT ASSIGNEE(S):

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PCT Int. Appl., 306 pp.
SOURCE:
                           CODEN: PIXXD2
                           Patent
DOCUMENT TYPE:
                           English
LANGUAGE:
FAMILY ACC. NUM. COUNT:
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              SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
              YU, ZA, ZW
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              BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
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PRIORITY APPLN. INFO.:
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OTHER SOURCE(S):
                           MARPAT 135:210946
     AQDEGJX [A = alkyl, cycloalkyl, NR1R2, NR1R1C(:NR3), (substituted) Ph,
     naphthyl, mono- or bicyclic heterocyclyl, etc.; R1-R3 = H, alkyl, alkenyl,
     alkynyl, cycloalkyl, (alkyl)aryl, (alkyl)heteroaryl, etc.; R1R2 or R2R3 =
     atoms to form a 3-8 membered (substituted) (heterocyclic) ring; Q = bond,
     CH2, CO, O, NR7, etc.; R7 = H, alkyl, (alkyl)aryl, (alkyl)heteroaryl,
     etc.; D = bond, (substituted) Ph, naphthyl, mono- or bicyclic
     heterocyclyl; E = bond, alkyl, S, SO, SO2, alkoxy, etc.; G = (substituted) alkenyl, cycloalkenyl, phenylene, heterocyclyl, fused cyclic system; J = bond, NR9CO, O, S, SO, SO2, SO2NR9, CH2, NR9, etc.; R9 = H, alkyl, (alkyl)aryl, etc.; X = (substituted) Ph, naphthyl, heteroaryl, fused
     bicyclyl], were prepared as antithrombotics (no data). Thus,
     N-(5-bromo-2-pyridinyl) 2-aminophenylcarboxamide (preparation given),
     4-[(2-tert-butylaminosulfonyl)phenyl]benzoyl chloride, and pyridine were
     stirred overnight in CH2Cl2 to give 85% N-(5-bromo-2-pyridinyl)-[2-4-[(2-
     aminosulfonyl) phenyl] phenylcarbonylamino] phenylcarboxamide.
     358659-61-7P 358659-62-8P 358659-63-9P
IT
     358659-64-0P 358659-65-1P 358659-66-2P
     358659-67-3P 358659-68-4P 358659-69-5P
     358659-70-8P 358659-71-9P 358659-72-0P
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     358659-76-4P 358659-77-5P 358659-78-6P
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     358659-82-2P 358659-83-3P 358659-84-4P
     358659-85-5P 358659-86-6P 358659-87-7P
     358659-88-8P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
         (preparation of pyridylamides as Factor Xa inhibitors)
RN
     358659-61-7 CAPLUS
     Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[4-(imino-1-
CN
     pyrrolidinylmethyl)phenyl]methyl]amino]- (9CI) (CA INDEX NAME)
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Cor Therapeutics, Inc., USA

RN 358659-62-8 CAPLUS

CN Benzamide, 2-[[[4-(aminoiminomethyl)phenyl]methyl]amino]-N-(5-chloro-2-pyridinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{NH} \\ & \\ & \\ \text{NH-CH}_2 \end{array}$$

RN 358659-63-9 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[4-[imino(methylamino)methyl]phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 358659-64-0 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[4-(imino-1-pyrrolidinylmethyl)phenyl]methyl]amino]-(9CI) (CA INDEX NAME)

RN 358659-65-1 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[4-(imino-4-morpholinylmethyl)phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 358659-66-2 CAPLUS

CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[4-[(dimethylamino)iminomethyl]phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 358659-67-3 CAPLUS

CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[4-(4,5-dihydro-1-methyl-1H-imidazol-2-yl)phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 358659-68-4 CAPLUS

CN Benzamide, 2-[[[4-(1-azetidinyliminomethyl)phenyl]methyl]amino]-5-chloro-N-(5-chloro-2-pyridinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} NH & \\ \hline N-C & \\ \hline CH_2-NH-C & \\ \hline N & O \\ \end{array}$$

RN 358659-69-5 CAPLUS

CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[4-(imino-1-piperidinylmethyl)phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 358659-70-8 CAPLUS

CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[4[(dimethylamino)iminomethyl]phenyl]methyl]amino]-3-methoxy- (9CI) (CA
INDEX NAME)

RN 358659-71-9 CAPLUS

CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[4-(4,5-dihydro-1-methyl-1H-imidazol-2-yl)phenyl]methyl]amino]-3-methoxy-(9CI) (CA INDEX NAME)

RN 358659-72-0 CAPLUS

CN Benzamide, 2-[[[4-(1-azetidinyliminomethyl)phenyl]methyl]amino]-5-chloro-N-(5-chloro-2-pyridinyl)-3-methoxy- (9CI) (CA INDEX NAME)

RN 358659-73-1 CAPLUS

CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[4-(imino-1-piperidinylmethyl)phenyl]methyl]amino]-3-methoxy- (9CI) (CA INDEX NAME)

RN 358659-74-2 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[4-(imino-4-thiomorpholinylmethyl)phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 358659-75-3 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[4-(imino-1-piperazinylmethyl)phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 358659-76-4 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[4-[imino(4-methyl-1-piperazinyl)methyl]phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 358659-77-5 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[{4-[(hexahydro-1H-azepin-1-yl)iminomethyl]phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 358659-78-6 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[4-[(dimethylamino)iminomethyl]phe nyl]methyl]amino]-5-fluoro- (9CI) (CA INDEX NAME)

RN 358659-79-7 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[4-(4,5-dihydro-1-methyl-1H-imidazol-2-yl)phenyl]methyl]amino]-5-fluoro- (9CI) (CA INDEX NAME)

Page 328

RN 358659-80-0 CAPLUS

CN Benzamide, 2-[[[4-(1-azetidinyliminomethyl)phenyl]methyl]amino]-N-(5-chloro-2-pyridinyl)-5-fluoro- (9CI) (CA INDEX NAME)

RN 358659-81-1 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-5-fluoro-2-[[[4-(imino-1-piperidinylmethyl)phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 358659-82-2 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[4-[(dimethylamino)iminomethyl]phenyl]methyl]amino]-5-methoxy-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{NH} & \\ & \text{NH} \\ & \text{NH} - \text{CH}_2 \end{array}$$

RN 358659-83-3 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[4-(4,5-dihydro-1-methyl-1H-imidazol-2-yl)phenyl]methyl]amino]-5-methoxy-(9CI) (CA INDEX NAME)

RN 358659-84-4 CAPLUS

CN Benzamide, 2-[[[4-(1-azetidinyliminomethyl)phenyl]methyl]amino]-N-(5-chloro-2-pyridinyl)-5-methoxy- (9CI) (CA INDEX NAME)

RN 358659-85-5 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[4-(imino-1-piperidinylmethyl)phenyl]methyl]amino]-5-methoxy- (9CI) (CA INDEX NAME)

RN 358659-86-6 CAPLUS
CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[4-(imino-1-pyrrolidinylmethyl)phenyl]methyl]amino]-3-methoxy- (9CI) (CA INDEX NAME)

RN 358659-87-7 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[4-(imino-1-pyrrolidinylmethyl)phenyl]methyl]amino]-5-methoxy- (9CI) (CA INDEX NAME)

358659-88-8 CAPLUS RN

Benzamide, N-(5-chloro-2-pyridinyl)-5-fluoro-2-[[[4-(imino-1-CNpyrrolidinylmethyl)phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

ANSWER 43 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2001:167959 CAPLUS

DOCUMENT NUMBER:

134:222527

TITLE:

Preparation of (ar)alkylsulfonylbenzamides as

hypolipemic agents

INVENTOR(S):

Kirsch, Reinhard; Schaefer, Hans-Ludwig; Falk, Eugen;

Hemmerle, Horst

Page 332

PATENT ASSIGNEE(S): Aventis Pharma Deutschland G.m.b.H., Germany

SOURCE: PCT Int. Appl., 45 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

					APPLICATION NO.															
								WO 2000-EP8027												
	W:		•	•			•	•			-	•	•				CH,	•		
		CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES	5, F	ï,	GB,	GD,	GE,	GH,	GM,	HR,		
		ΗU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	KI	?, K	Œ,	ΚZ,	LC,	LK,	LR,	LS,	LT,		
		LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MΣ	<, M	1Z,	NO,	NZ,	PL,	PT,	RO,	RU,		
		SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TF	٦, ٦	T,	TZ,	UA,	UG,	UZ,	VN,	YU,		
		ZA,	zw																	
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ	Z, I	Z,	UG,	ZW,	AT,	BE,	CH,	CY,		
		DΕ,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IJ	r, L	JU,	MC,	NL,	PT,	SE,	BF,	ВJ,		
		CF,					GN,													
DE	1994	1540			A1		2001	0308		DE	199	9-:	1994	1540		1	.9990	901		
	1994				C2		2002	0829												
DE	DE 10027611				A1	DE 2000-10027611							20000606							
	CA 2383781										CA 2000-2383781									
BR	BR 2000013727				A 20020507				BR 2000-13727							20000817				
	1218								EP 2000-953172							20000817				
EP	1218	341			B1 20050824															
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GF	₹, I	Τ,	LI,	LU,	NL,	SE,	MC,	PT,		
		ΙE,	SI,	LT,	LV,	•	RO,		•											
JP	2003	5083	80		T2	JP 2001-519664							20000817							
	2002					EE 2002-95														
AU	AU 774071									AU 2000-65712										
	3027						2005										0000	817		
NO	NO 2002000811						2002	0430		ИО	200	2 - 8	311			2	0020	219		
PRIORIT	PRIORITY APPLN. INFO.:									DE	199	9-:	1994	1540		A 1	9990	901		
										DE	200	0 - :	1002	7611		A 2	0000	606		
								WO	200	0 O – I	EP80:	27	,	W 2	0000	817				
OTHER COHREE(C).						חית	124.	2225	27											

OTHER SOURCE(S):

MARPAT 134:222527

AB Title compds. [I; R, R1-R3 = alkyl, NR6R7, pyridylmethyl, phenyl(alkyl), etc.; R6,R7 = H, alkyl, alkoxy(alkyl), aryl(alkyl), etc.] were prepared Thus, 4-chloro-2-fluorobenzoic acid was converted in 7 steps to I (R = CH2Ph, R1 = 4-phenyl-1-piperidinyl, R2 = NHCH2CH2NMe2, R3 = NEt2). Data for biol. activity of I were given.

IT 328392-15-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of (ar)alkylsulfonylbenzamides as hypolipemic agents)

RN 328392-15-0 CAPLUS

CN Benzamide, N-methyl-5-[(methylphenylamino)sulfonyl]-N-phenyl-2-[(2-pyridinylmethyl)amino]-4-[[2-(1-pyrrolidinyl)ethyl]amino]- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 44 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:165753 CAPLUS

DOCUMENT NUMBER: 134:207721

TITLE: Preparation of sulfonylcarboxamides and their use in

treatment or prophylaxis of hyperlipidemia

INVENTOR(S): Kirsch, Reinhard; Schaefer, Hans-Ludwig; Falk, Eugen;

Hemmerle, Horst

PATENT ASSIGNEE(S): Aventis Pharma Deutschland G.m.b.H., Germany

SOURCE: Ger. Offen., 18 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE				
DE 19941540	A1 20010308	DE 1999-19941540	19990901				
DE 19941540	C2 20020829						
CA 2383781	AA 20010308	CA 2000-2383781	20000817				
WO 2001016094	A1 20010308	WO 2000-EP8027	20000817				
W: AE, AG, AL,	AM, AT, AU, AZ,	BA, BB, BG, BR, BY, BZ,	CA, CH, CN,				
CR, CU, CZ,	DE, DK, DM, DZ,	EE, ES, FI, GB, GD, GE,	GH, GM, HR,				
HU, ID, IL,	IN, IS, JP, KE,	KG, KP, KR, KZ, LC, LK,	LR, LS, LT,				
LU, LV, MA,	MD, MG, MK, MN,	MW, MX, MZ, NO, NZ, PL,	PT, RO, RU,				
SD, SE, SG,	SI, SK, SL, TJ,	TM, TR, TT, TZ, UA, UG,	UZ, VN, YU,				
ZA, ZW							
RW: GH, GM, KE,	LS, MW, MZ, SD,	SL, SZ, TZ, UG, ZW, AT,	BE, CH, CY,				
		IE, IT, LU, MC, NL, PT,					
CF, CG, CI,	CM, GA, GN, GW,	ML, MR, NE, SN, TD, TG					
BR 2000013727	A 20020507	BR 2000-13727					

EP	1218341		A1	200207	703	EP	2000-	20000817					
EP	1218341			200508	824								
	R: AT,	BE, CH,	DE,	DK, ES, E	FR, GB,	GR	, IT,	LI,	LU,	NL,	SE	, MC,	PT,
	IE,	SI, LT,	LV,	FI, RO, N	MK, CY,	AL							
JР	200350838	30	T2	200303	304	JP	2001-	51966	54			20000	817
EE	200200099	5	Α	200304	415	EE	2002-	95				20000	817
AU	774071		B2	200406	617	AU .	2000-	65712	2			20000	817
AT	302754		E	200509	915	ΑT	2000-	95317	72			20000	817
US	6342512		B1	200201	129	US	2000-	65484	11			20000	901
US	200207252	20	A1	200206	613	US	2001-	96338	30			20010	927
US	6552048		B2	200304	422								
NO	200200081	11	Α	200204	430	NO	2002-	811				20020	219
ZA	200200159	93	Α	200309	929	ZA	2002-	1593				20020	226
PRIORITY	APPLN. 1	INFO.:				DE	1999-	19941	L540	1	A	19990	901
						DE :	2000-	10027	7611	1	4	20000	606
						WO	2000-	EP802	27	1	Ŋ	20000	817
						US	2000-	65484	11	i	A3	20000	901

GΙ

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Sulfonylcarboxamides such as I, II, and III were prepared Thus, I was prepared in 7 steps starting with chlorosulfonylation of 4-chloro-2-fluorobenzoic acid and proceeding via 5-(benzylsulfonyl)-4-chloro-N,N-diethyl-2-fluorobenzamide. At 20 mg/kg p.o. III lowered total cholesterol, LDL cholesterol, and triglycerides in hyperlipidemic hamsters by 16, 57, and 11%, resp.

IT 328392-15-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (sulfonylcarboxamides for treatment or prophylaxis of hyperlipidemia)

RN 328392-15-0 CAPLUS

CN Benzamide, N-methyl-5-[(methylphenylamino)sulfonyl]-N-phenyl-2-[(2-pyridinylmethyl)amino]-4-[[2-(1-pyrrolidinyl)ethyl]amino]- (9CI) (CA INDEX NAME)

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS 2 REFERENCE COUNT: RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 45 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2000:457059 CAPLUS

DOCUMENT NUMBER:

133:89437

TITLE:

Preparation of heteroaryl-substituted aromatic amides

as factor Xa inhibitors

INVENTOR(S):

Beight, Douglas Wade; Craft, Trelia Joyce; Denny, Carl Penman; Franciskovich, Jeffry Bernard; Goodson, Theodore, Jr.; Hall, Steven Edward; Herron, David Kent; Joseph, Sajan Pariyadan; Klimkowski, Valentine Joseph; Masters, John Joseph; Mendel, David; Milot, Guy; Pineiro-Nunez, Marta Maria; Sawyer, Jason Scott; Shuman, Robert Theodore; Smith, Gerald Floyd; Tebbe, Anne Louise; Tinsley, Jennifer Marie; Weir, Leonard Crayton; Wikel, James Howard; Wiley, Michael Robert;

Yee, Ying Kwong

PATENT ASSIGNEE(S): SOURCE:

Eli Lilly and Co., USA; Kyle, Jeffrey, Alan; et al.

PCT Int. Appl., 403 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	PATENT NO.						KIND DATE				ICAT								
WO	WO 2000039118																		
							AZ,												
		CZ,	DE,	DK,	DM,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU	ID,	IL,		
		IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,		
		MD,	MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,		
		SK,	SL,	TJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,	ΥU,	ZA	ZW			
	RW:	GH,	GM,	KE,	LS,	MW,	SD,	SL,	SZ,	TZ,	ŪĠ,	ZW,	AT,	BE,	CH,	CY,	DE,		
		DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	BJ,	CF,		
		CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG						
CA	CA 2361149					AA 20000706				CA 1999-2361149						19991215			
EP	EP 1140903					A1 20011010					EP 1999-964279						19991215		
EP	1140903			B1 20040804															
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,		
		IE,	SI,	LT,	LV,	FI,	RO												
								JP 2000-591029											
AT	2726	33			E	2004	0815	AT 1999-964279											
ES	2226	485			Т3	2005	0316	ES 1999-964279							19991215				
US	6635	657			B1 20031021				US 2001-857751						20010608				
US	US 2004029874			A1 20040212			US 2003-629760						20030729						
US	US 6759414				B2		2004	0706											
US	US 2005282862				A1		2005	1222	1	US 2	003-	6298	17		2	20030	729		
PRIORIT!	CIORITY APPLN. INFO.:								1	US 1	998-	1135	56P		P 1	19981	223		
									1	WO 1	999-	US29:	946		W I	19991	215		
									1	US 2	001-	8577	51		A3 2	20010	608		
OTHER SO	THER SOURCE(S):					MARPAT 133:89437													

OTHER SOURCE(S):

MARPAT 133:8943

GΙ

The title compds. [I; A3-A6, together with the two carbons to which they are attached, complete a substituted benzene in which A3 = CR3, A4 = CR4, A5 = CR5, and A6 = CR6 (wherein R3 = H, Me, MeO, etc.; one of R4 and R5 = H, alkyl, halo, etc.; the other of R4 and R5 = H; R6 = H, Me, F, etc.); L1 = CONH; Q1 = 2-pyridinyl (un)substituted at the 5-position, 3-pyridinyl (un)substituted at the 6-position, 2-pyrimidinyl (un)substituted at the 5-position, etc.; R2 = L2Q2 (L2 = NHCO, NHCH2, OCH2, etc.; Q2 = (un)substituted piperidinyl, piperazinyl, Ph, etc.)] and their pharmaceutically acceptable salts, useful as inhibitors of factor Xa (no data), were prepared and formulated. E.g., a multi-step synthesis of II.HCl was given. In general, compds. I are effective at 0.01-1000 mg/kg/day.

IT 280769-11-1P 280769-16-6P 280769-22-4P 280769-23-5P 280769-24-6P 280769-46-2P 280769-68-8P 280769-83-7P 280770-51-6P 280770-52-7P 280770-59-4P 280770-66-3P 280770-79-8P 280770-91-4P 280770-93-6P 280770-95-8P 280771-47-3P 280771-49-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of heteroaryl-substituted aromatic amides as factor Xa inhibitors)

RN 280769-11-1 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[(4-piperidinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 280769-16-6 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-(4-pyridinyl)-4piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

Page 337

RN 280769-22-4 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-(2-cyano-4-pyridinyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 280769-23-5 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-5-fluoro-2-[(4-piperidinylmethyl)amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & C1 \\ \parallel & & \parallel & \\ R - C - NH - & \parallel & \end{array}$$

RN 280769-24-6 CAPLUS

CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[(4-piperidinylmethyl)amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & N & C1 \\ \parallel & \parallel & \parallel \end{array}$$

RN 280769-46-2 CAPLUS

CN 2-Pyridinecarboxylic acid, 4-[4-[[[2-[[(5-chloro-2-pyridinyl)amino]carbonyl]phenyl]amino]methyl]-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 280769-68-8 CAPLUS

CN 2-Pyridinecarboxylic acid, 4-[4-[[[2-[[(5-chloro-2-pyridinyl)amino]carbonyl]phenyl]amino]methyl]-1-piperidinyl]-, methyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & & & \\ \hline \\ MeO-C & & & \\ \hline \\ N & & & \\ \hline \\ C1 & & NH-C \\ \hline \\ N & & \\ O & \\ \end{array}$$

RN 280769-83-7 CAPLUS

CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[1-(tetrahydro-2H-thiopyran-4-yl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 280770-51-6 CAPLUS

CN Benzoic acid, 4-[[(5-chloro-2-pyridinyl)amino]carbonyl]-3-[[[1-(1-methylethyl)-4-piperidinyl]methyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ \text{MeO-C} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ &$$

RN 280770-52-7 CAPLUS

CN Benzoic acid, 4-[[(5-chloro-2-pyridinyl)amino]carbonyl]-3-[[[1-(4-pyridinyl)-4-piperidinyl]methyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

RN 280770-59-4 CAPLUS

CN Benzamide, 5-chloro-N-(5-methyl-2-pyridinyl)-2-[[[1-(tetrahydro-2H-thiopyran-4-yl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & \\ & & & \\ & & \\ & & \\ & & & \\ & & \\ & & & \\ & & \\ & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 280770-66-3 CAPLUS

CN Benzamide, 5-chloro-N-(5-methyl-2-pyridinyl)-2-[[[1-(tetrahydro-3-thienyl)-4-piperidinyl]methyl]amino]-(9CI) (CA INDEX NAME)

RN 280770-79-8 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-5-methyl-2-[(4piperidinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 280770-91-4 CAPLUS

C1
$$R$$
 $CH_2-C-OEH$

RN 280770-93-6 CAPLUS

CN Benzamide, 5-chloro-N-(5-fluoro-2-pyridinyl)-2-[(4-piperidinylmethyl)amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & N & F \\ \hline \\ R - C - NH & \end{array}$$

RN 280770-95-8 CAPLUS

CN 1-Piperidinebutanoic acid, 4-[[[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]phenyl]amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)

C1
$$R$$
 $NH-CH_2$ $NH-CH_2$ $NH-CH_2$ $NH-CH_2$ $NH-CH_2$ $NH-CH_2$ $NH-CH_2$ $NH-CH_2$ $NH-CH_2$

RN 280771-47-3 CAPLUS

CN Benzoic acid, 3-[[(5-chloro-2-pyridinyl)amino]carbonyl]-4-[[[1-(1-methylethyl)-4-piperidinyl]methyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

MeO-C
$$\begin{array}{c}
O \\
\parallel \\
NH-CH_2
\end{array}$$

$$\begin{array}{c}
N \\
Pr-i
\end{array}$$

RN 280771-49-5 CAPLUS
CN Benzoic acid, 3-[[(5-chloro-2-pyridinyl)amino]carbonyl]-4-[[[1-(4-pyridinyl)-4-piperidinyl]methyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

IT 280769-12-2P 280769-26-8P 280769-27-9P 280769-33-7P 280769-48-4P 280769-49-5P 280769-50-8P 280769-51-9P 280769-52-0P 280769-53-1P 280769-54-2P 280769-56-4P 280769-57-5P 280769-64-4P 280769-65-5P 280769-70-2P 280769-74-6P 280769-76-8P 280769-84-8P 280769-85-9P 280769-86-0P 280769-89-3P 280769-91-7P 280769-92-8P 280769-93-9P 280769-94-0P 280769-95-1P 280769-96-2P 280769-97-3P 280769-98-4P 280769-99-5P 280770-00-5P 280770-01-6P 280770-02-7P 280770-03-8P 280770-04-9P 280770-05-0P 280770-06-1P 280770-07-2P 280770-08-3P 280770-09-4P 280770-10-7P 280770-11-8P 280770-12-9P 280770-13-0P 280770-14-1P 280770-15-2P 280770-16-3P 280770-17-4P 280770-18-5P 280770-19-6P 280770-20-9P 280770-21-0P 280770-22-1P 280770-23-2P 280770-24-3P 280770-25-4P 280770-26-5P 280770-27-6P 280770-28-7P 280770-29-8P 280770-30-1P 280770-31-2P 280770-32-3P 280770-33-4P 280770-34-5P 280770-35-6P 280770-36-7P 280770-37-8P 280770-38-9P 280770-39-0P 280770-40-3P

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280770-41-4P 280770-42-5P 280770-43-6P
     280770-44-7P 280770-45-8P 280770-46-9P
     280770-53-8P 280770-54-9P 280770-55-0P
     280770-56-1P 280770-58-3P 280770-60-7P
     280770-61-8P 280770-62-9P 280770-63-0P
     280770-64-1P 280770-65-2P 280770-67-4P
     280770-68-5P 280770-69-6P 280770-70-9P
     280770-71-0P 280770-72-1P 280770-73-2P
     280770-74-3P 280770-75-4P 280770-76-5P
     280770-77-6P 280770-78-7P 280770-80-1P
     280770-81-2P 280770-82-3P 280770-83-4P
     280770-84-5P 280770-85-6P 280770-86-7P
     280770-87-8P 280770-88-9P 280770-89-0P
     280770-90-3P 280770-92-5P 280770-94-7P
     280770-96-9P 280770-97-0P 280770-98-1P
     280770-99-2P 280771-00-8P 280771-01-9P
     280771-02-0P 280771-03-1P 280771-04-2P
     280771-44-0P 280771-48-4P 280771-50-8P
     280771-51-9P 280771-52-0P
    RL: BAC (Biological activity or effector, except adverse); BSU (Biological
    study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
    BIOL (Biological study); PREP (Preparation); USES (Uses)
        (preparation of heteroaryl-substituted aromatic amides as factor Xa
inhibitors)
     280769-12-2 CAPLUS
RN
    Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-(1-methylethyl)-4-
CN
    piperidinyl]methyl]amino] - (9CI) (CA INDEX NAME)
```

$$\begin{array}{c|c} O & N \\ \parallel & \parallel \\ R - C - NH - \parallel & \parallel \end{array}$$

RN 280769-26-8 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-5-fluoro-2-[[[1-(1-methylethyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & \parallel & & \\ R - C - NH - & \parallel & \\ \end{array}$$

RN 280769-27-9 CAPLUS

CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[1-(1-methylethyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ \parallel & & & \\ R--C-NH- & & & \\ \end{array}$$

RN 280769-33-7 CAPLUS

CN 3-Pyridinecarboxylic acid, 4-[4-[[[2-[{(5-chloro-2-pyridinyl)amino]carbonyl]phenyl]amino]methyl]-1-piperidinyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 280769-32-6 CMF C24 H24 Cl N5 O3

CM 2

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CRN 76-05-1 CMF C2 H F3 O2

RN 280769-48-4 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-5-[(methylsulfonyl)amino]-2-[[[1-(4-pyridinyl)-4-piperidinyl]methyl]amino]-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HCl

RN 280769-49-5 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-(cyclopropylmethyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 280769-50-8 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-(4-pyridinylmethyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN

280769-51-9 CAPLUS Benzamide, N-(5-chloro-2-pyridinyl)-5-fluoro-2-[[[1-(4-pyridinyl)-4-CN piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

280769-52-0 CAPLUS RN

Benzamide, N-(5-chloro-2-pyridinyl)-2-[[(1-propyl-4-CNpiperidinyl)methyl]amino] - (9CI) (CA INDEX NAME)

RN280769-53-1 CAPLUS

Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-(2,2-dimethylpropyl)-4-CN piperidinyl]methyl]amino] - (9CI) (CA INDEX NAME)

RN 280769-54-2 CAPLUS

CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[1-(4-pyridinyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & N \\
 & N \\$$

RN 280769-56-4 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-(2-methyl-4-pyridinyl)-4-piperidinyl]methyl]amino]-, tetrahydrochloride (9CI) (CA INDEX NAME)

●4 HCl

RN 280769-57-5 CAPLUS

CN 2-Pyridinecarboxylic acid, 4-[4-[[[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]phenyl]amino]methyl]-1-piperidinyl]- (9CI) (CA INDEX NAME)

$$C1$$
 $NH-CH_2$
 CO_2H
 CO_2H
 CO_2H
 CO_2H

RN 280769-64-4 CAPLUS

CN 2-Pyridinecarboxylic acid, 4-[4-[[[2-[[(5-chloro-2-pyridinyl)amino]carbonyl]-4-fluorophenyl]amino]methyl]-1-piperidinyl]-(9CI) (CA INDEX NAME)

RN 280769-65-5 CAPLUS

CN Benzamide, 2-[[[4-(acetylamino)phenyl]methyl]amino]-5-chloro-N-(5-chloro-2-pyridinyl)- (9CI) (CA INDEX NAME)

RN 280769-70-2 CAPLUS

CN Benzamide, 5-chloro-2-[[[1-(1-methylethyl)-4-piperidinyl]methyl]amino]-N-(5-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

RN 280769-74-6 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-[1-(4-pyridinyl)ethyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 280769-76-8 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-[2-[(hydroxyamino)iminomethyl]-4-pyridinyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} NH & & \\ HO-NH-C & & \\ N & & \\ N & & \\ C1 & & \\ \end{array}$$

RN 280769-84-8 CAPLUS

CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[1-(1-ethylpropyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & \\ R - C - NH - \\ & & \end{array}$$

RN 280769-85-9 CAPLUS

CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[1-(1-methylpropyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 280769-86-0 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-[(4-hydroxyphenyl)methyl]-4-piperidinyl]methyl]amino]-(9CI) (CA INDEX NAME)

$$N-CH_2$$
 $N-CH_2$
 R

RN 280769-89-3 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-(3-thienylmethyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 280769-91-7 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-(2-pyridinylmethyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 280769-92-8 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-[(2-methylphenyl)methyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{N---} \text{CH}_2 \\ \\ \text{R} \end{array}$$

RN 280769-93-9 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-[(2-methoxyphenyl)methyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 280769-94-0 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-[(4-methoxyphenyl)methyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 280769-95-1 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-[(3-hydroxyphenyl)methyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 280769-96-2 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-(2-thienylmethyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 280769-97-3 CAPLUS

CN Benzamide, 2-[[[1-[(2-chlorophenyl)methyl]-4-piperidinyl]methyl]amino]-N-(5-chloro-2-pyridinyl)- (9CI) (CA INDEX NAME)

RN 280769-98-4 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-[(2-fluorophenyl)methyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

$$R - C - NH - N$$

RN 280769-99-5 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-[(3-fluorophenyl)methyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 280770-00-5 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-(phenylmethyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & & \\ \parallel & & \\ R - C - NH - & \end{array}$$

280770-01-6 CAPLUS RN

Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-[(4-methylphenyl)methyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME) CN

$$\begin{array}{c|c} & \text{Me} \\ \hline & \text{NH-CH}_2 \\ \hline & \text{R} \end{array}$$

RN

280770-02-7 CAPLUS
Benzamide, 2-[[[1-[(4-chlorophenyl)methyl]-4-piperidinyl]methyl]amino]-N-CN(5-chloro-2-pyridinyl) - (9CI) (CA INDEX NAME)

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RN 280770-03-8 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-[(3-methoxyphenyl)methyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ &$$

RN 280770-04-9 CAPLUS

CN Benzamide, 2-[[[1-[(4-bromophenyl)methyl]-4-piperidinyl]methyl]amino]-N-(5-chloro-2-pyridinyl)- (9CI) (CA INDEX NAME)

$$R - C - NH - N$$

RN 280770-05-0 CAPLUS

CN Benzamide, 2-[[[1-[(2-bromophenyl)methyl]-4-piperidinyl]methyl]amino]-N-(5-chloro-2-pyridinyl)- (9CI) (CA INDEX NAME)

Page 357

RN 280770-06-1 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-[(3-methylphenyl)methyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 280770-07-2 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-(cyclohexylmethyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 280770-08-3 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-(3-furanylmethyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 280770-09-4 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-(1H-imidazol-4-ylmethyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 280770-10-7 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-(2-furanylmethyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 280770-11-8 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-(3-pyridinylmethyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

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RN 280770-12-9 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-(1H-imidazol-2-ylmethyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} C1 \\ N \\ N \\ N \end{array}$$

$$\begin{array}{c} CH_2 - NH - C \\ CH_2 - NH \end{array}$$

RN 280770-13-0 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-[1-(4-methoxyphenyl)ethyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 280770-14-1 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-[1-(2-thienyl)ethyl]-4-piperidinyl]methyl]amino]-(9CI) (CA INDEX NAME)

RN 280770-15-2 CAPLUS

CN Benzamide, 2-[[[1-[1-(4-bromophenyl)ethyl]-4-piperidinyl]methyl]amino]-N-(5-chloro-2-pyridinyl)- (9CI) (CA INDEX NAME)

RN 280770-16-3 CAPLUS

CN Benzamide, 2-[[[1-[1-(4-chlorophenyl)ethyl]-4-piperidinyl]methyl]amino]-N-(5-chloro-2-pyridinyl)- (9CI) (CA INDEX NAME)

RN 280770-17-4 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-[1-(2,5-dimethyl-3-furanyl)ethyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 280770-18-5 CAPLUS

CN Benzamide, 2-[[[1-[1-(3-chlorophenyl)ethyl]-4-piperidinyl]methyl]amino]-N-(5-chloro-2-pyridinyl)- (9CI) (CA INDEX NAME)

RN 280770-19-6 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-(1-methylpropyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 280770-20-9 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-(1-ethylpropyl)-4-piperidinyl]methyl]amino]-(9CI) (CA INDEX NAME)

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$$\begin{array}{c|c} O & N \\ \parallel & \parallel \\ R - C - NH - \parallel \end{array}$$

RN 280770-21-0 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-(tetrahydro-2H-thiopyran-4-yl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 280770-22-1 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-(1-cyclopropylethyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 280770-23-2 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[(1-cyclohexyl-4-piperidinyl)methyl]amino]- (9CI) (CA INDEX NAME)

RN 280770-24-3 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-(tetrahydro-2H-pyran-4-yl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 280770-25-4 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-(tetrahydro-3-thienyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 280770-26-5 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[(1-cyclopentyl-4-piperidinyl)methyl]amino]- (9CI) (CA INDEX NAME)

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RN280770-27-6 CAPLUS

Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-[1-(2-pyridinyl)ethyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME) CN

RN

280770-28-7 CAPLUS
Benzamide, N-(5-chloro-2-pyridinyl)-2-[[(1-cyclobutyl-4-piperidinyl)methyl]amino]- (9CI) (CA INDEX NAME) CN

280770-29-8 CAPLUS RN

Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-(1-propylbutyl)-4-CN piperidinyl]methyl]amino] - (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & C1 \\ \parallel & & \parallel & \\ R--C-NH-- & \parallel & \end{array}$$

RN 280770-30-1 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-(2,3-dihydro-1H-inden-2-yl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 280770-31-2 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-[1-(2-thiazolyl)ethyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 280770-32-3 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-[1-(3-pyridinyl)ethyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 280770-33-4 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-[1-(2-furanyl)ethyl]-4-piperidinyl]methyl]amino]-(9CI) (CA INDEX NAME)

RN 280770-34-5 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-[1-(3-fluorophenyl)ethyl]-4-piperidinyl]methyl]amino]-(9CI) (CA INDEX NAME)

RN 280770-35-6 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-[1-(4-fluorophenyl)ethyl]-4-piperidinyl]methyl]amino]-(9CI) (CA INDEX NAME)

RN 280770-36-7 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-[1-(2-methoxyphenyl)ethyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 280770-37-8 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-[1-(4-methylphenyl)ethyl]-4-piperidinyl]methyl]amino]-(9CI) (CA INDEX NAME)

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RN 280770-38-9 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-[1-(3-methoxyphenyl)ethyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 280770-39-0 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-[1-(3-hydroxyphenyl)ethyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 280770-40-3 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-[1-(2-hydroxyphenyl)ethyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 280770-41-4 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-[1-(2-methylphenyl)ethyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 280770-42-5 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-(1-phenylethyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 280770-43-6 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-[1-(2-fluorophenyl)ethyl]-4-piperidinyl]methyl]amino]-(9CI) (CA INDEX NAME)

$$R - C - NH - I$$

RN 280770-44-7 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-[1-(3-methylphenyl)ethyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 280770-45-8 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[(1'-methyl[1,4'-bipiperidin]-4-yl)methyl]amino]- (9CI) (CA INDEX NAME)

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RN 280770-46-9 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[(1-methyl-4-piperidinyl)methyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 280770-53-8 CAPLUS

CN Benzoic acid, 4-[[(5-chloro-2-pyridinyl)amino]carbonyl]-3-[[[1-(1-methylethyl)-4-piperidinyl]methyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & \\ \parallel & \\ R-C-NH- \end{array}$$

● HCl

RN 280770-54-9 CAPLUS

CN Benzoic acid, 4-[[(5-chloro-2-pyridinyl)amino]carbonyl]-3-[[[1-(4-pyridinyl)-4-piperidinyl]methyl]amino]-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HCl

RN 280770-55-0 CAPLUS

CN Benzamide, 2-[[[1-[2-(aminothioxomethyl)-4-pyridinyl]-4-piperidinyl]methyl]amino]-N-(5-chloro-2-pyridinyl)- (9CI) (CA INDEX NAME)

RN 280770-56-1 CAPLUS

CN 2-Pyridinecarboxamide, 4-[4-[[[2-[[(5-chloro-2pyridinyl)amino]carbonyl]phenyl]amino]methyl]-1-piperidinyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & N \\
 & O \\$$

RN 280770-58-3 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-[2-(hydroxymethyl)-4-pyridinyl]-4-piperidinyl]methyl]amino]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 280770-57-2 CMF C24 H26 Cl N5 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 280770-60-7 CAPLUS

CN Benzamide, 5-chloro-N-(5-methyl-2-pyridinyl)-2-[[[1-(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)-4-piperidinyl]methyl]amino}- (9CI) (CA INDEX NAME)

RN 280770-61-8 CAPLUS

CN Benzamide, 5-chloro-2-[[(1-cycloheptyl-4-piperidinyl)methyl]amino]-N-(5-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

RN 280770-62-9 CAPLUS

CN Benzamide, 5-chloro-2-[[[1-(1-methylpropyl)-4-piperidinyl]methyl]amino]-N-(5-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

RN 280770-63-0 CAPLUS

CN Benzamide, 5-chloro-2-[[[1-(1-ethylpropyl)-4-piperidinyl]methyl]amino]-N-(5-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

RN 280770-64-1 CAPLUS

CN Benzamide, 5-chloro-2-[[(1-cyclohexyl-4-piperidinyl)methyl]amino]-N-(5-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & \\ & & & \\ & & \\ & & \\ & & & \\ & & \\ & & & \\ & & \\ & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 280770-65-2 CAPLUS

CN Benzamide, 5-chloro-N-(5-methyl-2-pyridinyl)-2-[[[1-(tetrahydro-2H-pyran-4-yl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\$$

RN 280770-67-4 CAPLUS

CN Benzamide, 5-chloro-N-(5-methyl-2-pyridinyl)-2-[[[1-(tetrahydro-1,1-dioxido-3-thienyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 280770-68-5 CAPLUS

CN Benzamide, 5-chloro-2-[[(1-cyclopentyl-4-piperidinyl)methyl]amino]-N-(5-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 \\ \hline \\ N \\ \hline \\ N \\ O \\ \end{array}$$

RN 280770-69-6 CAPLUS

CN Benzamide, 5-chloro-2-[[[1-(1-cyclopropylethyl)-4-piperidinyl]methyl]amino]-N-(5-methyl-2-pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Me & CH_2-NH \\ \hline \\ CH-N & NH-C \\ \hline \\ Me & O \end{array}$$

● HCl

RN 280770-70-9 CAPLUS

CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[1-(1-cyclopropylethyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Me & CH_2-NH \\ \hline \\ CH-N & NH-C \\ \hline \\ C1 & O \end{array}$$

RN 280770-71-0 CAPLUS

CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[(1-cyclopentyl-4-piperidinyl)methyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 280770-72-1 CAPLUS

CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[(1-cyclohexyl-4-piperidinyl)methyl]amino]- (9CI) (CA INDEX NAME)

RN

280770-73-2 CAPLUS
Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[1-(tetrahydro-2H-pyran-4-CN yl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

280770-74-3 CAPLUS RN

Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[(1-cycloheptyl-4-piperidinyl)methyl]amino]- (9CI) (CA INDEX NAME) CN

RN280770-75-4 CAPLUS

Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[1-(3,3,3-trifluoro-1-CN methylpropyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 280770-76-5 CAPLUS

CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[1-(tetrahydro-3-thienyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 280770-77-6 CAPLUS

CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[1-(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

Page 379

RN 280770-78-7 CAPLUS

CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[1-(tetrahydro-1-oxido-2H-thiopyran-4-yl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

RN 280770-80-1 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-5-methyl-2-[[[1-(1-methylethyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ \parallel & & \\ R - C - NH - & & \\ \end{array}$$

RN 280770-81-2 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-(1-cyclopropylethyl)-4-piperidinyl]methyl]amino]-5-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Me \\ CH-N \\ N \\ O \end{array}$$

RN 280770-82-3 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[(1-cyclohexyl-4-piperidinyl)methyl]amino]-5-methyl- (9CI) (CA INDEX NAME)

Page 380

$$\begin{array}{c|c} & & & \\ & & & \\ NH-CH_2 \\ & & \\ C-NH \\ & & \\ O \\ & & \\ C1 \\ \end{array}$$

RN 280770-83-4 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[(1-cyclopentyl-4-piperidinyl)methyl]amino]-5-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ &$$

RN 280770-84-5 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-5-methyl-2-[[[1-(tetrahydro-2H-pyran-4-yl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

RN 280770-85-6 CAPLUS

CN Benzamide, N-(5-fluoro-2-pyridinyl)-5-methyl-2-[[[1-(1-methylethyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & N & F \\ \parallel & \parallel & \parallel \\ R - C - NH & \parallel & \parallel \end{array}$$

RN

280770-86-7 CAPLUS Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[1-(1-oxobutyl)-4-CNpiperidinyl]methyl]amino] - (9CI) (CA INDEX NAME)

C1
$$R$$
 $C-Pr-n$ $N+CH_2$

RN

280770-87-8 CAPLUS
Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[1-(2-methyl-1-oxopropyl)-CN4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

C1
$$R$$
 $NH-CH_2$ N $C-Pr-i$

$$\begin{array}{c|c} O & N & C1 \\ \parallel & \parallel & \parallel \end{array}$$
 R— C- NH— $\begin{array}{c|c} C1 & \end{array}$

RN 280770-88-9 CAPLUS

Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[1-(2-thienylcarbonyl)-4-CN piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} S & O & CH_2-NH \\ \hline & & NH-C \\ & & N \\ \hline & & O \\ \end{array}$$

RN 280770-89-0 CAPLUS

CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[1-(4-morpholinylcarbonyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 280770-90-3 CAPLUS

CN 1-Piperidinebutanoic acid, 4-[[[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]phenyl]amino]methyl]- γ -oxo-, methyl ester (9CI) (CA INDEX NAME)

RN 280770-92-5 CAPLUS

CN 1-Piperidineacetic acid, 4-[[[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{C1} & \text{CH}_2\text{--}\text{CO}_2\text{H} \\ \text{NH--}\text{CH}_2 & \text{N} \end{array}$$

RN 280770-94-7 CAPLUS

CN Benzamide, 5-chloro-N-(5-fluoro-2-pyridinyl)-2-[[[1-(1-methylethyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 280770-96-9 CAPLUS

CN Benzamide, 2-[[(1-acetyl-4-piperidinyl)methyl]amino]-5-chloro-N-(5-chloro-2-pyridinyl)- (9CI) (CA INDEX NAME)

$$R$$
 $NH-CH_2$
 AC

RN 280770-97-0 CAPLUS

CN 1-Piperidinebutanoic acid, 4-[[[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

C1
$$R$$
 N $(CH2)3-CO2H $N$$

RN 280770-98-1 CAPLUS

CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[1-(2-cyano-1-methylethyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & & \\ & \text{CH-} \text{ CH}_2\text{-CN} \\ \hline & \text{NH-} \text{ CH}_2\text{--} \end{array}$$

RN 280770-99-2 CAPLUS

CN 1-Piperidinepropanoic acid, 4-[[[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]phenyl]amino]methyl]-β-methyl-, methyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & N \\ \parallel & \parallel \\ R - C - NH - \parallel \end{array}$$

RN 280771-00-8 CAPLUS

CN 1-Piperidinepropanamide, 4-[[[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

C1
$$R$$
 $CH_2-CH_2-C-NH_2$ N

$$\begin{array}{c|c} O & N \\ \parallel & \parallel \\ R - C - NH - \parallel \end{array}$$

RN 280771-01-9 CAPLUS

CN 1-Piperidinepropanoic acid, 4-[[[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{C1} & \text{CH}_2\text{--}\text{CH}_2\text{--}\text{CO}_2\text{H} \\ \hline & \text{NH}^-\text{--}\text{CH}_2 \end{array}$$

RN 280771-02-0 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-(1-methylethyl)-4-piperidinyl]methyl]amino]-5-[(methylsulfonyl)amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & N \\ \parallel & \parallel \\ R - C - NH - \parallel \end{array}$$

RN 280771-03-1 CAPLUS

CN 1-Piperidinepropanoic acid, 4-[[[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]phenyl]amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)

C1
$$\sim$$
 CH₂-CH₂-C-OMe \sim NH-CH₂

$$\begin{array}{c|c} O & N \\ \parallel & \parallel \\ R - C - NH - \parallel \end{array}$$

RN

280771-04-2 CAPLUS
Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[1-(2-cyanoethyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME) CN

$$\begin{array}{c|c} \text{C1} & \text{CH}_2\text{--}\text{CH}_2\text{--}\text{CN} \\ \hline & \text{N} & \text{CH}_2\text{--}\text{CH}_2\text{--}\text{CN} \\ \hline & \text{NH}\text{--}\text{CH}_2 & \text{N} \end{array}$$

280771-44-0 CAPLUS RN

Benzamide, N-(5-chloro-2-pyridinyl)-4-(hydroxymethyl)-2-[[[1-(1-CN methylethyl) -4-piperidinyl]methyl]amino]-, dihydrochloride (9CI) (CA INDEX NAME)

2 HCl

RN 280771-48-4 CAPLUS

CN Benzoic acid, 3-[[(5-chloro-2-pyridinyl)amino]carbonyl]-4-[[[1-(1-methylethyl)-4-piperidinyl]methyl]amino]-, trihydrochloride (9CI) (CA INDEX NAME)

●3 HCl

RN 280771-50-8 CAPLUS

CN Benzoic acid, 3-[[(5-chloro-2-pyridinyl)amino]carbonyl]-4-[[[1-(4-pyridinyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 280771-51-9 CAPLUS

CN 2-Pyridinecarboxylic acid, 4-[4-[[[2-[[(5-chloro-2-pyridinyl)amino]carbonyl]-4-(methoxycarbonyl)phenyl]amino]methyl]-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 280771-52-0 CAPLUS

CN Benzoic acid, 3-[[(5-chloro-2-pyridinyl)amino]carbonyl]-4-[[[1-(2-cyano-4-

pyridinyl)-4-piperidinyl]methyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
N & & & \\
NH-CH_2 & & & \\
NH-CH_2 & & & \\
C-NH- & & & \\
N & & & \\
C1 & & & \\
\end{array}$$

IT 280774-11-0 280774-13-2

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of heteroaryl-substituted aromatic amides as factor Xa inhibitors)

RN 280774-11-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[4-amino-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]phenyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 280774-13-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[5-carboxy-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]phenyl]amino]methyl]-, 1-(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & \\ & & \\ &$$

IT 280772-19-2P 280772-20-5P 280772-28-3P

280772-41-0P 280772-98-7P 280772-99-8P

280773-00-4P 280773-99-1P 280774-00-7P

280774-05-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of heteroaryl-substituted aromatic amides as factor Xa inhibitors)

RN 280772-19-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[2-[[(5-chloro-2-

pyridinyl)amino]carbonyl]-4-fluorophenyl]amino]methyl]-, 1,1-dimethylethyl
ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & &$$

RN 280772-20-5 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]phenyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

C1
$$R$$
 $C-OBu-t$

RN 280772-28-3 CAPLUS

CN Benzamide, 5-amino-N-(5-chloro-2-pyridinyl)-2-[[[1-(4-pyridinyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 280772-41-0 CAPLUS

CN Benzamide, 5-chloro-N-(5-methyl-2-pyridinyl)-2-[(4-piperidinylmethyl)amino]-(9CI) (CA INDEX NAME)

RN 280772-98-7 CAPLUS

CN Benzoic acid, 4-[[(5-chloro-2-pyridinyl)amino]carbonyl]-3-[(4-piperidinylmethyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & N \\ \parallel & \parallel \\ R - C - NH - \parallel \end{array}$$

RN 280772-99-8 CAPLUS

CN Benzamide, N-(5-fluoro-2-pyridinyl)-5-methyl-2-[(4-piperidinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 280773-00-4 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-5-[(methylsulfonyl)amino]-2-[(4-piperidinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 280773-99-1 CAPLUS

CN

1-Piperidinecarboxylic acid, 4-[[[2-[[(5-chloro-2-pyridinyl)amino]carbonyl]-5-(hydroxymethyl)phenyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & \\ \parallel & \\ C-OBu-t \\ \hline \\ HO-CH_2 & \\ \end{array}$$

RN 280774-00-7 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-4-(hydroxymethyl)-2-[(4-piperidinylmethyl)amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & \\ R - C - NH - \\ & & \end{array}$$

280774-05-2 CAPLUS RN

Benzoic acid, 3-[[(5-chloro-2-pyridinyl)amino]carbonyl]-4-[(4-CN piperidinylmethyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & \\ \hline \\ MeO-C & \\ \hline \\ NH-CH_2 & \\ \end{array}$$

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: 6 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 46 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:457052 CAPLUS

DOCUMENT NUMBER:

133:89436

TITLE:

INVENTOR(S):

Antithrombotic aryl amides and their preparation

Beight, Douglas Wade; Craft, Trelia Joyce;

Franciskovich, Jeffry Bernard; Goodson, Theodore, Jr.; Hall, Steven Edward; Herron, David Kent; Joseph, Sajan; Klimkowski, Valentine Joseph; Masters, John Joseph; Mendel, David; Milot, Guy; Pineiro-Nunez, Marta Maria; Sawyer, Jason Scott; Shuman, Robert Theodore; Smith, Gerald Floyd; Tebbe, Anne Louise; Tinsley, Jennifer Marie; Weir, Leonard Crayton; Wikel, James Howard; Wiley, Michael Robert; Yee, Ying Kwong

PATENT ASSIGNEE(S):

Eli Lilly and Company, USA; Kyle, Jeffrey Alan

SOURCE:

PCT Int. Appl., 80 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. APPLICATION NO. KIND DATE -----

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             MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,
             SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM,
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PRIORITY APPLN. INFO.:
                                             US 1998-113778P
                                                                    19981223
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                                             WO 1999-US29832
                                             US 2001-857747
                                                                 A3 20010608
OTHER SOURCE(S):
                         CASREACT 133:89436; MARPAT 133:89436
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GΙ

Title compds. I [A3-A6, together with the 2 C atoms to which they are AB attached, form a substituted benzene, A3 = CR3, A4 = CR4, A5 = CR5, A6 = CR6, R3 = H, R4 or R5 = H, Me, F, Cl, carboxy, alkoxycarbonyl, amino, sulfonylamido, and the other of R4 or R5 = H, R6 = H; A3-A6, together with the 2 C atoms to which they are attached, form a substituted heteroarom. ring in which either one of A3-A6 = N and the others = CR3-CR6, or 2 non-adjacent A3-A6 are each N, and each of the others is CR3-CR6, resp., where R3-R6 = H, Me, or 1 of R3-R6 attached to a C not bonded to an N is Cl and the others are H, preferably, none of A3-A6 = N and each of R3-R6 = H, or each of R3, R4 and R6 = H and R5 = Cl, or A3 = N and each of A4-A6 = CH; L1 = NHCO, CONH, CH2NH; Q1 = (un) substituted Ph, 2-furanyl, 2-thienyl, 4-thiazolyl, 2-pyridyl, 2-naphthyl, 1,2-dihydrobenzofuran-5-yl or -6-yl, 1,2-benzisoxazol-6-yl, 6-indolyl, 6-indolinyl, 6-indazolyl, 5-benzimidazolyl, 5-benzotriazolyl; R2 = NHCH2Q2, Q2 = substituted Ph or (un) substituted 4-piperidinyl, preferably, R2 = 4-(4morpholinyl)benzylamino, [1-(4-pyridinyl)piperidin-4-ylmethyl]amino, (1-isopropylpiperidin-4-ylmethyl)amino] or their pharmaceutically acceptable salts and pharmaceutical compns., useful as inhibitors of blood-coagulation factor Xa (no data), are claimed, along with a process for their preparation and synthetic intermediates. In an example, I [A3 = N,

Page 394

ΙT

A4-A6 = CH; L1 = NHCO; Q1 = 4-MeOC6H4; R2 = [1-(4-pyridiny1)piperidin-4-ylmethyl]amino] is prepared in 3 steps starting from 2-chloro-3-nitropyridine and 1-(4-pyridy1)piperidine-4-methylamine (preparation given). 280556-80-1P 280556-81-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation as intermediate in synthesis of antithrombotic aryl or heteroaryl amides)

RN 280556-80-1 CAPLUS

C1
$$C-OBu-t$$

RN 280556-81-2 CAPLUS

CN Benzamide, 5-chloro-2-[(4-piperidinylmethyl)amino]-N-2-pyridinyl- (9CI) (CA INDEX NAME)

IT 280556-69-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of aryl amides as antithrombotics)

RN 280556-69-6 CAPLUS

CN Benzamide, 5-chloro-2-[[[1-(1-methylethyl)-4-piperidinyl]methyl]amino]-N-2-pyridinyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 47 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

2000:335388 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 132:347491

TITLE: Preparation of N-aryl(thio)anthranilic acid amides as

VEGF receptor tyrosine kinase inhibitors

Altmann, Karl-Heinz; Bold, Guido; Furet, Pascal; INVENTOR (S):

Manley, Paul William; Wood, Jeanette Marjorie;

Ferrari, Stefano; Hofmann, Francesco; Mestan, Jurgen; Huth, Andreas; Kruger, Martin; Seidelmann, Dieter;

Menrad, Andreas; Haberey, Martin; Thierauch,

Karl-Heinz

PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis-Erfindungen

Verwaltungsgesellschaft m.b.H.; Schering

Aktiengesellschaft

PCT Int. Appl., 77 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.								APPLICATION NO.									
WO									WO 1999-EP8545								
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		IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,
		MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,
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									CA 1999-2346898								
BR	9915210				Α	20010724			BR 1999-15210					19991108			
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		ΙE,	SI,	LT,	LV,	FI,	RO										
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NO	2001001894				Α		2001	NO 2001-1894				20010417					
ZA	A 2001003290				Α		2003	0123	ZA 2001-3290				20010423				

US	2002019414	A1	20020214	US	2001-850434		20010507
US	6448277	B2	20020910				
ZA	2001004673	Α	20020909	ZA	2001-4673		20010607
US	2003064992	A1	20030403	US	2002-180289		20020626
US	6878720	B2	20050412				
US	2004198782	A1	20041007	US	2004-828951		20040421
US	7002022	B2	20060221				
PRIORITY	Y APPLN. INFO.:			GB	1998-24579	Α	19981110
				WO	1999-EP8545	W	19991108
				US	2001-850434	A3	20010507
				US	2002-180289	A 3	20020626

OTHER SOURCE(S):

MARPAT 132:347491

GI

AB Use of title compds. I; W = O, S; X = NR8; Y = CR9R10(CH2)n, SO2; R9, R10 = H, alkyl; n = 0-3; R1 = aryl; R2 = mono- or bicyclic heteroaryl with the exception that R2 cannot = 2-phthalimidyl, and when Y = SO2 cannot represent 2,1,3-benzothiadiazol-4-yl; R3-R6 = H, substituent; R7, R8 = H, alkyl; or a N-oxide or a pharmaceutically acceptable salt thereof, for the preparation of a pharmaceutical product for the treatment of a neoplastic disease which responds to an inhibition of the VEGF receptor tyrosine kinase activity is claimed. Thus, a mixture of 4-pyridinecarboxaldehyde and 2-amino-N-(4-trifluoromethylphenyl)benzamide (preparation given) in MeOH containing

HOAc was treated with NaBH3CN followed by 16 h stirring to give 2-[(4-pyridyl)methyl]amino-N-[4-(trifluoromethyl)phenyl]benzamide. Tested I inhibited Flt-1 VEGF receptor tyrosine kinase with IC50 = 0.18-0.56 uM.

μΜ. IT 269390-66-1P 269390-67-2P 269390-68-3P 269390-69-4P 269390-70-7P 269390-71-8P 269390-72-9P 269390-73-0P 269390-74-1P 269390-75-2P 269390-76-3P 269390-77-4P 269390-78-5P 269390-79-6P 269390-80-9P 269390-81-0P 269390-82-1P 269390-83-2P 269390-84-3P 269390-85-4P 269390-86-5P 269390-87-6P 269390-88-7P 269390-89-8P 269390-90-1P 269390-91-2P 269390-92-3P 269390-93-4P 269390-94-5P 269390-95-6P 269390-96-7P 269390-97-8P 269390-98-9P 269390-99-0P 269391-00-6P 269391-01-7P 269391-02-8P 269391-06-2P 269391-08-4P 269391-09-5P 269391-10-8P 269391-11-9P 269391-12-0P 269391-13-1P 269391-14-2P 269391-15-3P 269391-16-4P 269391-17-5P 269391-18-6P 269391-19-7P 269391-20-0P 269391-21-1P 269391-22-2P 269391-49-3P 269391-50-6P 269391-53-9P 269391-54-0P 269391-55-1P 269391-56-2P 269391-57-3P

269391-58-4P 269391-59-5P 269391-60-8P 269391-61-9P 269391-62-0P 269391-63-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-aryl(thio)anthranilic acid amides as VEGF receptor tyrosine kinase inhibitors)

RN 269390-66-1 CAPLUS

RN 269390-67-2 CAPLUS

CN Benzamide, N-[3-fluoro-4-(trifluoromethyl)phenyl]-2-[(4pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 269390-68-3 CAPLUS

CN Benzamide, N-phenyl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 269390-69-4 CAPLUS

CN Benzamide, N-(4-chlorophenyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 269390-70-7 CAPLUS

CN Benzamide, N-(3-fluoro-4-methylphenyl)-2-[(4-pyridinylmethyl)amino]-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HCl

RN 269390-71-8 CAPLUS

CN Benzamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 269390-72-9 CAPLUS

CN Benzamide, N-[3-chloro-5-(trifluoromethyl)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 269390-73-0 CAPLUS

CN Benzamide, N-[4-fluoro-3-(trifluoromethyl)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 269390-74-1 CAPLUS

CN Benzamide, N-[3-fluoro-5-(trifluoromethyl)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 269390-75-2 CAPLUS

CN Benzamide, N-[3,5-bis(trifluoromethyl)phenyl]-2-[(4-pyridinylmethyl)amino]-(9CI) (CA INDEX NAME)

RN 269390-76-3 CAPLUS

CN Benzamide, N-[3-methoxy-5-(trifluoromethyl)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \text{CF3} \\ \hline & & & \\ & & \\ & \text{C} & & \text{NH} \\ \hline & & & \\ & & \text{NH} - \text{CH}_2 \\ \hline & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

RN 269390-77-4 CAPLUS

CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-[3-(trifluoromethyl)phenyl](9CI) (CA INDEX NAME)

RN 269390-78-5 CAPLUS

CN Benzamide, N-[3-(1,1-dimethylethyl)phenyl]-2-[(4-pyridinylmethyl)amino]-(9CI) (CA INDEX NAME)

RN 269390-79-6 CAPLUS

CN Benzamide, N-(3-cyanophenyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 269390-80-9 CAPLUS

CN Benzamide, N-[3-(methylthio)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI)

(CA INDEX NAME)

RN 269390-81-0 CAPLUS

CN Benzamide, N-[3-(acetylamino)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 269390-82-1 CAPLUS

CN Benzamide, N-[3-[(aminocarbonyl)amino]phenyl]-2-[(4-pyridinylmethyl)amino]-(9CI) (CA INDEX NAME)

RN 269390-83-2 CAPLUS

CN Benzamide, N-[3-(dimethylamino)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 269390-84-3 CAPLUS

CN Benzamide, 5-methoxy-2-[(4-pyridinylmethyl)amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 269390-85-4 CAPLUS

CN Benzamide, 3-methyl-2-[(4-pyridinylmethyl)amino]-N-[3-(trifluoromethyl)phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

•2 HCl

RN 269390-86-5 CAPLUS

CN Benzamide, 4,5-difluoro-2-[(4-pyridinylmethyl)amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 269390-87-6 CAPLUS

CN Benzamide, N-methyl-2-[(4-pyridinylmethyl)amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 269390-88-7 CAPLUS

CN Benzamide, N-[3-(methylsulfonyl)phenyl]-2-[(4-pyridinylmethyl)amino]-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & O & O \\ \hline C & NH & O \\ NH-CH_2 & O \\ \hline N & O \\ \end{array}$$

RN 269390-89-8 CAPLUS

CN Benzamide, N-[3-(methylsulfinyl)phenyl]-2-[(4-pyridinylmethyl)amino]-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O \\ \parallel \\ C \\ NH-CH_2 \\ \parallel \\ N \\ O \end{array}$$

RN 269390-90-1 CAPLUS

CN Benzamide, N-[4-(1,1-dimethylethyl)phenyl]-2-[(4-pyridinylmethyl)amino](9CI) (CA INDEX NAME)

RN 269390-91-2 CAPLUS

CN Benzamide, N-(3-chlorophenyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 269390-92-3 CAPLUS

CN Benzamide, N-(3-bromophenyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 269390-93-4 CAPLUS

CN Benzamide, N-(3-methylphenyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 269390-94-5 CAPLUS

CN Benzamide, N-(3-benzoylphenyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 269390-95-6 CAPLUS

CN Benzamide, N-[3-(aminocarbonyl)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI)
(CA INDEX NAME)

RN 269390-96-7 CAPLUS

CN Benzamide, 2-methyl-N-(4-methylphenyl)-6-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN

269390-97-8 CAPLUS
Benzamide, 2-[(3-pyridinylmethyl)amino]-N-[3-(trifluoromethyl)phenyl]-CN (9CI) (CA INDEX NAME)

269390-98-9 CAPLUS RN

Benzamide, 2-[(4-quinolinylmethyl)amino]-N-[3-(trifluoromethyl)phenyl]-(9CI) (CA INDEX NAME) CN

269390-99-0 CAPLUS RN

Benzamide, 2-[(5-quinolinylmethyl)amino]-N-[3-(trifluoromethyl)phenyl]-CN (9CI) (CA INDEX NAME)

RN

269391-00-6 CAPLUS
Benzamide, 2-[[(2-methyl-4-pyridinyl)methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME) CN

RN

269391-01-7 CAPLUS
Benzamide, 2-[[(1,2-dihydro-2-oxo-4-pyridinyl)methyl]amino]-N-[3-CN (trifluoromethyl)phenyl] - (9CI) (CA INDEX NAME)

269391-02-8 CAPLUS RN

Benzamide, N-(4-chlorophenyl)-2-[(4-quinolinylmethyl)amino]- (9CI) (CA CNINDEX NAME)

RN 269391-06-2 CAPLUS

CN Benzamide, 2-[[(1-oxido-4-pyridinyl)methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 269391-08-4 CAPLUS

CN Benzamide, N-(4-chlorophenyl)-2-methyl-6-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 269391-09-5 CAPLUS

CN Benzamide, 2-chloro-N-(4-chlorophenyl)-6-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 269391-10-8 CAPLUS

CN Benzamide, N-(8-hydroxy-2-naphthalenyl)-2-[(4-pyridinylmethyl)amino]-

(9CI) (CA INDEX NAME)

RN 269391-11-9 CAPLUS

CN Benzamide, 4-chloro-N-(4-chlorophenyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{C1} \\ \text{C} \\ \text{NH} \\ \text{CH}_2 \\ \text{N} \end{array}$$

RN 269391-12-0 CAPLUS

CN Benzamide, N-(4-chlorophenyl)-5-methyl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 269391-13-1 CAPLUS

CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-(5,6,7,8-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)

$$CH_2-NH$$

RN 269391-14-2 CAPLUS

CN Benzamide, N-[1,1'-biphenyl]-4-yl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 269391-15-3 CAPLUS

CN Benzamide, 5-chloro-N-(4-chlorophenyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 269391-16-4 CAPLUS

CN Benzamide, N-2-naphthalenyl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 269391-17-5 CAPLUS

CN Benzamide, N-(4-methoxy-2-naphthalenyl)-2-[(4-pyridinylmethyl)amino]-(9CI) (CA INDEX NAME)

RN 269391-18-6 CAPLUS

10615809.trn

CN Benzamide, N-(3-bromo-2-naphthalenyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 269391-19-7 CAPLUS

CN Benzoic acid, 4-[[2-[(4-pyridinylmethyl)amino]benzoyl]amino]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

RN 269391-20-0 CAPLUS

CN Benzamide, N-[4-[[(1-methylethyl)amino]carbonyl]phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 269391-21-1 CAPLUS

CN Benzamide, N-(3-chloro-4-methylphenyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 269391-22-2 CAPLUS

CN Benzamide, N-(2-methylphenyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 269391-49-3 CAPLUS

CN Benzamide, N-(3-fluoro-4-methylphenyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 269391-50-6 CAPLUS

CN Benzamide, 3-methyl-2-[(4-pyridinylmethyl)amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 269391-53-9 CAPLUS

CN 1,3-Benzodioxole-5-carboxamide, N-(4-chlorophenyl)-6-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 269391-54-0 CAPLUS

10615809.trn

CN Benzamide, N-(4-chlorophenyl)-4,5-dimethyl-2-[(4-pyridinylmethyl)amino]-(9CI) (CA INDEX NAME)

RN 269391-55-1 CAPLUS

CN Benzamide, 5-chloro-N-(4-propylphenyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 269391-56-2 CAPLUS

CN Benzamide, N-(4-propylphenyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 269391-57-3 CAPLUS

CN Benzamide, N-(7-hydroxy-1-naphthalenyl)-2-[(4-pyridinylmethyl)amino]-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

RN 269391-58-4 CAPLUS

CN Benzamide, N-1-naphthalenyl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 269391-59-5 CAPLUS

CN Benzamide, N-(4-methoxyphenyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 269391-60-8 CAPLUS

CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-[3-(trifluoromethoxy)phenyl]-(9CI) (CA INDEX NAME)

RN 269391-61-9 CAPLUS

CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-[4-(trifluoromethoxy)phenyl](9CI) (CA INDEX NAME)

RN 269391-62-0 CAPLUS

CN Benzeneacetic acid, 3-[[2-[(4-pyridinylmethyl)amino]benzoyl]amino]-,
 methyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & O \\ \hline C & NH & CH_2 - C-OMe \\ \hline NH-CH_2 & N & CH_2-C-OMe \\ \hline \end{array}$$

RN 269391-63-1 CAPLUS

CN Benzamide, N-(4-phenoxyphenyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 48 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:335387 CAPLUS

DOCUMENT NUMBER: 132:334364

TITLE: Preparation of anthranilic acid amides as vascular

endothelial growth factor receptor inhibitors.

INVENTOR(S): Huth, Andreas; Seidelmann, Dieter; Thierauch,

Karl-Heinz; Bold, Guido; Manley, Paul William; Furet,

Pascal; Wood, Jeanette Marjorie; Mestan, Jurgen; Bruggen, Jose; Ferrari, Stefano; Kruger, Martin; Ottow, Eckhard; Menrad, Andreas; Schirner, Michael

PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany; Novartis

Aktiengesellschaft

SOURCE: PCT Int. Appl., 96 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 2000027819	A2 20000518	WO 1999-EP8478	19991109
WO 2000027819	A3 20000817		
W: AE, AL, AM,	AT, AU, AZ, BA,	BB, BG, BR, BY, CA, CH,	CN, CR, CU,
CZ, DE, DK,	DM, EE, ES, FI,	GB, GD, GE, GH, GM, HR,	HU, ID, IL,
IN, IS, JP,	KE, KG, KP, KR,	KZ, LC, LK, LR, LS, LT,	LU, LV, MA,
MD, MG, MK,	MN, MW, MX, NO,	NZ, PL, PT, RO, RU, SD,	SE, SG, SI,
SK, SL, TJ,	TM, TR, TT, TZ,	UA, UG, US, UZ, VN, YU,	ZA, ZW

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RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
             DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
             CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
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                           C2
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                                             CA 1999-2350208
     CA 2350208
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                                                                      19991109
     BR 9915553
                           Α
                                 20010814
                                             BR 1999-15553
                                                                      19991109
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     EP 1129074
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            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
     TR 200101307
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PRIORITY APPLN. INFO.:
                                             GB 1998-24579
                                                                      19981110
                                             DE 1999-19910396
                                                                  Α
                                                                      19990303
                                             WO 1999-EP8478
                                                                  W
                                                                      19991109
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OTHER SOURCE(S):

MARPAT 132:334364

GΙ

AB Title compds. [I; A = NR2; W = O, S, H2, NR8; Z = NR10, N, NR10(CH2)q, alkyl, etc.; q = 1-6; AZR1 = tetrahydroisoquinolinyl, indazolyl, 5-chloroindolyl, etc.; R1 = (substituted) aryl, heteroaryl; R2 = H, alkyl; R3 = (substituted) mono- or bicyclic aryl, heteroaryl; R4-R7 = H, halo, (substituted) alkoxy, alkyl, carboxyalkyl; R5R6 = dioxetanyl; R8, R10 = H, alkyl]. Thus, Me N-(4-pyridylmethyl)anthranilate (preparation given) was stirred with Ph(CH2)3NH2 and Me3Al were stirred in PhMe to give N-(3-phenylprop-1-yl)-N2-(4-pyridylmethyl)anthranilamide. The latter inhibited VEGFR I with IC50 = 0.05 μM.

IT 267891-06-5P 267891-12-3P 267891-15-6P 267891-19-0P 267891-20-3P 267891-23-6P 267891-24-7P 267891-25-8P 267891-26-9P 267891-29-2P 267891-31-6P 267891-32-7P 267891-33-8P 267891-35-0P 267891-36-1P 267891-39-4P 267891-40-7P 267891-41-8P 267891-42-9P 267891-43-0P 267891-44-1P 267891-45-2P 267891-46-3P 267891-47-4P 267891-48-5P 267891-49-6P 267891-50-9P 267891-51-0P 267891-52-1P 267891-53-2P 267891-55-4P 267891-56-5P 267891-57-6P 267891-58-7P 267891-59-8P 267891-64-5P 267891-65-6P 267891-66-7P 267891-67-8P 267891-68-9P 267891-69-0P 267891-70-3P 267891-72-5P 267891-73-6P 267891-74-7P

267891-75-8P 267891-76-9P 267891-77-0P 267891-78-1P 267891-79-2P 267891-80-5P 267891-81-6P 267891-82-7P 267891-83-8P 267891-84-9P 267891-85-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of anthranilic acid amides as VEGF receptor inhibitors)

RN 267891-06-5 CAPLUS

CN Benzamide, N-(2,3-dihydro-1H-inden-2-yl)-2-[(4-pyridinylmethyl)amino]-(9CI) (CA INDEX NAME)

RN 267891-12-3 CAPLUS

CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-(1,2,3,4-tetrahydro-6,7-dimethoxy-2-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 267891-15-6 CAPLUS

CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-(1,2,3,4-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 267891-19-0 CAPLUS

CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-5-quinolinyl- (9CI) (CA INDEX NAME)

RN 267891-20-3 CAPLUS

CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-3-quinolinyl- (9CI) (CA INDEX NAME)

RN 267891-23-6 CAPLUS

CN Benzamide, N-1-isoquinolinyl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 267891-24-7 CAPLUS

CN Benzamide, N-(5-chloro-2-pyrimidinyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 267891-25-8 CAPLUS

CN Benzamide, N-[1-[(1-bromo-3-isoquinolinyl)amino]-3-isoquinolinyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 267891-26-9 CAPLUS

CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-8-quinolinyl- (9CI) (CA INDEX NAME)

RN 267891-29-2 CAPLUS

CN Benzamide, N-2-pyridinyl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 267891-31-6 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 267891-32-7 CAPLUS

CN Benzamide, N-3-pyridinyl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 267891-33-8 CAPLUS

CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-[5-(trifluoromethyl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 267891-35-0 CAPLUS

CN Benzamide, N-(5-phenyl-1H-pyrazol-3-yl)-2-[(4-pyridinylmethyl)amino]-(9CI) (CA INDEX NAME)

RN 267891-36-1 CAPLUS

CN Benzamide, N-(trans-4-phenylcyclohexyl)-2-[(4-pyridinylmethyl)amino]-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 267891-39-4 CAPLUS

CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-(1,2,3,4-tetrahydro-7-quinolinyl)- (9CI) (CA INDEX NAME)

RN 267891-40-7 CAPLUS

CN Benzamide, N-(2-phenylcyclohexyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 267891-41-8 CAPLUS

CN Benzamide, N-(2,3-dihydro-1,4-benzodioxin-6-yl)-2-[(4-pyridinylmethyl)amino]-(9CI) (CA INDEX NAME)

RN 267891-42-9 CAPLUS

CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-6-quinolinyl- (9CI) (CA INDEX NAME)

RN 267891-43-0 CAPLUS

CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-2-quinolinyl- (9CI) (CA INDEX NAME)

RN 267891-44-1 CAPLUS

CN Benzamide, N-3-isoquinolinyl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 267891-45-2 CAPLUS

CN Benzamide, N-1H-indol-5-yl-2-{(4-pyridinylmethyl)amino}- (9CI) (CA INDEX NAME)

RN 267891-46-3 CAPLUS

CN Benzamide, N-(3-methyl-2-quinolinyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 267891-47-4 CAPLUS

CN Benzamide, N-(6-chloro-3-methyl-2-quinolinyl)-2-[(4-pyridinylmethyl)amino]-(9CI) (CA INDEX NAME)

RN 267891-48-5 CAPLUS

CN Benzamide, N-(7-chloro-3-methyl-2-quinolinyl)-2-[(4-pyridinylmethyl)amino]-(9CI) (CA INDEX NAME)

RN 267891-49-6 CAPLUS

CN Benzamide, N-(6-fluoro-3-methyl-2-quinolinyl)-2-[(4-pyridinylmethyl)amino]-(9CI) (CA INDEX NAME)

RN 267891-50-9 CAPLUS

CN Benzamide, N-(7-fluoro-3-methyl-2-quinolinyl)-2-[(4-pyridinylmethyl)amino]-(9CI) (CA INDEX NAME)

RN 267891-51-0 CAPLUS

CN Benzamide, N-(6-methoxy-3-methyl-2-quinolinyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 267891-52-1 CAPLUS

CN Benzamide, N-(7-methoxy-3-methyl-2-quinolinyl)-2-[(4-pyridinylmethyl)amino]-(9CI) (CA INDEX NAME)

RN 267891-53-2 CAPLUS

CN Benzamide, N-(5-chloro-2-quinazolinyl)-2-[(4-pyridinylmethyl)amino]- (9CI)
(CA INDEX NAME)

RN 267891-55-4 CAPLUS

CN Benzamide, 2-chloro-6-[(4-pyridinylmethyl)amino]-N-2-quinolinyl- (9CI) (CA INDEX NAME)

RN 267891-56-5 CAPLUS

CN Benzamide, 5-chloro-2-[(4-pyridinylmethyl)amino]-N-2-quinolinyl- (9CI) (CA INDEX NAME)

RN 267891-57-6 CAPLUS

CN Benzamide, 4-fluoro-N-3-isoquinolinyl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 267891-58-7 CAPLUS

CN Benzamide, 4-chloro-2-[(4-pyridinylmethyl)amino]-N-2-quinolinyl- (9CI) (CA INDEX NAME)

RN 267891-59-8 CAPLUS

CN Benzamide, 4,5-difluoro-N-3-isoquinolinyl-2-[(4-pyridinylmethyl)amino]-(9CI) (CA INDEX NAME)

RN 267891-64-5 CAPLUS

CN Benzamide, 2-[[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]amino]-N-3-isoquinolinyl- (9CI) (CA INDEX NAME)

RN 267891-65-6 CAPLUS

CN Benzamide, N-3-isoquinolinyl-2-[(4-pyrimidinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 267891-66-7 CAPLUS

CN Benzamide, 5-fluoro-N-3-isoquinolinyl-2-[(4-pyrimidinylmethyl)amino]-(9CI) (CA INDEX NAME)

RN 267891-67-8 CAPLUS

CN Benzamide, 4-fluoro-N-1H-indazol-5-yl-2-[(4-pyrimidinylmethyl)amino]-(9CI) (CA INDEX NAME)

RN 267891-68-9 CAPLUS

CN Benzamide, N-1H-indazol-5-yl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 267891-69-0 CAPLUS

CN Benzamide, N-1H-benzimidazol-2-yl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 267891-70-3 CAPLUS

CN Benzamide, N-6-benzothiazolyl-5-fluoro-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 267891-72-5 CAPLUS

10615809.trn

CN Benzamide, N-(5,6-dimethyl-1H-benzimidazol-2-yl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} CH_2-NH \\ N & NH-C \\ N & O \\ \end{array}$$

RN 267891-73-6 CAPLUS

CN Benzamide, N-6-benzothiazolyl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 267891-74-7 CAPLUS

CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-[6-(trifluoromethoxy)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)

RN 267891-75-8 CAPLUS

CN Benzamide, N-1H-indazol-6-yl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 267891-76-9 CAPLUS

CN Benzamide, N-4-pyridinyl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 267891-77-0 CAPLUS

CN Benzamide, N-(1-methyl-1H-benzimidazol-2-yl)-2-[(4-pyridinylmethyl)amino]-(9CI) (CA INDEX NAME)

RN 267891-78-1 CAPLUS

CN Benzamide, N-(6-chloro-2-benzothiazolyl)-2-[(4-pyridinylmethyl)amino]-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} CH_2-NH \\ N \\ NH-C \\ \\ S \\ O \\ \end{array}$$

RN 267891-79-2 CAPLUS

CN Benzamide, N-1H-benzimidazol-5-yl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 267891-80-5 CAPLUS

CN Benzamide, N-(6-fluoro-2-benzothiazolyl)-2-[(4-pyridinylmethyl)amino]-(9CI) (CA INDEX NAME)

RN 267891-81-6 CAPLUS

CN Benzamide, N-2-benzothiazolyl-5-chloro-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 267891-82-7 CAPLUS

CN Benzamide, 5-fluoro-N-1H-indazol-5-yl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 267891-83-8 CAPLUS

CN Benzamide, 5-chloro-N-1H-indazol-5-yl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 267891-84-9 CAPLUS

CN Benzamide, N-2-benzothiazolyl-5-fluoro-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 267891-85-0 CAPLUS

CN Benzamide, N-6-benzothiazolyl-5-chloro-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

IT 267891-96-3 267891-98-5 267891-99-6

267892-02-4 267892-04-6 267892-09-1

267892-11-5 267892-14-8 267892-15-9

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation of anthranilic acid amides as VEGF receptor inhibitors)

RN 267891-96-3 CAPLUS

CN Benzamide, N-(5-chloro-2,3-dihydro-1H-inden-1-yl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 267891-98-5 CAPLUS

CN Benzamide, N-2,1,3-benzothiadiazol-5-yl-2-[(4-pyridinylmethyl)amino]-(9CI) (CA INDEX NAME)

RN 267891-99-6 CAPLUS

CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-[(1R)-1,2,3,4-tetrahydro-1-naphthalenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 267892-02-4 CAPLUS

CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-[(1S)-1,2,3,4-tetrahydro-1-naphthalenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 267892-04-6 CAPLUS

CN Benzamide, N-5-isoquinolinyl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 267892-09-1 CAPLUS

CN Benzamide, 5-fluoro-N-3-isoquinolinyl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 267892-11-5 CAPLUS

CN Benzamide, 5-chloro-N-3-isoquinolinyl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 267892-14-8 CAPLUS

CN Benzamide, N-2-benzothiazolyl-4-fluoro-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 267892-15-9 CAPLUS

CN Benzamide, N-(4-chloro-2-benzothiazolyl)-2-[(4-pyridinylmethyl)amino]-(9CI) (CA INDEX NAME)

IT 267891-90-7

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of anthranilic acid amides as VEGF receptor inhibitors)

RN 267891-90-7 CAPLUS

CN Benzamide, 2-[[(1,2-dihydro-2-oxo-4-pyridinyl)methyl]amino]-N-3-isoquinolinyl- (9CI) (CA INDEX NAME)

L4 ANSWER 49 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:409260 CAPLUS

DOCUMENT NUMBER: 131:73440

TITLE: Preparation of aromatic amide derivatives as ACC

inhibitor

INVENTOR(S):
Igawa, Hiroshi; Nishimura, Masato; Okada, Keiji;

Nakamura, Takashi

PATENT ASSIGNEE(S): Fujirebio, Inc., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 72 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 11171848	A2	19990629	JP 1998-270721	19980925
PRIORITY APPLN. INFO.:			JP 1997-277942 A	19970926

OTHER SOURCE(S): MARPAT 131:73440

GI

Title compds. [I; R = 3-CF3C6H4, C6H5(CH2)2, C6H5, CH3(CH2)5, CH3(CH2)3, CH3(CH2)2, CH3CH2, CH3, C6H5(CH2)3, etc.; R1 = H, CH3(CH2)4, 5-CH3(CH2)5CC, 5-CH3CH2CC, 5-(CH3)3CCC, 4-C6H5CH2O, 4-C6H5CC, 3-C6H5CC, 3-C6H5CC, 3-(4-NO2C6H4)CC, 3-(4-NCC6H4)CC, 3-(4-HOC6H4)CC, etc.; R2 = 5-OH, 5-Cl, 5-OMe, 5-Me, 5-Br, etc.; R3 = H, CH3, etc.; R4 = CO2H, AcNHSO2, CH3(CH2)4CONHSO2, 4-CF3C6H4CONHSO2, PHCONHSO2, (CH3)3CONHSO2, CH3(CH2)2NHCONHSO2, etc.; X = CH, N; dotted bond = single, double] are prepared and tested as ACC (acetyl-CoA carboxylase) inhibitors in treatment of lipids oxidation related diseases, such as myocardial infarction, cerebral infarction, and diabetes. The title compound I (R = 3-CF3C6H4; R1 = H; R2 = H; R3 = H; X = CH; dotted bonds were double bonds) was prepared with 72% yield from 3-EtO2CC6H4NH2 and 3-(2-HO2CC6H4NH)C6H4CF3.

IT 228580-72-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of aromatic amide derivs. as ACC inhibitor)

RN 228580-72-1 CAPLUS

CN Benzoic acid, 2-[[4-(phenylethynyl)-2-[(phenylmethyl)amino]benzoyl]amino](9CI) (CA INDEX NAME)

IT 228580-60-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of aromatic amide derivs. as ACC inhibitor)

RN 228580-60-7 CAPLUS

IT 228580-61-8P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of aromatic amide derivs. as ACC inhibitor)

RN 228580-61-8 CAPLUS

CN Benzoic acid, 2-[[2-[(phenylmethyl)amino]benzoyl]amino]- (9CI) (CA INDEX NAME)

ANSWER 50 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:236274 CAPLUS

DOCUMENT NUMBER: 128:282780

TITLE: Preparation of heterocyclic inhibitors of microsomal

triglyceride transfer protein

INVENTOR(S): Biller, Scott A.; Dickson, John K.; Lawrence, R.

Michael; Magnin, David R.; Poss, Michael A.; Sulsky,

Richard B.; Tino, Joseph A.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Co., USA

SOURCE: U.S., 185 pp., Cont.-in-part of U.S. Ser. No. 391,901,

abandoned.
CODEN: USXXAM

Page 437

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

		ENT NO.								PLICATION				ATE		
		 5739135			A	•	199804	414	US	1995-472 1993-209	067		19	9506	506	
	CA 2	2091102 57962			AA		19930	907	CA	1993-209	1102		19	9303	305	
					A2		19950	529	HU	1993-627			19	9303	305	
	HU 2	218419			В		200008	828		1993-464 1993-103						
	JP (06038761			A2		19940	215	JP	1993-464	99		19	9303	308	
	EP 5	584446			A2		19940	302	EP	1993-103	697		19	9303	808	
		584446			A3		199504	426								
	EP 5	584446			B1		20020	619								
		R: AT,	BE,	CH,	DE,	DK.	, ES, 1	FR,	GB, G	R, IE, IT	, LI,	LU,	MC,	NL,	PT,	SE
	AT 2	219514			E		20020	715	AT	1993-103	697		19	9303	808	
	PT S	584446			T		20020	930	PT	1993-103	697		19	9303	808	
	ES 2	2178640			Т3		20030	101	ES	1993-103	697		19	9303	808	
	AU 6	570930			B2		19960	808	AU	1993-340 1993-117	64		19	9303	309	
	AU 9	9334064			A1		19930	909								
		5595872			Α		19970	121	US	1993-117	362		19	9309	903	
	US 5	5789197			Α		19980	804	US	1995-486	924		19	9506	507	
	US 6	6492365			B1		20021	210	US	1995-486 1996-548 1996-116	929		19	9506	507	
	US 5	5712279			Α		19980	127	US	1996-548	811		19	9601	111	
	IL 3	116917			A1		20000	831	$_{ m IL}$	1996-116	917		19	9601	126	
					В		20020	511	TW	1996-851	00978		19	9601	L26	
		2213466			AA		19960	829	CA	1996-221 1996-US8	3466		19	9602	201	
	WO S	9626205			A1		19960	829	WO	1996-US8	24		19	99602	201	
								FI,	GE, H	U, JP, KR	, LT,	LV,	MX,	NO,	NZ,	
							, UA									
		RW: AT,	BE,	CH,	DE,	DK.	, ES, 1	FR,	GB, G	R, IE, IT	, LU,	MC,	NL,	PT,	SE	
	AU 9	9647631			A1		19960	911	AU	1996-476 1996-192	31		19	99602	201	
	AU 6	599865			B2		19981	217								
	CN 1	1176640			A		19980	318	CN	1996-192	015		19	99602	201	
		1108301			В		20030	514								
		886637			A1		19981	230	EP	1996-903	604		19	99602	201	
		386637														
		R: AT,	BE,	CH,	DE,	DK.	, ES, 1	FR,	GB, G	R, IT, LI	, ш,	NL,	SE,	MC,	PT,	ΤE
		11500442			12		19990.	112	25	1996-525 1996-302 1996-322 1996-903	6/9		13	99602	707	
		302055			A		20000	228	NZ	1996-302	055		17	99602	107	
	PL .	185443			B.T		20030	530	PL	1996-322	003		17	39602	201	
		283851			E		20041	215	AT	1996-903	604		13	77604	201	
		2233961			13		10070	011	27	1996-903	004		13	33604	70T	
		9601340			A A		10000	31 <i>C</i>	LA	1996-903 1996-134 1997-896 1997-898	077		10	22002	22U 721	
		5883099			A.		20000	202	110	1007.000	204		3.0	7 <i>7</i> / U .	721 721	
		5034098 5066650			A		20000	507	110	1997-898	202		10	970	721	
		9703416			A		19970			1997-341				9708		
		9703416 9703821			A		19970			1997-341				99708		
	LT 4				В		19980			1997-362				9709		
		11951			В		19981			1997-171				99709		
		200316659	an.		A1		20030			2001-933				0108		
pp⊤∩		APPLN.]		. :	1.J.T.		20050.	- 0 7		1993-117			A2 19			
11110				• •						1994-284			B2 19			
										1995-391			B2 19			
										1992-847				9203		
										1993-154			B2 19			
										1995-472			A2 19			
										1995-486			A3 19			

OTHER SOURCE(S): GT

MARPAT 128:282780

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

The title compds. [I-V; Q = C(O), S(O)2; X = CHR8, C(O), CHR9CHR10,AB CR9:CR10 (wherein R8-R10 = H, alkyl, alkenyl, etc.); Y = (CH2)m, C(O) (m = 2-3); R1 = alkyl, alkenyl, alkynyl, etc.; R2-R4 = H, halo, alkyl, etc.; R5 = alkyl, alkenyl, alkynyl, etc.; R6 = H, C1-4 alkyl, C1-4 alkenyl] which inhibit microsomal triglyceride transfer protein and thus are useful for lowering serum lipids and treating atherosclerosis and related diseases such as hyperglycemia and obesity, were prepared Thus, reaction of 1-(3,3-diphenylpropyl)-4-piperidinamine.HCl (preparation described) with benzoyl chloride in the presence of Et3N in CH2Cl2 afforded 84% the title compound III.HCl [Q = C(O); R1 = 3,3-diphenylpropyl; R5 = Ph; R6 = H]. Compds. I-V are effective at 5-500 mg/day.

IT 182429-79-4P

> RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterocyclic inhibitors of microsomal triglyceride transfer protein)

RN 182429-79-4 CAPLUS

9H-Fluorene-9-carboxamide, 9-[4-[4-[[2-[(phenylmethyl)amino]benzoyl]amino]-CN 1-piperidinyl]butyl]-N-(2,2,2-trifluoroethyl)- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

$$F_3C-CH_2-NH-C$$

REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 51 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1997:265454 CAPLUS

DOCUMENT NUMBER: 126:277494

TITLE: Preparation of piperazinylbenzamides,

piperidylbenzamides, and analogs thereof as

inflammation and allergy inhibitors

INVENTOR(S): Kawagoe, Keiichi; Shidonii, Kurifuoodo Baafuoodo;

Yokohama, Shuichi; Miwa, Tamotsu; Nakajima, Hiroto;

Tsukada, Wataru

PATENT ASSIGNEE(S): Daiichi Seiyaku Co, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 67 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09059236	A2	19970304	JP 1995-214431	19950823
PRIORITY APPLN. INFO.:			JP 1995-214431	19950823
OTHER SOURCE(S):	MARPAT	126:277494		

GI

AB The title compds. I [R1 = halo, etc.; R2 = halo, nitro, etc.; A = C(:Z)NR3R4, etc.; Z = O, etc.; R3 = (un)substituted aromatic hydrocarbon, etc.; R4 = H, etc.] are prepared N-(4-Chlorophenyl)-3-(4-methyl-1-piperazinyl)-2-nitrobenzamide at 50 mg/kg orally gave 79% inhibition of adjuvant arthritis in rats.

IT 188602-70-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of piperazinylbenzamides, piperidylbenzamides, and analogs thereof as inflammation and allergy inhibitors)

RN 188602-70-2 CAPLUS

CN Benzamide, 3-chloro-N-(4-chlorophenyl)-2-[(2-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

ANSWER 52 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

1996:641305 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 125:275663

Preparation of 9-(piperidinoalkyl)fluorene-9-TITLE:

carboxamides and analogs as microsomal triglyceride

transfer protein inhibitors

Wetterau, John R. II; Sharp, Daru Young; Gregg, INVENTOR(S):

Richard E.; Biller, Scott A.; Dickson, John A.; Lawrence, R. Michael; Magnin, David R.; Poss, Michael

A.; Robl, Jeffrey A.; et al.

Bristol-Myers Squibb Company, USA PATENT ASSIGNEE(S):

PCT Int. Appl., 427 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	ENT NO.			KIN	D DATE	APPLICATION NO. DATE
WO	9626205			A1	19960829	WO 1996-US824 19960201
						GE, HU, JP, KR, LT, LV, MX, NO, NZ,
	PL,	RO,	RU,	SG,	SK, UA	
	RW: AT	BE,	CH,	DE,	DK, ES, FR,	GB, GR, IE, IT, LU, MC, NL, PT, SE
CA	2091102			AA	19930907	CA 1993-2091102 19930305 HU 1993-627 19930305
HU	67962			A2	19950529	HU 1993-627 19930305
HU :	218419			В	20000828	
						JP 1993-46499 19930308
						EP 1993-103697 19930308
EP .	584446			A3	19950426 20020619	
						GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
AT :	219514			E	20020715	AT 1993-103697 19930308
PT!	584446			T	20020930	AT 1993-103697 19930308 PT 1993-103697 19930308 ES 1993-103697 19930308
ES :	2178640			T3	20030101	ES 1993-103697 19930308
AU (670930			B2	19960808	AU 1993-34064 19930309
	9334064			A1	19930909	
	5739135			Α	19980414	US 1995-472067 19950606
						AU 1996-47631 19960201
					19981217	
EP	886637			A1	19981230	EP 1996-903604 19960201
					20041201	
						GB, GR, IT, LI, LU, NL, SE, MC, PT, IE
JP :	11500442	!		T2	19990112	JP 1996-525679 19960201 NZ 1996-302055 19960201
NZ :	302055			Α	20000228	NZ 1996-302055 19960201
PL :	185443			B1	20030530	PL 1996-322003 19960201
AT :	283851			E	20041215	AT 1996-903604 19960201 ZA 1996-1340 19960220
ZA :	9601340			Α	19970911	ZA 1996-1340 19960220

FI 9703416	A	19970820	FI 1997-3416	1997082
NO 9703821	Α	19970820	NO 1997-3821	1997082
LT 4367	В	19980825	LT 1997-152	1997091
PRIORITY APPLN. I	NFO.:		US 1995-391901	A 1995022
			US 1995-472067	A 1995060
			US 1992-847503	A 1992030
			US 1993-117362	A2 1993090
			US 1994-284808	B2 1994080
			WO 1996-US824	W 1996020

OTHER SOURCE(S): MARPAT 125:275663

GI

R5Z3NRR6 [R = piperidyl group Q1; R5 = alkyl, alkoxy, (hetero)aryl, etc.; AB R6 = H, alk(en)yl; R5R6 = atoms to form a benzanellated ring; Z3 = CO or SO2; 1 of Z4, Z5 = NR1 and the other = CH2; R1 = e.g., (un)substituted aryl group Q2; R12 = H, (halo)alkyl, heteroaryl, etc.; Z = bond, O, S, alkylimino, etc.; Z1,Z2 = bond, O, S00-2, CO, etc.; Z11 = bond, alkylene, arylene, etc.] were prepared as microsomal triglyceride transfer protein inhibitors (no data). Thus, N-propyl-9-fluorenecarboxamide (preparation given) was alkylated by I(CH2)40SiMe2CMe3 (preparation given) and the deprotected and iodinated product aminated by 2-(4-piperidinyl)-2,3-dihydro-1H-isoindol-1one (preparation given) to give title compound I. IT

182429-79-4P 182433-96-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 9-(piperidinoalkyl)fluorene-9-carboxamides and analogs as microsomal triglyceride transfer protein inhibitors)

RN 182429-79-4 CAPLUS

9H-Fluorene-9-carboxamide, 9-[4-[4-[[2-[(phenylmethyl)amino]benzoyl]amino]-CN 1-piperidinyl]butyl]-N-(2,2,2-trifluoroethyl)- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

$$F_3C-CH_2-NH-C$$

RN 182433-96-1 CAPLUS
CN 9H-Fluorene-9-carboxamide, 9-[4-[1-oxido-4-[[2[(phenylmethyl)amino]benzoyl]amino]-1-piperidinyl]butyl]-N-(2,2,2trifluoroethyl)- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

$$_{1}^{O}$$
 F₃C-CH₂-NH-C

ANSWER 53 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1992:571361 CAPLUS

DOCUMENT NUMBER:

117:171361

TITLE:

Synthesis of biologically active 4(3H)-quinazolinonium

AUTHOR(S):

perchlorates

Chernobrovin, N. I.; Kozhevnikov, Yu. V.; Morozova, G. E.; Chernobrovina, T. A.

CORPORATE SOURCE:

Perm. Farm. Inst., Perm, Russia

SOURCE:

Khimiko-Farmatsevticheskii Zhurnal (1992), 26(3),

48-51

CODEN: KHFZAN; ISSN: 0023-1134

DOCUMENT TYPE:

Journal Russian

LANGUAGE: GI

$$\begin{array}{c} O \\ N \\ + N \\ CH_2 \\ R1 \end{array} \begin{array}{c} O \\ R \end{array} \begin{array}{c} C104 \\ \\ R2 \end{array}$$

AB Title salts I (R = H, 3-Me, 4-Me, 4-MeO, 4-Cl; Rl = OMe, R2 = H; Rl = H, R2 = OMe) were prepared by condensation of anthranilanilides with dimethoxybenzaldehydes, followed by borohydride reduction of the imine group, N-acetylation, and acid cyclization. The acute toxicity and anticonvulsant, analgesic, and antimicrobial activities of some I were tested.

Ι

RN 139602-64-5 CAPLUS

CN Benzamide, 2-[[(2,4-dimethoxyphenyl)methyl]amino]-N-phenyl- (9CI) (CA INDEX NAME)

RN 139602-66-7 CAPLUS

CN Benzamide, 2-[[(2,4-dimethoxyphenyl)methyl]amino]-N-(3-methylphenyl)-(9CI) (CA INDEX NAME)

RN 139602-67-8 CAPLUS

CN Benzamide, 2-[[(2,4-dimethoxyphenyl)methyl]amino]-N-(4-methylphenyl)-(9CI) (CA INDEX NAME)

RN 139602-68-9 CAPLUS

CN Benzamide, N-(4-chlorophenyl)-2-[[(2,4-dimethoxyphenyl)methyl]amino]-(9CI) (CA INDEX NAME)

RN 139602-69-0 CAPLUS

CN Benzamide, 2-[[(3,4-dimethoxyphenyl)methyl]amino]-N-phenyl- (9CI) (CA INDEX NAME)

RN 139602-71-4 CAPLUS

CN Benzamide, 2-[[(3,4-dimethoxyphenyl)methyl]amino]-N-(3-methylphenyl)(9CI) (CA INDEX NAME)

RN 139602-72-5 CAPLUS

CN Benzamide, 2-[[(3,4-dimethoxyphenyl)methyl]amino]-N-(4-methylphenyl)-(9CI) (CA INDEX NAME)

RN 139602-73-6 CAPLUS

CN Benzamide, N-(4-chlorophenyl)-2-[[(3,4-dimethoxyphenyl)methyl]amino]-(9CI) (CA INDEX NAME)

RN 143424-22-0 CAPLUS

CN Benzamide, 2-[[(2,4-dimethoxyphenyl)methyl]amino]-N-(4-methoxyphenyl)-(9CI) (CA INDEX NAME)

L4 ANSWER 54 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1992:128388 CAPLUS

DOCUMENT NUMBER:

116:128388

TITLE:

Arylamides of N-(p-2',4'- or -3',4'-

dimethoxybenzyl) anthranilic acid

INVENTOR(S):

Chernobrovin, N. I.; Kozhevnikov, Yu. V.; Zalesov, V.

S.; Semenova, Z. N.

PATENT ASSIGNEE(S):

Perm Pharmaceutical Institute, USSR

SOURCE:

U.S.S.R. From: Otkrytiya, Izobret. 1991, (28), 258.

CODEN: URXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

Russian

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
SU 1156362	A1	19910730	SU 1983-3573020	19830217
PRIORITY APPLN. INFO.:			SU 1983-3573020	19830217
GI				

AB The title compds. (I; R = H, Me, p-Cl; R1 o-OMe, m-OMe) are intermediates for biol. active 1-(2',4'- or -3',4'-dimethoxybenzyl)-2-methyl-3-aryl-4-(3H)-quinazolinonium perchlorates.

IT 139602-64-5 139602-65-6 139602-66-7 139602-67-8 139602-68-9 139602-69-0 139602-70-3 139602-71-4 139602-72-5

139602-73-6

RL: RCT (Reactant); RACT (Reactant or reagent)

(intermediate for quinazolinonium perchlorate derivs.)

RN 139602-64-5 CAPLUS

CN Benzamide, 2-[[(2,4-dimethoxyphenyl)methyl]amino]-N-phenyl- (9CI) (CA INDEX NAME)

RN 139602-65-6 CAPLUS

CN Benzamide, 2-[[(2,4-dimethoxyphenyl)methyl]amino]-N-(2-methylphenyl)(9CI) (CA INDEX NAME)

RN 139602-66-7 CAPLUS

CN Benzamide, 2-[[(2,4-dimethoxyphenyl)methyl]amino]-N-(3-methylphenyl)-(9CI) (CA INDEX NAME)

RN 139602-67-8 CAPLUS

CN Benzamide, 2-[[(2,4-dimethoxyphenyl)methyl]amino]-N-(4-methylphenyl)-(9CI) (CA INDEX NAME)

RN 139602-68-9 CAPLUS

CN Benzamide, N-(4-chlorophenyl)-2-[[(2,4-dimethoxyphenyl)methyl]amino]-(9CI) (CA INDEX NAME)

RN 139602-69-0 CAPLUS

CN Benzamide, 2-[[(3,4-dimethoxyphenyl)methyl]amino]-N-phenyl- (9CI) (CA INDEX NAME)

RN 139602-70-3 CAPLUS

CN Benzamide, 2-[[(3,4-dimethoxyphenyl)methyl]amino]-N-(2-methylphenyl)-(9CI) (CA INDEX NAME)

RN 139602-71-4 CAPLUS

CN Benzamide, 2-[[(3,4-dimethoxyphenyl)methyl]amino]-N-(3-methylphenyl)-(9CI) (CA INDEX NAME)

RN 139602-72-5 CAPLUS

CN Benzamide, 2-[[(3,4-dimethoxyphenyl)methyl]amino]-N-(4-methylphenyl)(9CI) (CA INDEX NAME)

RN 139602-73-6 CAPLUS

CN Benzamide, N-(4-chlorophenyl)-2-[[(3,4-dimethoxyphenyl)methyl]amino](9CI) (CA INDEX NAME)

L4 ANSWER 55 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1984:611088 CAPLUS

DOCUMENT NUMBER: 101:211088

TITLE: Studies of 4[3H]-quinazolone. XII. Synthesis and

biological activity of 1-benzyl(4'-nitrobenzyl)-2-methyl-3-alkyl(aryl)-4(3H)-quinazolinone perchlorates

AUTHOR(S): Chernobrovin, N. I.; Kozhevnikov, Yu. V.; Zalesov, V.

S.; Gradel, I. I.

CORPORATE SOURCE: Perm. Farm. Inst., Perm, USSR

SOURCE: Khimiko-Farmatsevticheskii Zhurnal (1984), 18(7),

830-3

CODEN: KHFZAN; ISSN: 0023-1134

DOCUMENT TYPE: Journal LANGUAGE: Russian

GI

The title compds. I (R = 2,4-xylyl, 4-MeOC6H4, Bu, hexyl, R1 = H; R = 4-MeOC6H4, 4-EtoC6H4, R1 = NO2) were prepared in 58.6-83.4% yields by acetylation of o-RNHCOC6H4NR2CH2C6H4R1-p (II, R2 = H) to give 61.3-98.1% II (R2 = Ac) which were cyclized by refluxing in MeOH containing 57% HClO4. (R = 4-MeOC6H4, R1 = NO2) was an effective antispasmodic for white mice at 150 mg/kg dosage.

IT 92944-76-8P 92944-77-9P 92944-78-0P 92944-79-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and acetylation of)

RN 92944-76-8 CAPLUS

CN Benzamide, N-(2,4-dimethylphenyl)-2-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 92944-77-9 CAPLUS

CN Benzamide, N-(4-methoxyphenyl)-2-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 92944-78-0 CAPLUS

CN Benzamide, N-(4-methoxyphenyl)-2-[[(4-nitrophenyl)methyl]amino]- (9CI) (CA INDEX NAME)

RN 92944-79-1 CAPLUS

CN Benzamide, N-(4-ethoxyphenyl)-2-[[(4-nitrophenyl)methyl]amino]- (9CI) (CA INDEX NAME)

CAPLUS COPYRIGHT 2006 ACS on STN ANSWER 56 OF 66

ACCESSION NUMBER: 1984:34516 CAPLUS

DOCUMENT NUMBER: 100:34516

New synthesis of 11-acyl-5,11-dihydro-6H-pyrido[2,3-TITLE:

b] [1,4]benzodiazepin-6-ones and related studies

Kovac, T.; Oklobdzija, M.; Comisso, G.; Decorte, E.; AUTHOR (S):

Fajdiga, T.; Moimas, F.; Angeli, C.; Zonno, F.; Toso,

R.; Sunjic, V.

Chem. Res. Co., San Giovanni, Italy CORPORATE SOURCE:

Journal of Heterocyclic Chemistry (1983), 20(5), SOURCE:

1339-49

CODEN: JHTCAD; ISSN: 0022-152X

DOCUMENT TYPE: Journal LANGUAGE:

English

I

CASREACT 100:34516 OTHER SOURCE(S):

GI

AΒ 11-Acyl-5,11-dihydro-6H-pyrido[2,3-b][1,4]benzodiazepin-6-ones I (R = 4-methylpiperazino, imidazolo, 2-methylimidazolo) were prepared via $N-\alpha$ -chloroacetylation and aminolysis. Other attempts at cyclization to form I are also reported.

88369-73-7P 88369-74-8P IT

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN88369-73-7 CAPLUS

Benzamide, N-(2-chloro-3-pyridinyl)-2-[(phenylmethyl)amino]- (9CI) (CA CN INDEX NAME)

RN 88369-74-8 CAPLUS

CN Benzamide, N-(2-chloro-1-oxido-3-pyridinyl)-2-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)

L4 ANSWER 57 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1983:558250 CAPLUS

DOCUMENT NUMBER: 99:158250

TITLE: Antihypertensive sulfamoylbenzamides PATENT ASSIGNEE(S): Mitsui Toatsu Chemicals, Inc., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 58124766	A2	19830725	JP 1982-4979	19820118
JP 02033030	B4	19900725		
PRIORITY APPLN. INFO.:			JP 1982-4979	19820118
OTHER SOURCE(S):	CASRE	ACT 99:158250		
GI				

$$R$$
 H_2NSO_2
 $CONHN$
 I , $R=NR^1CH_2R^2$
 II , $R=halo$

AB I [R1 = H, (substituted) alkyl; R2 = substituted Ph, (substituted) benzyl] were prepared via condensation of II with HNR1CH2R2. Thus, heating a mixture of 6 g II (R = C1) with 25 mL H2NCH2Ph at 90° for 45 h gave 5 g I

(R1 = H, R2 = Ph). At 30 mg/kg/day p.o. I decreased deoxycorticosterone acetate/saline-induced hypertension (182-195 mmHg) in rats by 9-24% in 5 days.

IT 87445-66-7P 87445-71-4P 87445-72-5P 87445-73-6P 87445-74-7P 87445-75-8P 87445-76-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and antihypertensive activity of)

RN 87445-66-7 CAPLUS

CN Benzamide, 5-(aminosulfonyl)-4-chloro-N-(2,3-dihydro-2-methyl-1H-indol-1-yl)-2-[(phenylmethyl)amino]-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O \\ S - NH_2 \\ O \\ C = O \\ NH \\ N \end{array}$$

RN 87445-71-4 CAPLUS

CN Benzamide, 5-(aminosulfonyl)-4-chloro-2-[[(4-chlorophenyl)methyl]amino]-N-(2,3-dihydro-2-methyl-1H-indol-1-yl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & 0 \\ \parallel & S-NH_2 \\ \hline C=0 \\ NH \\ N \end{array}$$

RN 87445-72-5 CAPLUS

CN Benzamide, 5-(aminosulfonyl)-4-chloro-2-[[(2-chlorophenyl)methyl]amino]-N-(2,3-dihydro-2-methyl-1H-indol-1-yl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O \\ & S - NH_2 \\ \hline \\ CH_2 - NH & O \\ \hline \\ C = O \\ \hline \\ NH \\ N & Me \\ \end{array}$$

RN 87445-73-6 CAPLUS

CN Benzamide, 5-(aminosulfonyl)-4-chloro-2-[[(2,4-dichlorophenyl)methyl]amino]-N-(2,3-dihydro-2-methyl-1H-indol-1-yl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O \\ S - NH_2 \\ \hline \\ C1 & C = O \\ \hline \\ NH \\ N \end{array}$$

RN 87445-74-7 CAPLUS

CN Benzamide, 5-(aminosulfonyl)-4-chloro-2-[[(3,4-dichlorophenyl)methyl]amino]-N-(2,3-dihydro-2-methyl-1H-indol-1-yl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & C1 & 0 \\ S-NH_2 & 0 \\ \hline \\ C=0 \\ NH & Me \\ \end{array}$$

RN 87445-75-8 CAPLUS

CN Benzamide, 5-(aminosulfonyl)-4-chloro-N-(2,3-dihydro-2-methyl-1H-indol-1-yl)-2-[[(3-methylphenyl)methyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|cccc} & C1 & 0 & & \\ & & S - NH_2 & & \\ & & S - NH_2 & & \\ & & & O & & \\ & & & C - O & & \\ & & & & NH & & \\ & & & & & NH & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & \\ & & & \\ & \\ & & \\ & \\ & & \\ & \\ & & \\ & \\ & & \\ & \\ & \\ & \\ & \\ & \\ & \\ & \\ & \\ & \\$$

RN 87445-76-9 CAPLUS

CN Benzamide, 5-(aminosulfonyl)-4-chloro-N-(2,3-dihydro-2-methyl-1H-indol-1-yl)-2-[[(2-methylphenyl)methyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & 0 \\ \parallel & S-NH_2 \\ \hline CH_2-NH & 0 \\ \hline \\ C = 0 \\ \hline NH \\ N & Me \\ \end{array}$$

L4 ANSWER 58 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1980:41519 CAPLUS

DOCUMENT NUMBER: 92:41519

TITLE: Chemistry of salicylic acid and anthranilic acid. IV.

Synthesis of 6-chloro-5-sulfamoyl- and

6-chloro-3-sulfamoylanthranilic acid derivatives

AUTHOR(S): Asakawa, Hiroyuki; Matano, Mitsuo

CORPORATE SOURCE: Chem. Res. Lab., Takeda Chem. Ind., Osaka, 532, Japan

SOURCE: Chemical & Pharmaceutical Bulletin (1979), 27(6),

1287-98

CODEN: CPBTAL; ISSN: 0009-2363

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 92:41519

OTHER SOURCE(S)

GI

AB Title chlorosulfamoylanthranilic acids I (R = PhCH2, Bu, EtOCH2CH2, EtSCH2CH2, HOCH2CH2; R1 = e.g. H, o-tolyl, tetrahydrofuryl, Et2NCH2CH2) and II (R2 = PhCH2, H) were prepared to compare the diuretic activities of the two positional isomers. An o-Cl group to the CO2H enhanced the hypoglycemic activity of anthranilic acids but had no effect on the diuretic activity of sulfamoylanthranilic acids. I had greater diuretic activity than II.

IT 72290-01-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and diuretic activity of)

RN 72290-01-8 CAPLUS

CN Benzamide, 3-(aminosulfonyl)-6-chloro-N-(2-methylphenyl)-2-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)

L4 ANSWER 59 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1977:534708 CAPLUS

DOCUMENT NUMBER: 87:134708

TITLE: Substituted anthranilamides and preparation thereof

INVENTOR(S): Shetty, Bolva V.
PATENT ASSIGNEE(S): Pennwalt Corp., USA

SOURCE: Can., 26 pp.

CODEN: CAXXA4

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE						
	CA 1000736	A1	19761130	CA 1971-103215							
PRIO	RITY APPLN. INFO.:			CA 1971-103215	A 19710120						
AB	Substituted anthran	ilamide	s 5,2,4-(RR	INO2S) (R2HN) ClC6H2CON	HC6H2R3R4R5 (I:						
				alkyl, PhCH2, Ac; R3,							
	alkyl, alkoxy, NH2,	etc.),	useful in	the synthesis of diur	etic						
	1,2,3,4-tetrahydro-	7-chlor	o-3-phenyl-	6-sulfamoyl-4-quinazo	linone derivs.,						
	were prepared by one of several routes. Thus, 2,5-MeClC6H3NH2 was converted										
				tion, oxidation, and							
	treatment with COCl	2-AcOH,	followed by	y 2-MeC6H4NH2, into I	(R = R1 = R2 =						
	R3 = R4 = H, R5 = 2	-Me).									
ΙT	23375-97-5P 28524-7	5-6P 28	524-80-3P								
	31933-24-1P										
	RL: SPN (Synthetic	prepara	tion); PREP	(Preparation)							
	(preparation of)										
RN	23375-97-5 CAPLUS										
CN	Benzamide, 5-(amino	sulfony	1)-4-chloro	-N-(2-methylphenyl)-2	-						
	[(phenylmethyl)amin	o] - (90	(CA IND	EX NAME)							

RN 28524-75-6 CAPLUS

CN Benzamide, 4-chloro-5-[(methylamino)sulfonyl]-N-(2-methylphenyl)-2-

[(phenylmethyl)amino] - (9CI) (CA INDEX NAME)

RN 28524-80-3 CAPLUS

CN Benzamide, 4-chloro-5-[(dimethylamino)sulfonyl]-N-(2-methylphenyl)-2-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 31933-24-1 CAPLUS

CN Benzamide, 5-[[bis(phenylmethyl)amino]sulfonyl]-4-chloro-N-(2-methylphenyl)-2-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)

L4 ANSWER 60 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1977:467996 CAPLUS

DOCUMENT NUMBER: 87:67996

TITLE: Substituted anthranilamides

INVENTOR(S): Shetty, Bolva V.
PATENT ASSIGNEE(S): Pennwalt Corp., USA

SOURCE: Can., 26 pp. CODEN: CAXXA4

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

CA 1000736 19761130 CA 1971-103215 19710120

GI

NHR

C1—CONH—
$$R^3$$
 $R^1R^2NO_2S$
 R^3
 R^4
 R^5

AB Sixteen anthranilamides I (R = H, PhCH2, CH2CH2NEt, CH2CH2OH; R1 = R2 = H, Me, PhCH2, or R1 = H, R2 = Me; R3, R4, R5 independently = H, Me, C1, MeO, SO2NH2, Et, OH), which are useful in the preparation of quinazolinone derivs., were prepared by different routes. Thus, sequential treatment of 5,2,4-(ClO2S)Cl2C6H2CO2H with (PhCH2)2NH, SOCl2, 2-MeC6H4NH2, and PhCH2NH2 gave I (R = R1 = R2 = PhCH2, R3 = 2-Me, R4 = R5 = H).

IT 23375-97-5P 28524-75-6P 28524-80-3P 31933-24-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 23375-97-5 CAPLUS

CN Benzamide, 5-(aminosulfonyl)-4-chloro-N-(2-methylphenyl)-2-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 28524-75-6 CAPLUS

CN Benzamide, 4-chloro-5-[(methylamino)sulfonyl]-N-(2-methylphenyl)-2-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 28524-80-3 CAPLUS

CN Benzamide, 4-chloro-5-[(dimethylamino)sulfonyl]-N-(2-methylphenyl)-2-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 31933-24-1 CAPLUS

CN Benzamide, 5-[[bis(phenylmethyl)amino]sulfonyl]-4-chloro-N-(2-methylphenyl)-2-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)

L4 ANSWER 61 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1973:537186 CAPLUS

DOCUMENT NUMBER: 79:137186

TITLE: 3-Aryl-6-sulfamoyl-7-halo-1,2,3,4-tetrahydro-4-

quinazolinones
INVENTOR(S): Shetty, Bola V.
PATENT ASSIGNEE(S): Pennwalt Corp.
SOURCE: U.S., 15 pp.
CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3761480	Α	19730925	US 1972-235087	19720315
US 3567746	Α	19710302	US 1968-743615	19680710
PRIORITY APPLN. INFO.:			US 1968-743615	A2 19680710
			US 1970-874960	A1 19701107

GI For diagram(s), see printed CA Issue.

AB Cyclization of I by condensation with R1CHO or the acetal gave II with diuretic activity. Thus, PhCH2CH(OMe)2 was reacted with I (R = 2-Me) in HOAc containing H2SO4 and stirred overnight to give II (R = 2-Me, R1 = PhCH2). An addnl. 53 examples are given.

IT 23375-97-5 28524-80-3

RL: RCT (Reactant); RACT (Reactant or reagent)
 (cyclocondensation of, with aldehydes)

RN 23375-97-5 CAPLUS

CN Benzamide, 5-(aminosulfonyl)-4-chloro-N-(2-methylphenyl)-2-

[(phenylmethyl)amino] - (9CI) (CA INDEX NAME)

RN 28524-80-3 CAPLUS

CN Benzamide, 4-chloro-5-[(dimethylamino)sulfonyl]-N-(2-methylphenyl)-2-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)

IT 28524-75-6

RL: RCT (Reactant); RACT (Reactant or reagent)
 (cyclocondensation with aldehydes)

RN 28524-75-6 CAPLUS

CN Benzamide, 4-chloro-5-[(methylamino)sulfonyl]-N-(2-methylphenyl)-2-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)

L4 ANSWER 62 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1971:405517 CAPLUS

DOCUMENT NUMBER: 75:5517

TITLE: Diuretic sulfamoyl o-benzotoluidides

INVENTOR(S):

PATENT ASSIGNEE(S):

SOURCE:

U.S., 7 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE
US 3567746 A 19710302 US 1968-743615 19680710

US 3761480 Α 19730925 US 1972-235087 19720315 US 3862949 Α 19750128 US 1972-315702 19721215 PRIORITY APPLN. INFO.: US 1968-743615 A2 19680710 US 1969-874960 A2 19691107 US 1970-50895 A1 19700629 US 1970-874960 A1 19701107

AB 5-Chloro-o-toluidine with Ac2O gave 5'-chloro-2'-methylacetanilide, which was heated with ClSO3H and NH4OH to give 5'-chloro-2'-methyl-4'-sulfamoylacetanilide (I). I was oxidized with KMnO4 to give N-acetyl-4-chloro-5-sulfamoylanthranilic acid, which was refluxed in aqueous NaOH to give 4-chloro-5-sulfamoylanthranilic acid (II). II in Ac2O was treated with COCl2 to give 7-chloro-6-sulfamoylisatoic anhydride, which was heated with o-toluidine to give 2-amino-4-chloro-5-sulfamoyl-N-o-tolylbenzamide (III). Nine other benzamides were similarly prepared III heated with MeCHO and MeO(CH2)2OMe in DMF gave 2-methyl-3-o-tolyl-6-sulfamoyl-7-chloro-1,2,3,4-tetrahydro-4-quinazolinone (IV). The 2-Ph, 2-Me, and 2-(CH2Cl) analogs of IV were similarly prepared

IT 23375-97-5P 28524-75-6P 28524-80-3P 31933-24-1P

RN 23375-97-5 CAPLUS

CN Benzamide, 5-(aminosulfonyl)-4-chloro-N-(2-methylphenyl)-2-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 28524-75-6 CAPLUS

CN Benzamide, 4-chloro-5-[(methylamino)sulfonyl]-N-(2-methylphenyl)-2-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 28524-80-3 CAPLUS

CN Benzamide, 4-chloro-5-[(dimethylamino)sulfonyl]-N-(2-methylphenyl)-2-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)

31933-24-1 CAPLUS RN

Benzamide, 5-[[bis(phenylmethyl)amino]sulfonyl]-4-chloro-N-(2-CN methylphenyl) -2-[(phenylmethyl)amino] - (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Ph-CH}_2 \\ & \text{Ph-CH}_2 - \text{N} \\ & \text{O} \\ & \text{NH-CH}_2 - \text{Ph} \\ & \text{NH-CH}_2 - \text{Ph} \\ \end{array}$$

ANSWER 63 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

1971:141846 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 74:141846

N- and N, N-Alkyl, -acyl, and -TITLE:

arylsulfamyltetrahydroquinazolinones as diuretics

Shetty, Bola V. U.S., 6 pp. CODEN: USXXAM INVENTOR(S): SOURCE:

Patent DOCUMENT TYPE: English LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE					
	US 3557111	Α	19710119	US 1968-717437	19680329					
	GB 1256969	Α	19711215	GB 1969-1256969	19690320					
PRIO	RITY APPLN. INFO.:			US 1968-717437 A	19680329					
AB	The title tetrahydr	oquinaz	olinones whi	ch have diuretic and sa	aluretic					
	properties, are prepared 5-Chloro-o-toluidine in H2O was stirred 4 hr with									
Ac20 to give 5-chloro-2-methylacetanilide (I), m. 138-9°. I was										
	cautiously added to ClSO3H under N, then NaCl added, the mixture heated 3									
	hr, and treated with NH4OH to give 5-chloro-2-methyl-4-sulfamoylacetamide									
	(II) m. 248-50°. I	I was c	xidized with	n KMnO4 to						
	N-acetyl-4-chloro-5	-sulfam	oylanthranil	lic acid (III), m. 264-6	56°.					
	III refluxed 3 hr w	ith 3N	NaOH, then b	prought to pH 4 with HCl	l gave					
				(IV) m. 275-6°. IV in F						
				satoic acid (V), m. 290-						
				gave 2-amino-4-chloro-5						
				O VI in HOAc was added	•					
	• •									

MeCH(OMe)2 in H2SO4 and the mixture stirred 3.5 hr to give 2-methyl-3-(o-tolyl)-6-sulfamoyl-7-chloro-1,2,3,4-tetrahydro-4-quinazolinone (VII) m. 246-50°. VII in C5H5N, stirred 6 hr with Ac2O gave 7-chloro-6-acetylsulfamoyl-2-methyl-3-(o-tolyl)-1,2,3,4-quinazolinone m. 243-6°. An addnl. 3 examples are described.

IT 28524-75-6P 28524-80-3P 31933-24-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 28524-75-6 CAPLUS

CN Benzamide, 4-chloro-5-[(methylamino)sulfonyl]-N-(2-methylphenyl)-2-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 28524-80-3 CAPLUS

CN Benzamide, 4-chloro-5-[(dimethylamino)sulfonyl]-N-(2-methylphenyl)-2-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 31933-24-1 CAPLUS

CN Benzamide, 5-[[bis(phenylmethyl)amino]sulfonyl]-4-chloro-N-(2-methylphenyl)-2-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)

L4 ANSWER 64 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1970:477191 CAPLUS

DOCUMENT NUMBER:

73:77191

TITLE:

Synthesis and activity of some 3-aryl- and

3-aralkyl-1,2,3,4-tetrahydro-4-oxo-6-

quinazolinesulfonamides

AUTHOR(S): Shetty, Bola V.; Campanella, Liborio A.; Thomas,

Telfer L.; Fedorchuk, M.; Davidson, T. A.; Michelson, L.; Volz, H.; Zimmerman, S. E.; Belair, E. J.; Truant,

A. P.

CORPORATE SOURCE: Dep. Chem., Pennwalt Corp., Rochester, NY, USA

SOURCE: Journal of Medicinal Chemistry (1970), 13(5), 886-95

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 73:77191
GI For diagram(s), see printed CA Issue.

AB A series of 3-aryl- and 3-aralkyl di- and -tetrahydro-4-oxo-6quinazolinesulfonamides were synthesized and tested for pharmacol. activity. Several of the compds. were potent diuretics, especially I (metolazone), a potent, virtually nontoxic diuretic and natriuretic.

IT 23375-97-5P 28524-75-6P 28524-80-3P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 23375-97-5 CAPLUS

CN Benzamide, 5-(aminosulfonyl)-4-chloro-N-(2-methylphenyl)-2-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 28524-75-6 CAPLUS

CN Benzamide, 4-chloro-5-[(methylamino)sulfonyl]-N-(2-methylphenyl)-2-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 28524-80-3 CAPLUS

CN Benzamide, 4-chloro-5-[(dimethylamino)sulfonyl]-N-(2-methylphenyl)-2-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)

L4 ANSWER 65 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1969:470632 CAPLUS

DOCUMENT NUMBER: 71:70632

TITLE: 1-Benzyl-2-methyl-3-(o-tolyl)-6-sulfamoyl-7-chloro-

1,2,3,4-tetrahydro-4-quinazolinone

INVENTOR(S): Shetty, Bola V.

PATENT ASSIGNEE(S): Wallace and Tiernan Inc.

SOURCE: U.S., 5 pp. Continuation-in-part of U.S. 3360518

CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3452019	Α	19690624	US 1967-683450	19671116
PRIORITY APPLN. INFO.:			US 1967-683450	A 19671116
AB The title compound	I, usef	ul as a diu	retic, saluretic,	and antihypertens

AB The title compound I, useful as a diuretic, saluretic, and antihypertensive, was prepared Thus, 800 g. of 2,4-dichlorobenzoic acid was added to 4 kg. of ClSO3H at room temperature, the mixture refluxed 90 min., left to cool to 30°, 8 kg. of ice and 5 l. of H2O added slowly, the mixture cooled to 0°, 8 l. of 28% NH4OH added, acidified with HCl after 2 hrs., and the precipitate filtered, washed, dried, and clarified with C to give 790 g.

2,4-

dichloro-5-sulfamylbenzoic acid, m. 225-8° (H2O). This compound (270 g.) was added to 500 cc. of PhCH2NH2, the temperature quickly raised to 130°, kept 1 hr., cooled to 100°, the mixture poured into 5 l. of ice water, acidified with 400 cc. of HCl, and stirred 4 hrs. and the precipitate filtered to give 192 g. of 4-chloro-5-sulfamyl-N- benzylanthranilic acid, m. 242-6° (decomposition) (95% EtOH). This compound (35.0 g.) and 15 cc. of liquid COCl2 was added to 400 cc. glacial AcOH, the mixture stirred 24 hrs., and the precipitate filtered, washed with Et2O and air dried to give 25.2 g. 4-benzyl-6-chloro-7- sulfamylisatoic anhydride. This compound (25 g.) was added to 300 cc. of o-toluidine at room temperature, the mixture

quickly
warmed to 190°, kept 5 min., left to cool (50°), poured into
3 l. of Et2O, and the precipitate washed and dried to give 2-benzylamino4-chloro-5-sulfamyl-N-(O-tolyl)benzamide (II). Acetal (3.0 g.) was added
to a suspension of 5.3 g. II in AcOH followed by 4 drops of H2SO4 dropwise
during 5 min., the solution stirred overnight, the precipitate filtered,

washed with

Et2O and dried to give 3.2 g. of I, m. 193-5° (AcOH). Some pharmacol. data are given.

IT 23375-97-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 23375-97-5 CAPLUS

CN Benzamide, 5-(aminosulfonyl)-4-chloro-N-(2-methylphenyl)-2-

[(phenylmethyl)amino] - (9CI) (CA INDEX NAME)

ANSWER 66 OF 66 CAPLUS COPYRIGHT 2006 ACS on STN **L4**

ACCESSION NUMBER: 1949:6357 CAPLUS

DOCUMENT NUMBER: 43:6357

ORIGINAL REFERENCE NO.: 43:1344h-i,1345a-i,1346a

TITLE: Nitrobenzoyl compounds and processes in their

reduction. IV. Reduction processes in the nitrobenzoyl

compounds of benzylidenephenylhydrazines

AUTHOR (S): Lockemann, Georg; Rein, Herbert

CORPORATE SOURCE: Robert-Koch-Inst., Berlin

SOURCE: Chemische Berichte (1947), 80, 485-93

CODEN: CHBEAM; ISSN: 0009-2940

DOCUMENT TYPE: Journal LANGUAGE: Unavailable OTHER SOURCE(S): CASREACT 43:6357

Expts. analogous to those described in parts I-III have been carried out with derivs. of BzH instead of AcH. Since phenylhydrazones of aromatic aldehydes are much more stable than those of aliphatic aldehydes, it was to be expected that they would behave differently on reduction. The Schlosser and Skraup [Monatsh. 2, 519(1881)] method of preparing the O2NC6H4CO2H was shortened from 18 to about 1 hr. The p-acid was obtained in 90% yield by treating 50 g. p-O2NC6H4Me and 375 g. Na2Cr2O7 in 400 cc. water dropwise, with vigorous stirring, under a reflux condenser, with 250 cc. concentrated H2SO4, kept boiling (about 1 hr.) until drops of the nitrotoluene no longer appeared in the condenser, pouring upon ice, washing the precipitate with dilute HCl, and purifying it by repptn. from NaOH. m-O2NC6H4Me gave 85% of the acid with 300 g. Na2Cr2O7 and 200 cc. H2SO4. o-O2NC6H4CO2H cannot be prepared in this way and a com. product was used. After numerous trials it was found o-O2NC6H4COCl can be purified by vacuum distillation entirely without danger in the presence of excess PCl5; the carefully dried o-O2NC6H4CO2H, m. 147°, (37.5 g.) is intimately mixed, by shaking in a flask under an upright condenser, with about 10% excess PCl5 (50 g.), gently warmed on a water bath until solution is complete (1-1.5 hrs.), and the POCl3 distilled off in vacuo from a retort; the o-O2NC6H4COCl distils over under 11 mm. at 160-75° (oil-bath temperature) as a light yellow refractive oil solidifying in the cold to snow-white crystals, m. 24-5°; yield, about 85%. The three 2-benzylidene-1-nitrobenzoyl-1-phenylhydrazines (I) were prepared as described in part I [Ann. 342, 39(1905)] from PhCH:NNHPh and the O2NC6H4COCl in dry ether: p-(75% yield), needles from benzene-petr. ether, m. 191°, gradually turns yellow: m- (60%), m. 128° (from alc.); o- (35%), m. 137° (from alc.), requires a higher temperature for its preparation (PhCH:NNHPh in boiling

pyridine

treated in the course of 15 min. with o-O2NC6H4COCl in xylene at 80°, heated another 15 min. on the water bath, and the product saturated in cold alc. with HCl gas gave the HCl salt, needles, sinters 190°, m. 208-9° (decomposition), of 1-o-nitrobenzoyl-1-

phenylhydrazine, yellow needles from alc., m. 101-2°). The course of the reduction of the I depends on the strength of the acid used for the generation of the H. The (benzylideneamino)benzanilides, PhCH:NC6H4CONHPh (II) (p-, needles weathering in the air; m-, needles from absolute alc., m. 166°; o-, yellowish needles from absolute alc., m. 218°, shows blue fluorescence in absolute alc.), which were readily obtained from the H2NC6H4CONHPh (III) warmed a short time with BzH in alc., are almost completely hydrolyzed when reduced in dilute H2SO4; only the p-compound gave a little (about 5%) PhCH2NHC6H4CONHPh. On the other hand, in EtOH-glacial AcOH, the benzylaminobenzanilides (IV) were obtained in considerable yields: p-, platelets from dilute MeOH, m. 177-8° (60%); m-, needles from absolute alc., m. 121° (35%); o-, needles from absolute alc., m. 134°, shows blue fluorescence in solution (42% yield). The I behave similarly; in AcOH all gave II, III, PhCH2NH2, and NH3, whereas in dilute H2SO4 III could be detected with certainty only in the case of the p-isomer. In AcOH the reaction proceeds according to the scheme PhCH:NN(COC6H4NO2)Ph (I) + H2O \rightarrow BzH + H2NN(COC6H4NO2)Ph (V) (1); V + 8H \rightarrow NH3 + PhNHCOC6H4NH2 (III) + 2H2O (2); III + BzH \rightarrow PhNHCOC6H4N:CHPh (II) + H2O (3); II + 2H \rightarrow PhNHCOC6H4NHCH2Ph (IV). BzH is first split off hydrolytically (1); the nascent H reduces the NO2 group to NH2 and the N-N bond of the hydrazine group is ruptured with formation of NH3 (2); the liberated BzH condenses with the newly formed NH2 group (3); and the resulting II takes up H to form IV. In dilute H2SO4 the relatively firmly attached PhCH: remains on the N while the bond between the two N atoms is ruptured, with addition of H: I + 8H \rightarrow PhCH2NH2 + III. As was to be expected, the p-, m-, and o-IV were obtained directly (in 90, 60, and 70% yields) from the nitrobenzanilides in alc., AcOH, CuSO4 solution, and Zn dust slowly treated with BzH in alc., whereas the usual procedure (action of PhCH2Cl on the III) gave, with much smaller yields, very difficultly separable mixts. of primary, secondary, and tertiary amines. The structure of the IV was established by hydrolysis with fuming HCl in sealed tubes at 130-40° to the benzylaminobenzoic acids [p-, m. 164° (from benzene-petr. ether); m-, brownish crystals from water, m. 115° (uncor.); o-, needles from CHCl3, m. 175°], identical with the products synthesized from H3NC6H4CO2H and PhCH2Cl.

IT 855245-86-2, Benzanilide, 2-benzylamino-(preparation of)

RN 855245-86-2 CAPLUS

CN Benzanilide, 2-benzylamino- (5CI) (CA INDEX NAME)

=> log y
COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
360.61 527.76

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)
SINCE FILE TOTAL
ENTRY SESSION
SESSION

CA SUBSCRIBER PRICE

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